

(19) World Intellectual Property Organization
International Bureau(43) International Publication Date
21 March 2002 (21.03.2002)

PCT

(10) International Publication Number
WO 02/22583 A2(51) International Patent Classification⁷: **C07D 213/00**(21) International Application Number: **PCT/US01/28971**(22) International Filing Date:
17 September 2001 (17.09.2001)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/233,374 18 September 2000 (18.09.2000) US
60/277,199 20 March 2001 (20.03.2001) US(71) Applicant (for all designated States except US): **E. I. DU PONT DE NEMOURS AND COMPANY [US/US];** 1007 Market Street, Wilmington, DE 19898 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **NEUBERT, Timothy, Donald [US/US];** 2304 Stonebridge Road, New Castle, DE 19720 (US). **PIOTROWSKI, David, Walter [US/US];** 3248 Lost Pine Way, Portage, MI 49024 (US). **WALKER, Michael, Paul [US/US];** 137 Thompson Circle, Landenberg, PA 19350 (US).(74) Agent: **HEISER, David, E.; E. I. DU PONT DE NEMOURS AND COMPANY,** Legal Patent Records Center, 1007 Market Street, Wilmington, DE 19898 (US).

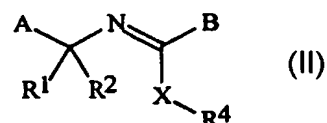
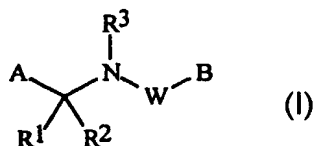
(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: **PYRIDINYL AMIDES AND IMIDES FOR USE AS FUNGICIDES**

(57) Abstract: Compounds of Formula (I), their N-oxides and agriculturally suitable salts are disclosed which are useful as fungicides formula (I), (II) wherein A is a substituted pyridinyl ring; B is a substituted pyridinyl ring; W is C=L or SO_n is O or S; R¹ and R² are each independently H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl, each optionally substituted; R³ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl or C₃-C₆ dialkylaminocarbonyl; R⁴ is C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl, each optionally substituted; X is O or S; and n is 1 or 2; provided that when W is C=O and R¹, R² and R³ are H; then B is other than 4-trifluoromethyl-3-pyridinyl, 2-chloro-4-pyridinyl and 2,6-dihalo-4-pyridinyl. Also disclosed are compositions containing the compounds of Formula (I) and a method for controlling plant diseases caused by fungal plant pathogens that involves applying an effective amount of a compound of Formula (I).

WO 02/22583 A2

TITLE

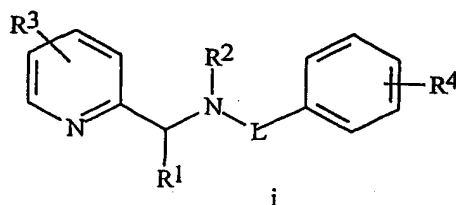
PYRIDINYL AMIDES AND IMIDES FOR USE AS FUNGICIDES

BACKGROUND OF THE INVENTION

This invention relates to certain pyridinyl amides and imides, their *N*-oxides, agriculturally suitable salts and compositions, and methods of their use as fungicides.

The control of plant diseases caused by fungal plant pathogens is extremely important in achieving high crop efficiency. Plant disease damage to ornamental, vegetable, field, cereal, and fruit crops can cause significant reduction in productivity and thereby result in increased costs to the consumer. Many products are commercially available for these purposes, but the need continues for new compounds, which are more effective, less costly, less toxic, environmentally safer or have different modes of action.

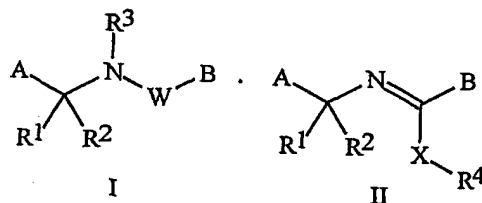
WO 99/42447 discloses certain benzamides of formula i as fungicides



wherein, among others,
 R^1 is H, alkyl or acyl;
 R^2 is H or alkyl; and
 L is $-(C=O)-$, $-SO_2-$ or $-(C=S)-$.

SUMMARY OF THE INVENTION

This invention pertains to compounds of Formula I or Formula II including all geometric and stereoisomers, *N*-oxides, and agriculturally suitable salts thereof:



wherein

A is a substituted pyridinyl ring;

B is a substituted pyridinyl ring;

W is $C=L$ or SO_n ;

L is O or S;

R^1 and R^2 are each independently H; or C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl or C_3-C_6 cycloalkyl, each optionally substituted;

R³ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl or C₃-C₈ dialkylaminocarbonyl;

R⁴ is C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl, each optionally substituted;

X is O or S; and

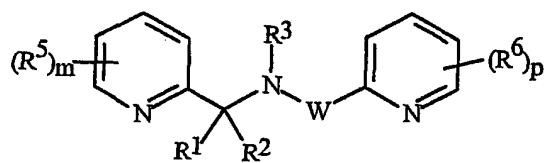
n is 1 or 2; provided that when W is C=O and R¹, R² and R³ are H; then B is other than 4-trifluoromethyl-3-pyridinyl, 2-chloro-4-pyridinyl and 2,6-dihalo-4-pyridinyl.

This invention also relates to fungicidal compositions comprising fungicidally effective amounts of the compounds of the invention and at least one additional component selected from the group consisting of surfactants, solid diluents or liquid diluents and/or at least one other fungicide having a different mode of action.

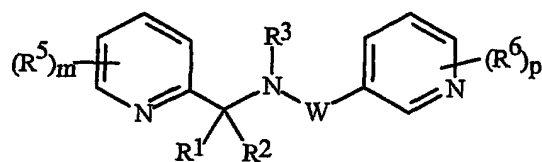
This invention also relates to a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed or seedling, a fungicidally effective amount of the compounds of the invention (e.g., as a composition described herein).

DETAILS OF THE INVENTION

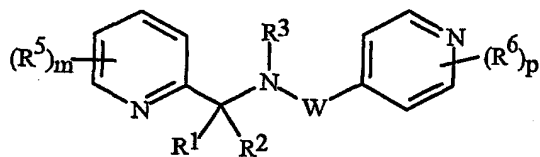
As noted above, A and B are each independently a substituted pyridinyl ring. The term "substituted" in connection with these A or B groups refers to groups that have at least one non-hydrogen substituent that does not extinguish the fungicidal activity. Examples of Formula I and Formula II incorporating said pyridinyl rings in which A is substituted with 1 to 4 R⁵, B is substituted with 1 to 4 R⁶ include the rings illustrated in Exhibit 1 wherein m and p are independently integers from 1 to 4. Note that the attachment point between (R⁵)_m and A and (R⁶)_p and B is illustrated as floating, and (R⁵)_m and (R⁶)_p can be attached to any available carbon atom of the pyridinyl rings.

Exhibit 1

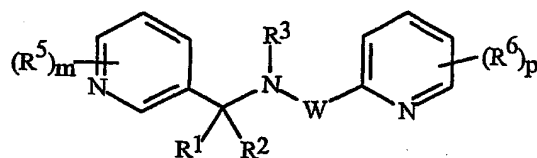
I-1



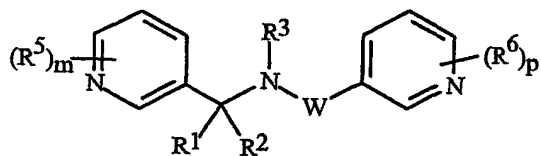
I-2



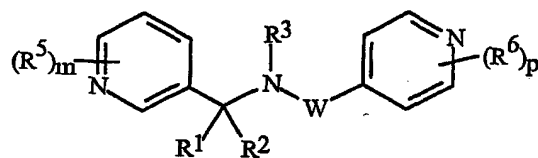
I-3



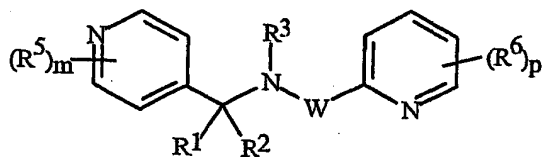
I-4



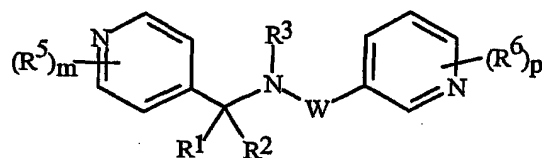
I-5



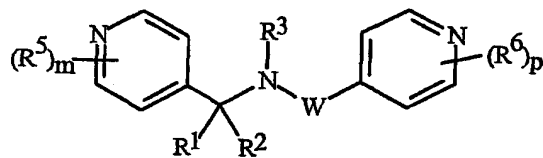
I-6



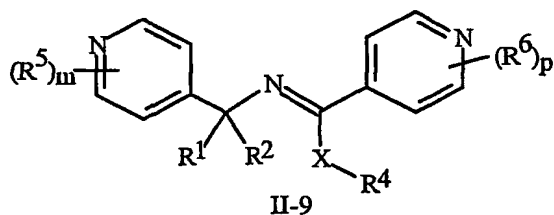
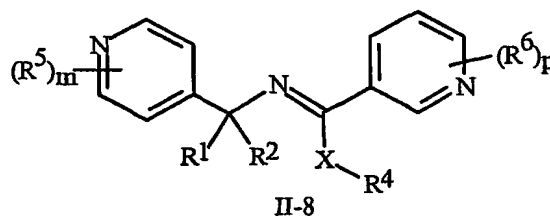
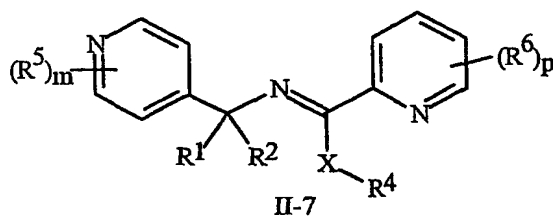
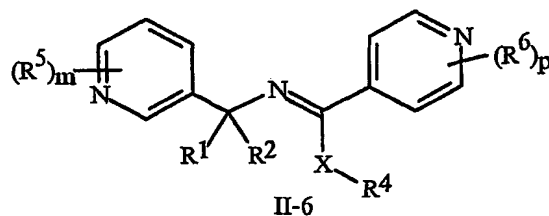
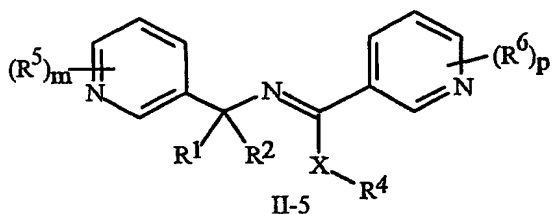
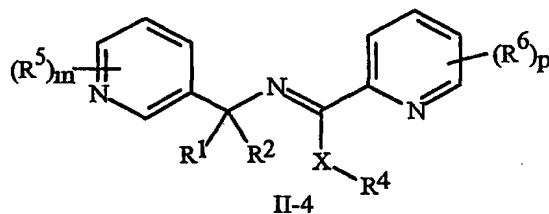
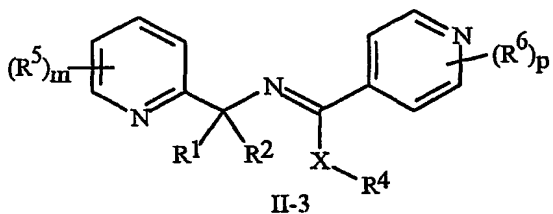
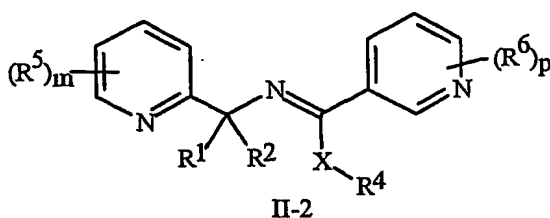
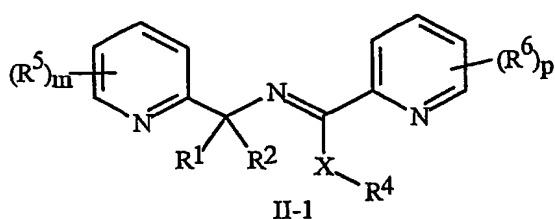
I-7



I-8



I-9



5

Examples of R^5 when attached to A and R^6 when attached to B include:

R^5 and R^6 are each independently C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_6 haloalkyl, C_2 - C_6 haloalkenyl, C_2 - C_6 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, CN, CO_2H , $CONH_2$, NO_2 , hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl, C_1 - C_4 alkoxycarbonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6

10

cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or R⁵ and R⁶ are each independently phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

Other R⁵ and R⁶ groups will be evident to one of ordinary skill. For example, each R⁵ and/or R⁶ can be NH₂, NHCO(C₁-C₄ alkyl) or NHCO(C₁-C₄ haloalkyl); or each R⁵ and/or R⁶ can be phenyl, benzyl or phenoxy, each substituted with C₅-C₈ trialkylsilylalkynyl.

Of note are compounds of Formula I wherein

R⁵ and R⁶ are each independently C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

R⁵ and R⁶ are each independently phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₅-C₈ trialkylsilylalkynyl or C₃-C₆ trialkylsilyl.

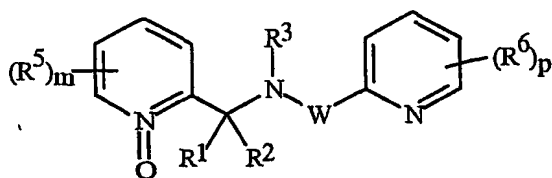
As noted above, R¹ and R² are each independently H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl, each optionally substituted; and R⁴ is C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl, each optionally substituted. The term "optionally substituted" in connection with these R¹, R² and R⁴ groups refers to groups which are unsubstituted or have at least one non-hydrogen substituent that does not extinguish the fungicidal activity possessed by the unsubstituted analog. Examples of optionally substituted R¹, R² and R⁴ groups are those that are optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy,

C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino and C₃-C₆ cycloalkylamino.

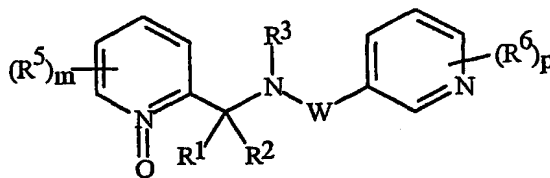
Although these substituents are listed in the examples above, it is noted that they do not need to be present since they are optional substituents.

- 5 Examples of *N*-oxides of Formula I or Formula II are illustrated as I-10 through I-16 and as II-10 through II-16, respectively, in Exhibit 2, wherein R¹, R², R³, R⁴, R⁵, R⁶, W, X, m and p are as defined above.

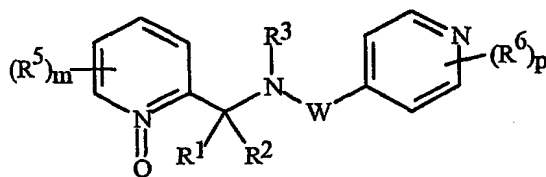
Exhibit 2



I-10

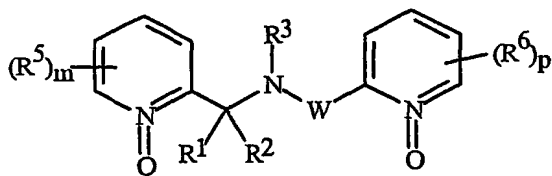


I-11

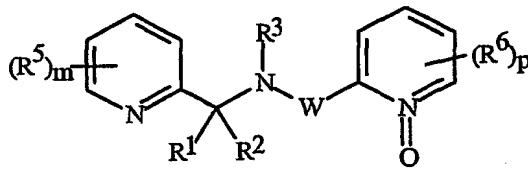


I-12

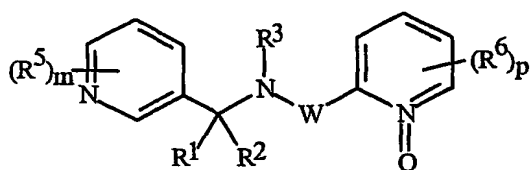
10



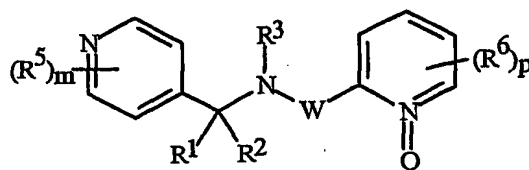
I-13



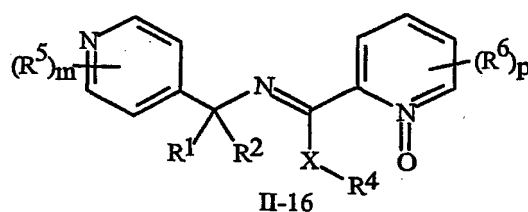
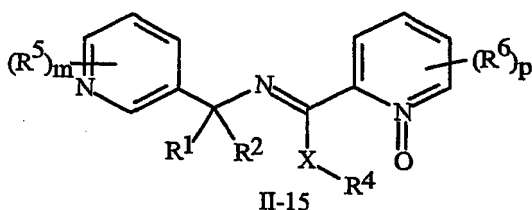
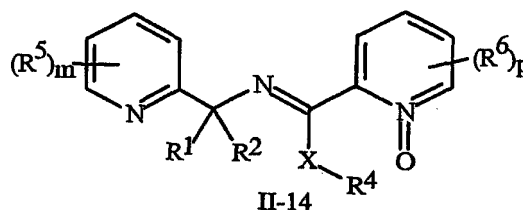
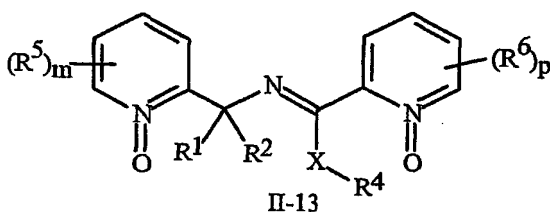
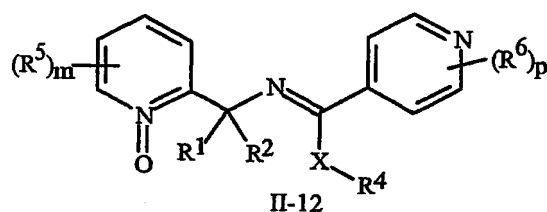
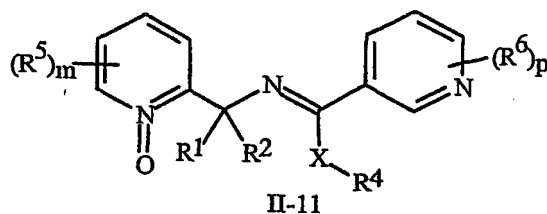
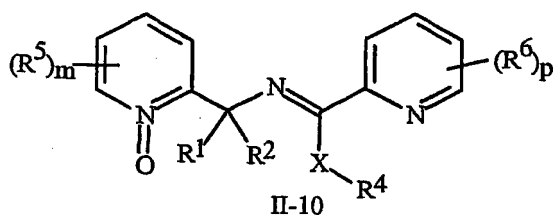
I-14



I-15



I-16



- 5 In the above recitations, the term "alkyl", used either alone or in compound words such as "alkylthio" or "haloalkyl" includes straight-chain or branched alkyl, such as, methyl, ethyl, *n*-propyl, *i*-propyl, or the different butyl, pentyl or hexyl isomers. The term "1-2 alkyl" indicates that one or two of the available positions for that substituent may be alkyl which are independently selected. "Alkenyl" includes straight chain or branched alkenes
- 10 such as ethenyl, 1-propenyl, 2-propenyl, and the different butenyl, pentenyl and hexenyl isomers. "Alkenyl" also includes polyenes such as 1,2-propadienyl and 2,4-hexadienyl. "Alkynyl" includes straight chain or branched alkynes such as ethynyl, 1-propynyl, 2-propynyl and the different butynyl, pentynyl and hexynyl isomers. "Alkynyl" can also include moieties comprised of multiple triple bonds such as 2,5-hexadiynyl. "Alkoxy"
- 15 includes, for example, methoxy, ethoxy, *n*-propyloxy, isopropyloxy and the different butoxy,

pentoxy and hexyloxy isomers. "Alkoxyalkyl" denotes alkoxy substitution on alkyl. Examples of "alkoxyalkyl" include CH_3OCH_2 , $\text{CH}_3\text{OCH}_2\text{CH}_2$, $\text{CH}_3\text{CH}_2\text{OCH}_2$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{CH}_2\text{OCH}_2$ and $\text{CH}_3\text{CH}_2\text{OCH}_2\text{CH}_2$. "Alkoxyalkoxy" denotes alkoxy substitution on alkoxy. The term "Alkenyloxy" includes straight chain or branched alkenyloxy moieties. Examples of "alkenyloxy" include $\text{H}_2\text{C}=\text{CHCH}_2\text{O}$, $(\text{CH}_3)_2\text{C}=\text{CHCH}_2\text{O}$, $(\text{CH}_3)\text{CH}=\text{CHCH}_2\text{O}$, $(\text{CH}_3)\text{CH}=\text{C}(\text{CH}_3)\text{CH}_2\text{O}$ and $\text{CH}_2=\text{CHCH}_2\text{CH}_2\text{O}$. "Alkynyloxy" includes straight chain or branched alkynyloxy moieties. Examples of "alkynyloxy" include $\text{HC}\equiv\text{CCH}_2\text{O}$, $\text{CH}_3\text{C}\equiv\text{CCH}_2\text{O}$ and $\text{CH}_3\text{C}\equiv\text{CCH}_2\text{CH}_2\text{O}$. "Alkylthio" includes branched or straight chain alkylthio moieties such as methylthio, ethylthio, and the different propylthio, butylthio, pentylthio and hexylthio isomers. "Alkylthioalkyl" denotes alkylthio substitution on alkyl. Examples of "alkylthioalkyl" include CH_3SCH_2 , $\text{CH}_3\text{SCH}_2\text{CH}_2$, $\text{CH}_3\text{CH}_2\text{SCH}_2$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{CH}_2\text{SCH}_2$ and $\text{CH}_3\text{CH}_2\text{SCH}_2\text{CH}_2$. "Alkylthioalkoxy" denotes alkylthio substitution on alkoxy. "Alkylsulfinyl" includes both enantiomers of an alkylsulfinyl group. Examples of "alkylsulfinyl" include $\text{CH}_3\text{S}(\text{O})$, $\text{CH}_3\text{CH}_2\text{S}(\text{O})$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{S}(\text{O})$, $(\text{CH}_3)_2\text{CHS}(\text{O})$ and the different butylsulfinyl, pentylsulfinyl and hexylsulfinyl isomers. Examples of "alkylsulfonyl" include $\text{CH}_3\text{S}(\text{O})_2$, $\text{CH}_3\text{CH}_2\text{S}(\text{O})_2$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{S}(\text{O})_2$, $(\text{CH}_3)_2\text{CHS}(\text{O})_2$ and the different butylsulfonyl, pentylsulfonyl and hexylsulfonyl isomers. "Cyanoalkyl" denotes an alkyl group substituted with one cyano group. Examples of "cyanoalkyl" include NCCH_2 , NCCH_2CH_2 and $\text{CH}_3\text{CH}(\text{CN})\text{CH}_2$. "Alkylamino", "dialkylamino", "alkenylthio", "alkenylsulfinyl", "alkenylsulfonyl", "alkynylthio", "alkynylsulfinyl", "alkynylsulfonyl", and the like, are defined analogously to the above examples. "Cycloalkyl" includes, for example, cyclopropyl, cyclobutyl, cyclopentyl, and cyclohexyl. The term "cycloalkoxy" includes the same groups linked through an oxygen atom such as cyclopentyloxy and cyclohexyloxy.

The term "halogen", either alone or in compound words such as "haloalkyl", includes fluorine, chlorine, bromine or iodine. The term "1-2 halogen" indicates that one or two of the available positions for that substituent may be halogen which are independently selected. Further, when used in compound words such as "haloalkyl", said alkyl may be partially or fully substituted with halogen atoms which may be the same or different. Examples of "haloalkyl" include F_3C , ClCH_2 , CF_3CH_2 and CF_3CCl_2 . The terms "haloalkenyl", "haloalkynyl", "haloalkoxy", "haloalkylthio", and the like, are defined analogously to the term "haloalkyl". Examples of "haloalkenyl" include $(\text{Cl})_2\text{C}=\text{CHCH}_2$ and $\text{CF}_3\text{CH}_2\text{CH}=\text{CHCH}_2$. Examples of "haloalkynyl" include $\text{HC}\equiv\text{CCHCl}$, $\text{CF}_3\text{C}\equiv\text{C}$, $\text{CCl}_3\text{C}\equiv\text{C}$ and $\text{FCH}_2\text{C}\equiv\text{CCH}_2$. Examples of "haloalkoxy" include CF_3O , $\text{CCl}_3\text{CH}_2\text{O}$, $\text{HCF}_2\text{CH}_2\text{CH}_2\text{O}$ and $\text{CF}_3\text{CH}_2\text{O}$. Examples of "haloalkylthio" include CCl_3S , CF_3S , $\text{CCl}_3\text{CH}_2\text{S}$ and $\text{ClCH}_2\text{CH}_2\text{CH}_2\text{S}$. Examples of "haloalkylsulfinyl" include $\text{CF}_3\text{S}(\text{O})$, $\text{CCl}_3\text{S}(\text{O})$, $\text{CF}_3\text{CH}_2\text{S}(\text{O})$ and $\text{CF}_3\text{CF}_2\text{S}(\text{O})$. Examples of "haloalkylsulfonyl" include $\text{CF}_3\text{S}(\text{O})_2$,

$\text{CCl}_3\text{S}(\text{O})_2$, $\text{CF}_3\text{CH}_2\text{S}(\text{O})_2$ and $\text{CF}_3\text{CF}_2\text{S}(\text{O})_2$. Examples of "haloalkoxyalkoxy" include $\text{CF}_3\text{OCH}_2\text{O}$, $\text{ClCH}_2\text{CH}_2\text{OCH}_2\text{CH}_2\text{O}$, $\text{Cl}_3\text{CCH}_2\text{OCH}_2\text{O}$ as well as branched alkyl derivatives. Examples of "alkylcarbonyl" include $\text{C}(\text{O})\text{CH}_3$, $\text{C}(\text{O})\text{CH}_2\text{CH}_2\text{CH}_3$ and $\text{C}(\text{O})\text{CH}(\text{CH}_3)_2$. Examples of "alkoxycarbonyl" include $\text{CH}_3\text{OC}(=\text{O})$, $\text{CH}_3\text{CH}_2\text{OC}(=\text{O})$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{OC}(=\text{O})$, $(\text{CH}_3)_2\text{CHOC}(=\text{O})$ and the different butoxy- or pentoxycarbonyl isomers.

One skilled in the art will appreciate that not all nitrogen containing heterocycles can form *N*-oxides since the nitrogen requires an available lone pair for oxidation to the oxide; one skilled in the art will recognize those nitrogen containing heterocycles which can form *N*-oxides. One skilled in the art will also recognize that tertiary amines can form *N*-oxides. Synthetic methods for the preparation of *N*-oxides of heterocycles and tertiary amines are very well known by one skilled in the art including the oxidation of heterocycles and tertiary amines with peroxy acids such as peracetic and *m*-chloroperbenzoic acid (MCPBA), hydrogen peroxide, alkyl hydroperoxides such as *t*-butyl hydroperoxide, sodium perborate, and dioxiranes such as dimethyldioxirane. These methods for the preparation of *N*-oxides have been extensively described and reviewed in the literature, see for example:

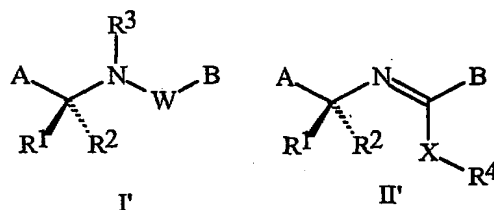
T. L. Gilchrist in *Comprehensive Organic Synthesis*, vol. 7, pp 748-750, S. V. Ley, Ed., Pergamon Press; M. Tisler and B. Stanovnik in *Comprehensive Heterocyclic Chemistry*, vol. 3, pp 18-20, A. J. Boulton and A. McKillop, Eds., Pergamon Press; M. R. Grimmett and B. R. T. Keene in *Advances in Heterocyclic Chemistry*, vol. 43, pp 149-161, A. R. Katritzky, Ed., Academic Press; M. Tisler and B. Stanovnik in *Advances in Heterocyclic Chemistry*, vol. 9, pp 285-291, A. R. Katritzky and A. J. Boulton, Eds., Academic Press; and G. W. H. Cheeseman and E. S. G. Werstiuk in *Advances in Heterocyclic Chemistry*, vol. 22, pp 390-392, A. R. Katritzky and A. J. Boulton, Eds., Academic Press.

The total number of carbon atoms in a substituent group is indicated by the " $\text{C}_i\text{-C}_j$ " prefix where *i* and *j* are numbers from 1 to 8. For example, $\text{C}_1\text{-C}_3$ alkylsulfonyl designates methylsulfonyl through propylsulfonyl; C_2 alkoxyalkyl designates CH_3OCH_2 ; C_3 alkoxyalkyl designates, for example, $\text{CH}_3\text{CH}(\text{OCH}_3)$, $\text{CH}_3\text{OCH}_2\text{CH}_2$ or $\text{CH}_3\text{CH}_2\text{OCH}_2$; and C_4 alkoxyalkyl designates the various isomers of an alkyl group substituted with an alkoxy group containing a total of four carbon atoms, examples including $\text{CH}_3\text{CH}_2\text{CH}_2\text{OCH}_2$ and $\text{CH}_3\text{CH}_2\text{OCH}_2\text{CH}_2$.

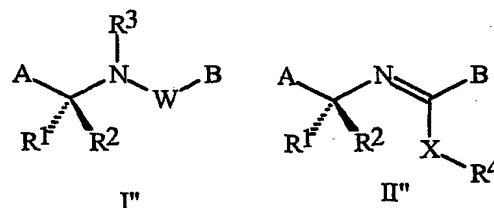
When a compound is substituted with a substituent bearing a subscript that indicates the number of said substituents can exceed 1, said substituents (when they exceed 1) are independently selected from the group of defined substituents. Further, when the subscript indicates a range, e.g. $(\text{R})_{i-j}$, then the number of substituents may be selected from the integers between *i* and *j* inclusive.

When a group contains a substituent which can be hydrogen, for example R^1 or R^2 then, when this substituent is taken as hydrogen, it is recognized that this is equivalent to said group being unsubstituted.

Compounds of this invention can exist as one or more stereoisomers. The various stereoisomers include enantiomers, diastereomers, atropisomers and geometric isomers. One skilled in the art will appreciate that one stereoisomer may be more active and/or may exhibit beneficial effects when enriched relative to the other stereoisomer(s) or when separated from the other stereoisomer(s). Additionally, the skilled artisan knows how to separate, enrich, and/or to selectively prepare said stereoisomers. Accordingly, the present invention comprises compounds selected from Formula I, *N*-oxides and agriculturally suitable salts thereof. The compounds of the invention may be present as a mixture of stereoisomers, individual stereoisomers, or as an optically active form. In particular, when R^1 and R^2 of Formula I and Formula II are different, then said formulas possess a chiral center at the carbon to which they are commonly bonded. This invention comprises racemic mixtures. In addition, this invention includes compounds that are enriched compared to the racemic mixture in an enantiomer of the formulas



Included are the essentially pure enantiomers of Formula I' and Formula II'. This invention also includes compounds that are enriched compared to the racemic mixture in an enantiomer of the formulas



Included are the essentially pure enantiomers of Formula I'' and Formula II''.

When enantiomerically enriched, one enantiomer is present in greater amounts than the other and the extent of enrichment can be defined by an expression of enantiomer excess ("ee"), which is defined as $100(2x-1)$ where x is the mole fraction of the dominant enantiomer in the mixture. (e.g., an ee of 20% corresponds to a 60:40 ratio of enantiomers).

The more active enantiomer with respect to the relative positions of R¹, R², A and the rest of the molecule bonded through nitrogen corresponds to the configuration of the enantiomer of 2,4-dichloro-N-[(1R)-1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-3-pyridinecarboxamide that, when in a solution of CDCl₃, rotates plane polarized light in the (+) or *dextro* direction (i.e. the predominant enantiomer of Compound 31 of Index Table B).

Preferably the compositions of this invention have at least a 50 % enantiomeric excess; more preferably at least a 75 % enantiomeric excess; still more preferably at least a 90 % enantiomeric excess; and the most preferably at least a 94 % enantiomeric excess of the more active isomer. Of particular note are enantiomerically pure embodiments of the more active isomer.

Compounds of Formula II can also exist as (E)- or (Z)-isomers, or as a mixture of (E)- and (Z)-isomers with respect to the C=N bond shown in the structure. This invention comprises mixtures of geometric isomers as well as the individual isomers.

The salts of the compounds of the invention include acid-addition salts with inorganic or organic acids such as hydrobromic, hydrochloric, nitric, phosphoric, sulfuric, acetic, butyric, fumaric, lactic, maleic, malonic, oxalic, propionic, salicylic, tartaric, 4-toluenesulfonic or valeric acids. The salts of the compounds of the invention also include those formed with organic bases (e.g., pyridine, ammonia, or triethylamine) or inorganic bases (e.g., hydrides, hydroxides, or carbonates of sodium, potassium, lithium, calcium, magnesium or barium) when the compound contains an acidic group such as a carboxylic acid or phenol.

Preferred compounds for reasons of better activity and/or ease of synthesis are:

Preferred 1. Preferred are compounds of Formula I or Formula II wherein

A is a pyridinyl ring substituted with from 1 to 4 R⁵;

B is a pyridinyl ring substituted with from 1 to 4 R⁶;

R¹ and R² are each independently H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl, each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino and C₃-C₆ cycloalkylamino;

R⁴ is C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl, each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino and C₃-C₆ cycloalkylamino; and

R^5 and R^6 are each independently C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_6 haloalkyl, C_2 - C_6 haloalkenyl, C_2 - C_6 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, CN, CO_2H , $CONH_2$, NO_2 , hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl, C_1 - C_4 alkoxycarbonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_2 - C_6 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl, C_3 - C_8 dialkylaminocarbonyl, C_3 - C_6 trialkylsilyl; or

R^5 and R^6 are each independently phenyl, benzyl or phenoxy, each optionally substituted with C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 haloalkyl, C_2 - C_4 haloalkenyl, C_2 - C_4 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, CN, NO_2 , C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkoxycarbonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_3 - C_6 (alkyl)cycloalkylamino, C_2 - C_4 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl, C_3 - C_8 dialkylaminocarbonyl or C_3 - C_6 trialkylsilyl.

Of note are compounds of Preferred 1 wherein

each R^5 is independently C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_6 haloalkyl, C_2 - C_6 haloalkenyl, C_2 - C_6 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, CN, CO_2H , $CONH_2$, NO_2 , hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl, C_1 - C_4 alkoxycarbonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_2 - C_6 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl, C_3 - C_8 dialkylaminocarbonyl, C_3 - C_6 trialkylsilyl; provided that when A is 2-pyridinyl, then R^5 is other than C_1 to C_6 haloalkyl; and

each R^6 is independently C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_6 haloalkyl, C_2 - C_6 haloalkenyl, C_2 - C_6 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, CN, CO_2H , $CONH_2$, NO_2 , hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl, C_1 - C_4 alkoxycarbonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_2 - C_6 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl, C_3 - C_8 dialkylaminocarbonyl, C_3 - C_6 trialkylsilyl; or

R⁵ and R⁶ are each independently phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

Preferred 2. Compounds of Preferred 1 of Formula I wherein W is C=O.

Of note are compounds of Preferred 2 wherein A is a substituted 3-pyridinyl ring.

Also of note are compounds of Preferred 2 wherein

each R⁵ is independently C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; provided that when A is 2-pyridinyl, then R⁵ is other than C₁ to C₆ haloalkyl.

Preferred 3. Compounds of Preferred 2 wherein

A is a 2-pyridinyl ring substituted with from 1 to 4 R⁵; and

B is substituted with from 1 to 4 R⁶, with at least one R⁶ located in a position *ortho* to the link with W.

Of note are compounds of Preferred 3 wherein R⁵ is Cl, Br, CH₃, OCF₃, OCHF₂, OCH₂CF₃, OCF₂CF₃, OCF₂CF₂H, OCHF₂CF₃, SCF₃, SCHF₂, SCH₂CF₃, SCF₂CF₃, SCF₂CF₂H, SCH₂CF₂H, SOCF₃, SOCHF₂, SOCH₂CF₃, SOCF₂CF₃, SOCF₂CF₂H, SOCHF₂CF₃, SO₂CF₃, SO₂CHF₂, SO₂CH₂CF₃, SO₂CF₂CF₃, SO₂CF₂CF₂H or SO₂CHF₂CF₃. Also of note are compounds of Preferred 3 wherein B is either a 3-pyridinyl or 4-pyridinyl ring having an R⁶ at each position *ortho* to the link with W (and optionally 1 to 2 additional R⁶).

Preferred 4. Compounds of Preferred 3 wherein B is either a 3-pyridinyl or 4-pyridinyl ring having an R⁶ at each position *ortho* to the link with W, and optionally 1 to 2 additional R⁶ and R⁶ is either halogen or methyl.

Preferred 5. Compounds of Preferred 4 wherein B is a 3-pyridinyl ring wherein one R⁶ is Cl and is located at the 2-position *ortho* to the link with W, another R⁶ is

selected from Cl or methyl and is located at the 4-position *ortho* to the link with W and a third optional R⁶ is methyl at the 6-position.

Preferred 6. Compounds of Preferred 5 wherein A is 3-chloro-5-CF₃-2-pyridinyl.

Preferred 7. Compounds of Preferred 3, but especially Preferred 4, wherein R¹ is H and R² is CH₃.

Preferred 8. Compounds of Preferred 1 of Formula II wherein

A is a 2-pyridinyl ring substituted with from 1 to 4 R⁵; and

B is substituted with from 1 to 4 R⁶, with at least one R⁶ located in a position *ortho* to the link with the carbon that is bonded to both X and B.

Preferred 9. Compounds of Preferred 5 wherein X is S.

Preferred compounds of this invention include those of Preferred 1 through Preferred 9 wherein R¹ is H or CH₃, R² is H and (in Formula I) R³ is H.

Specifically preferred are the compounds selected from the group consisting of

2,4-Dichloro-*N*-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-3-pyridinecarboxamide,

2,4-Dichloro-*N*-[1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-3-pyridinecarboxamide,

2,4-Dichloro-*N*-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-6-methyl-3-pyridinecarboxamide, and

2,4-Dichloro-*N*-[1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-6-methyl-3-pyridinecarboxamide.

Also specifically preferred are the compounds selected from the group consisting of

2,4-Dichloro-*N*-[(3,5-dichloro-2-pyridinyl)methyl]-3-pyridinecarboxamide,

2,4-Dichloro-*N*-[1-(3,5-dichloro-2-pyridinyl)ethyl]-3-pyridinecarboxamide,

2,4-Dichloro-*N*-[(3,5-dichloro-2-pyridinyl)methyl]-6-methyl-3-pyridinecarboxamide,

2,4-Dichloro-*N*-[1-(3,5-dichloro-2-pyridinyl)ethyl]-6-methyl-3-pyridinecarboxamide,

N-[(5-bromo-3-chloro-2-pyridinyl)methyl]-2,4-dichloro-3-pyridinecarboxamide,

N-[1-(5-bromo-3-chloro-2-pyridinyl)ethyl]-2,4-dichloro-3-pyridinecarboxamide,

N-[(5-bromo-3-chloro-2-pyridinyl)methyl]-2,4-dichloro-6-methyl-3-pyridinecarboxamide, and

N-[1-(5-bromo-3-chloro-2-pyridinyl)ethyl]-2,4-dichloro-6-methyl-3-pyridinecarboxamide.

This invention also relates to fungicidal compositions comprising fungicidally effective amounts of the compounds of the invention and at least one additional component selected from the group consisting of surfactants, solid diluents or liquid diluents. The

preferred compositions of the present invention are those which comprise the above preferred compounds.

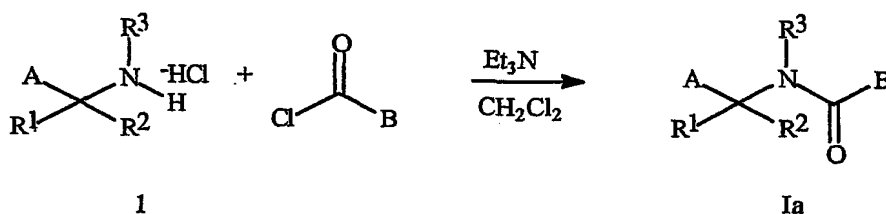
This invention also relates to a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed or seedling, a fungicidally effective amount of the compounds of the invention (e.g., as a composition described herein). The preferred methods of use are those involving the above-preferred compounds.

The compounds of Formula I and Formula II can be prepared by one or more of the following methods and variations as described in Schemes 1-6. The definitions of A, B, L, W, R¹ through R⁶, X and n in the compounds of Formulas 1-4 below are as defined above. Compounds of Formula 1a, 1b and 1c are subsets of Formula 1. Compounds of Formulae Ia, Ib and Ic are subsets of the compounds of Formula I, and all substituents for Formulae Ia, Ib and Ic are as defined above for Formula I. Compounds of Formula IIa are a subset of the compounds of Formula II, and all substituents for Formula IIa are as defined above for Formula II.

The compounds of Formula I can be prepared as described below in Schemes 1-5. The compounds of Formula Ic and IIa can be prepared as described below in Scheme 6.

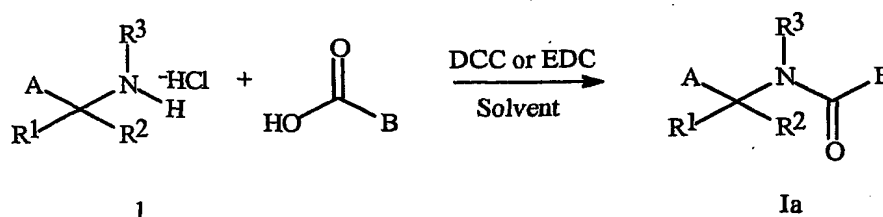
The compounds of Formula Ia are prepared by treating amine salts of Formula 1 with an appropriate acid chloride in an inert solvent with two molar equivalents of a base (e.g. triethylamine or potassium carbonate) present. Suitable solvents are selected from the group consisting of ethers such as tetrahydrofuran, dimethoxyethane, or diethyl ether; hydrocarbons such as toluene or benzene; and halocarbons such as dichloromethane or chloroform.

Scheme 1



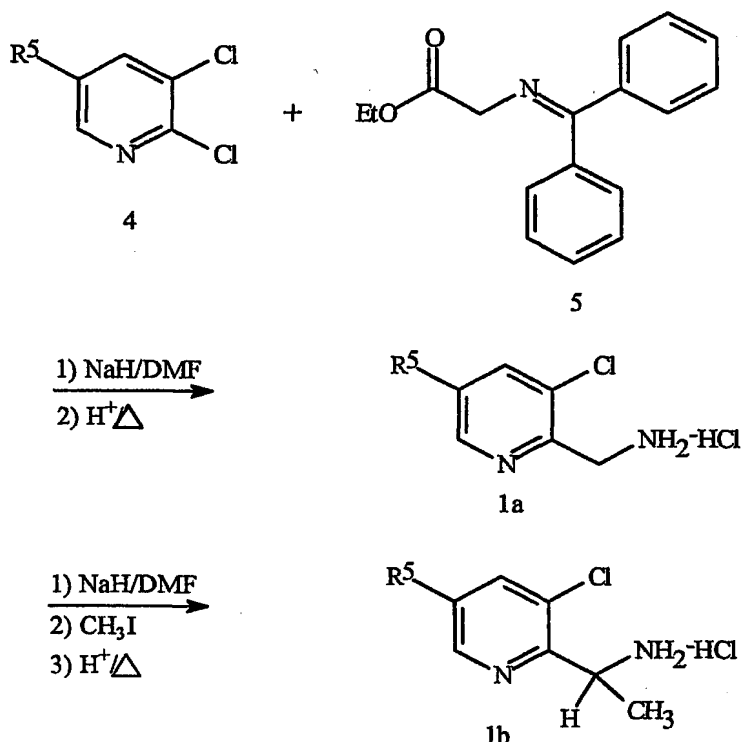
Alternatively, compounds of Formula Ia can be synthesized by reacting the amine salts of Formula 1 with an appropriate carboxylic acid in the presence of an organic dehydrating reagent such as 1,3-dicyclohexylcarbodiimide (DCC) or 1-[3-(Dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride (EDC) as depicted in Scheme 2. Suitable solvents are selected from the group consisting of ethers such as tetrahydrofuran, dimethoxyethane, or diethyl ether; hydrocarbons such as toluene or benzene; and halocarbons such as dichloromethane or chloroform.

Scheme 2

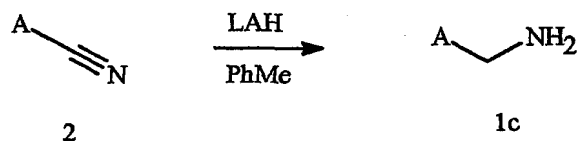


Intermediate salt 1a, wherein A is 2-pyridyl bearing the indicated substituents and R¹, R², and R³ are hydrogen, can be prepared by reacting the commercially available imine ester 5 shown in Scheme 3 with a 2,3-dichloro-pyridine substituted with R⁵ (of Formula 4) in the presence of a strong base such as sodium hydride in a polar, aprotic solvent such as *N,N*-dimethylformamide followed by heating in acidic medium in a procedure analogous to those found in WO99/42447. Compounds of Formula 1b can be prepared by similar procedures in which the intermediate anion resulting from step 1 is treated with an alkylating agent such as methyl iodide prior to heating in an acidic medium. Of note are compounds wherein R⁵ is CF₃.

17

Scheme 3

Compounds of Formula 1c (wherein A is a substituted pyridinyl ring), bearing an aminomethyl group, can be synthesized from nitriles of Formula 2 (wherein A is a substituted pyridinyl ring) by reduction of the nitrile using lithium aluminum hydride in toluene to give the corresponding aminomethyl intermediates (Scheme 4).

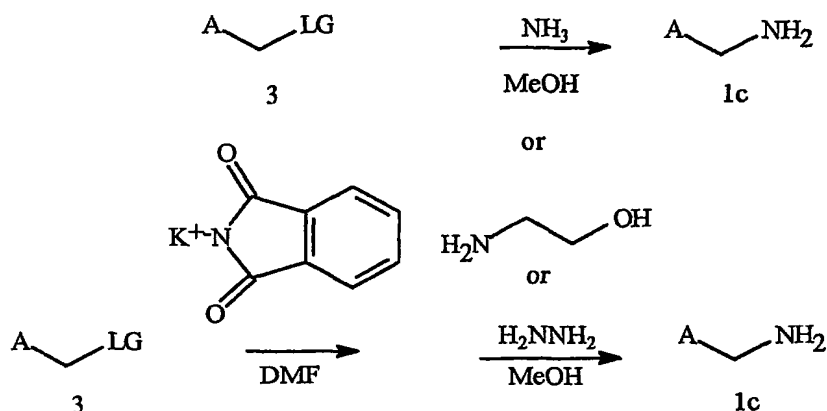
Scheme 4

A is a substituted pyridinyl ring

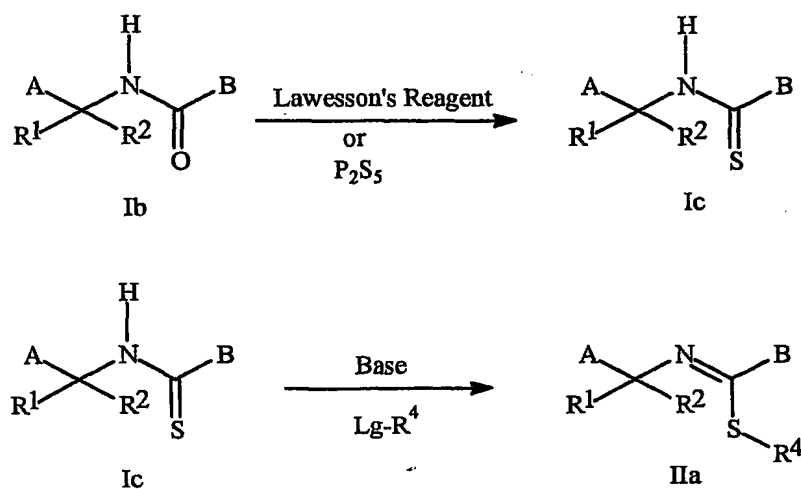
Alternatively, compounds of Formula 1c (compounds in which A is as defined above and R¹ and R² are hydrogen) can be synthesized by reacting compounds of Formula 3 with ammonia in a protic solvent such as methanol to provide compounds of Formula 1c.

Compounds of Formula 1c can also be prepared by reacting compounds of Formula 3 with a potassium salt of phthalimide followed by reaction with either aminoethanol or hydrazine in an alcohol solvent to provide the desired aminomethyl intermediates, Formula 1c (Scheme 5).

18

Scheme 5LG is Cl, Br, -OSO₂Me, -OSO₂-p-Tol

Compounds of Formula IIa (compounds in which R¹, R², A and B are as defined above and X is S) can be synthesized as outlined in Scheme 6. Amides of Formula Ib (compounds of Formula I in which R³ is H) shown below can be converted to thioamides of Formula Ic by contacting the amide with Lawesson's reagent or phosphorus pentasulfide in an appropriate solvent (for references, see March; *J. Advanced Organic Chemistry*, 4th ed., pp. 893-4). The thioamide can then be alkylated using an appropriate alkylating reagent in the presence of a base such as potassium carbonate, sodium hydride or potassium hydroxide. Suitable solvents can include, but are not limited to, ethers such as tetrahydrofuran, dimethoxyethane, or diethyl ether; hydrocarbons such as toluene or benzene; and halocarbons such as dichloromethane or chloroform.

Scheme 6

It is recognized that some reagents and reaction conditions described above for preparing compounds of Formula I may not be compatible with certain functionalities present in the intermediates. In these instances, the incorporation of protection/deprotection

sequences or functional group interconversions into the synthesis will aid in obtaining the desired products. The use and choice of the protecting groups will be apparent to one skilled in chemical synthesis (see, for example, Greene, T. W.; Wuts, P. G. M. *Protective Groups in Organic Synthesis*, 2nd ed.; Wiley: New York, 1991). One skilled in the art will recognize that, in some cases, after the introduction of a given reagent as it is depicted in any individual scheme, it may be necessary to perform additional routine synthetic steps not described in detail to complete the synthesis of compounds of Formula I. One skilled in the art will also recognize that it may be necessary to perform a combination of the steps illustrated in the above schemes in an order other than that implied by the particular sequence presented to prepare the compounds of Formula I.

One skilled in the art will also recognize that compounds of Formula I and the intermediates described herein can be subjected to various electrophilic, nucleophilic, radical, organometallic, oxidation, and reduction reactions to add substituents or modify existing substituents.

Without further elaboration, it is believed that one skilled in the art using the preceding description can utilize the present invention to its fullest extent. The following Examples are, therefore, to be construed as merely illustrative, and not limiting of the disclosure in any way whatsoever. Percentages are by weight except for chromatographic solvent mixtures or where otherwise indicated. Parts and percentages for chromatographic solvent mixtures are by volume unless otherwise indicated. ¹H NMR spectra are reported in ppm downfield from tetramethylsilane; s is singlet, d is doublet, t is triplet, q is quartet, m is multiplet, dd is doublet of doublets, dt is doublet of triplets, br s is broad singlet.

Example 1

Preparation of 2,4-Dichloro-N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-6-methyl-3-pyridinecarboxamide (Compound 8 of Index Table B):

Step A: Preparation of 2,4-Dichloro-N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-6-methyl-3-pyridinecarboxamide

Compound 8 was prepared by using 2-aminomethyl-3-chloro-5-trifluoromethylpyridine hydrochloride (prepared as described in WO99/42447). 2,4-dichloro-6-methyl-3-pyridine carbonyl chloride (0.65 g) in 2 mL of methylene chloride was added to a solution of 2-aminomethyl-3-chloro-5-trifluoromethylpyridine hydrochloride (0.79 g) and triethylamine (0.68 g) in 10 mL of methylene chloride at room temperature. The reaction mixture was stirred at room temperature overnight. The reaction mixture was then poured on top of a one-inch silica gel plug, eluted with 30 mL of methylene chloride and the eluent was rotary evaporated to yield 0.69 g of the amide (Compound 8), a compound of the invention. ¹H NMR (CDCl₃; 300 MHz) δ 2.57 (s, 3H), 4.96 (m, 2H), 7.22 (s, 1H), 7.48 (bs, 1H), 8.00 (s, 1H), 8.71 (s, 1H).

Example 2Preparation of 2,4-Dichloro-N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-3-pyridinecarboxamideStep A: Preparation of 2,4-dichloropyridine

5 A solution of 6.7 g of 4-nitropyridine *N*-oxide in POCl₃ was refluxed for 3 hours and then cooled to room temperature. The solvent was removed under vacuum to leave an oily residue. Saturated sodium bicarbonate solution (200 mL) was carefully added, followed by extraction with methylene chloride (2X). The methylene chloride was then removed under vacuum to provide an oil that was filtered through a plug of silica gel, eluting with 20% ethyl acetate in hexanes. Removal of the solvent under vacuum left 1.6 g of an oil. ¹H NMR (CDCl₃; 300 MHz) δ 7.25(d of d, 1H, J is 1.7, 5.4 Hz), 7.38(d, 1H, J is 1.7 Hz), 8.31(d, 1H, J is 5.4 Hz).

Step B: Preparation of 2,4-dichloro-3-pyridine carboxaldehyde

15 Under nitrogen, a solution of 1.6 g of 2,4-dichloropyridine in 5 mL dry tetrahydrofuran (THF) was added to a solution of 6 mL of lithium diisopropyl amide in 25 mL of THF at -70 °C, followed by stirring at this temperature for 3 hours. Then 1 mL of dry *N,N*-dimethylformamide was added at -70 °C followed by stirring at this temperature for 1 hour. Then 25 mL of saturated ammonium chloride solution was added and the reaction mixture was stirred at room temperature overnight. The reaction mixture was diluted with 25 mL of water and extracted with ethyl acetate (2X). The combine organic phases were distilled under vacuum to give solids that were dissolved in 5 mL of methylene chloride and filtered through silica gel, eluting with 100% methylene chloride. Removal of the solvent under vacuum provided the title intermediate as a solid. ¹H NMR (CDCl₃; 300 MHz) δ 7.41 (d, 1H, J is 5.3 Hz), 8.42 (d, 1H, J is 5.2 Hz), 10.5 (s, 1H).

Step C: Preparation of 2,4-dichloronicotinic acid

25 A solution of 0.40 g of the aldehyde from Step B was dissolved in 6 mL of THF and then added to a solution of 0.27 g of sodium chlorite and 0.29 g of sulfamic acid in 6 mL of water. The reaction mixture was stirred at room temperature overnight. The reaction mixture was diluted with 1 N sodium hydroxide (10 mL) and extracted with diethyl ether (1X). The aqueous layer was then acidified with concentrated HCl, extracted with methylene chloride (2X), and the combine methylene chloride extracts were dried over magnesium sulfate. The methylene chloride was removed under vacuum to give 0.22 g of a solid. ¹H NMR (CDCl₃; 300 MHz) δ 7.38(d, 1 H, J is 5.4 Hz), 8.40(d, 1H, J is 5.5 Hz), 8.60 (bs, 1H).

Step D: Preparation of 2,4-Dichloro-N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-3-pyridinecarboxamide

35 A solution of 0.22 g of the acid from Step C was refluxed in thionyl chloride for 1 hour followed by removal of the solvent under vacuum to give an oil. The oil was dissolved in

1 mL of methylene chloride and added to a solution of 2-aminomethyl-3-chloro-5-trifluoromethylpyridine hydrochloride (0.25 g) and triethylamine (0.20 g) in 9 mL of methylene chloride at room temperature. The reaction mixture was stirred at room temperature overnight. The reaction mixture was then filtered through silica gel, eluting with 100% methylene chloride. Removal of the solvent under vacuum provided the title compound as a solid, m.p. 122-124 °C.

Example 3

Preparation of 2,4-Dichloro-N-[1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-3-pyridinecarboxamide

10 Step A: Preparation of 3-Chloro- α -methyl-5-(trifluoromethyl)-2-pyridinemethanamine

N-(diphenylmethylene)glycine ethyl ester (2.25 g) was added to a suspension of sodium hydride (0.74 g of 60% oil dispersion) in 20 mL of dry *N,N*-dimethylformamide at room temperature, resulting in vigorous gas evolution. After stirring at room temperature for five minutes, 2 g of 2,3-dichloro-5-trifluoromethylpyridine was added, followed by stirring at room temperature for 1 hour. Then 0.80 mL of methyl iodide was added followed by stirring at room temperature overnight. The reaction mixture was poured onto ice water, extracted with diethyl ether (2X), and distilled under vacuum to remove the solvent leaving an oil. The oil was then refluxed in 6 *N* HCl overnight. The reaction mixture was cooled to room temperature, made basic with solid sodium carbonate and extracted with diethyl ether (2X). The combined extracts were dried over magnesium sulfate and distilled under vacuum to remove the solvent, leaving 1.5 g of an oil. ¹H NMR (CDCl₃; 300 MHz) δ 1.4(d,3H, J is 6.6Hz), 4.6(bs,1 H), 7.88(m,1 H), 8.75(bs,1 H).

25 Step B: Preparation of 2,4-Dichloro-N-[1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-3-pyridinecarboxamide

2,4-Dichloronicotinoyl chloride (0.40 g), made as in Example 1, Step C, was added to a solution of the amine intermediate from Step A (0.66 g) and triethylamine (0.70 g) in 30 mL of methylene chloride at room temperature followed by stirring overnight. The reaction mixture was distilled under vacuum to remove the solvent, giving an oil that was filtered through silica gel using 100% methylene chloride as the eluent. The solvent was then removed under vacuum to give the title compound, a compound of the invention, as a red oil. ¹H NMR (CDCl₃; 300 MHz) δ 1.62 (d, 3H, J is 6.7 Hz), 5.48 (m, 1 H), 7.35(d, 1 H, J is 5.2 Hz), 7.40(d, 1 H, J is 6.9), 7.99(d, 1 H, J is 1.8 Hz), 8.34(d, 1 H, J is 5.2), 8.70(s, 1 H).

Example 4Preparation of (+)-2,4-Dichloro-N-[1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-3-pyridinecarboxamideStep A: Resolution of 3-Chloro- α -methyl-5-(trifluoromethyl)-2-pyridinemethanamine:

(-)-Menthyl chloroformate (0.92 g) was added to a solution of the α -methyl amine from Example 3, Step A (1 g) and triethylamine (1.2 mL) in 25 mL of THF at room temperature followed by stirring at room temperature for 30 minutes. The solvent was then removed under vacuum to give an oil comprising two menthylcarbamate diastereomers that were separated via column chromatography (5% diethyl ether in hexanes as eluent) to give 0.20 g of the more polar diastereomer as an oil. This oil was then refluxed in 5 mL of trifluoroacetic acid for 4 hours to cleave the menthylcarbamate. The reaction mixture was allowed to cool to room temperature and diluted with water (30 mL), made basic with solid sodium carbonate and extracted with methylene chloride. The organic layer was dried over magnesium sulfate and distilled under vacuum to give 60 mg of the enantiomerically-enriched amine intermediate as an oil. ^1H NMR (CDCl_3 ; 300 MHz) δ 1.41(d, 3 H, J is 6.7 Hz), 1.9(bs, 2 H), 4.60(m, 1H), 7.88(m, 1H), 8.74(s, 1 H).

Step B: Preparation of (+)-2,4-Dichloro-N-[1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-3-pyridinecarboxamide:

2,4-Dichloronicotinoyl chloride (0.56 g), made as in Example 1, Step C was added to a solution of the enantiomerically-enriched amine from Step A (60 mg) and triethylamine (54 mg) in 10 mL of methylene chloride at room temperature followed by stirring overnight. The reaction mixture was then filtered through silica gel using 100% methylene chloride as the eluent. The solvent was removed under vacuum to give the title compound, a compound of the invention, as a solid, m.p. 110-111 °C. Polarimetric measurements of a solution of approximately 2 mg of the title compound in 1 mL of CDCl_3 rotates plane polarized light in the (+) or *dextro* direction.

The enantiomer of Example 4, (-)-2,4-Dichloro-N-[1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-3-pyridinecarboxamide, was prepared in analogous fashion using 3-chloro- α -methyl-5-(trifluoromethyl)-2-pyridinemethanamine that has been enriched in the opposite enantiomer from that obtained in Example 4, Step A.

Example 5Preparation of N-[1-(5-bromo-3-chloro-2-pyridinyl)ethyl]-2,4-dichloro-3-pyridinecarboxamideStep A: Preparation of 5-bromo-3-chloro-2(1H)-pyridone

A solution of 6.2g of potassium chlorate in 100 mL of water was added to a solution of 25g of 5-bromo-2-pyridone in 100 mL concentrated HCl pre-heated to 50 °C to 60 °C to

form a thick precipitate that was stirred for 5 min. Then, 60 mL of water was added to facilitate stirring and the mixture was stirred at room temperature overnight. The reaction mixture was filtered, triturated with water (2X), and the precipitate suction-dried to yield 17.7 g of the desired intermediate as a solid. NMR (CDCl₃, 300MHz): δ 7.53 (d, 1H, J is 2.6Hz), 7.75 (d, 1H, J is 2.5 Hz)

Step B: Preparation of 5-bromo-2,3-dichloropyridine

The product of Step A (17.7g) and 10 g of PCl₅ were combined into 100 mL POCl₃, and the mixture was refluxed for 4 hours with scrubbing. The reaction mixture was concentrated under reduced pressure to remove most of the POCl₃, carefully poured into warm water, cooled to room temperature and then extracted with methylene chloride (2X). The combined extracts were dried over magnesium sulfate and concentrated to give an oil which was subjected to column chromatography (8:2/hexanes:EtOAc) to give 4.2g of the desired intermediate as an oil. NMR (CDCl₃; 300MHz): δ 7.94(d, 1H, J is 2.2 Hz), 8.37(d, 1H, J is 2.3 Hz).

Step C: Preparation of 5-Bromo-3-chloro- α -methyl-2-pyridinemethanamine hydrochloride

Under nitrogen, 4.1 g of the title compound from Step B was added to a suspension of sodium hydride (60% oil suspension) in 30 mL of dry *N,N*-dimethylformamide, cooled to 0 °C. *N*-(Diphenylmethylene)glycine ethyl ester (4.6 g) was added in portions with no exotherm, and the mixture was stirred at room temperature for 3 hours. Then, 3.4 mL of methyl iodide was added at < 30 °C and the reaction mixture was stirred overnight at room temperature. The reaction mixture was diluted with water and extracted with diethyl ether (2X). The combined extracts were washed with saturated brine (1X) and reduced *in vacuo* to an oil that was then refluxed in 50 mL of 12N HCl for 4 hours. The reaction mixture was reduced *in vacuo* to an oil, cooled, and slurried with diethyl ether overnight. The ether was then decanted off and the residue was dried in a vacuum oven to give 1.3 g of the desired intermediate as a solid. NMR(CDCl₃; 300MHz): 1.40 and 1.46(2 doublets, 3H, J is 7.0 Hz), 4.7 (m, 1H), 8.48(d, 1H, J is 1.8), 8.6(bs, 3H), 8.79(d, 1H, J is 1.9 Hz).

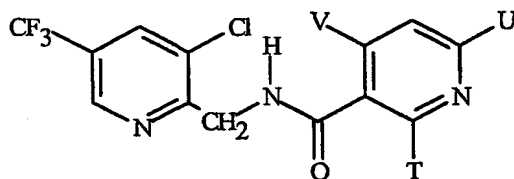
Step D: Preparation of *N*-[1-(5-bromo-3-chloro-2-pyridinyl)ethyl]-2,4-dichloro-3-pyridinecarboxamide

The product of Step C (0.80 g), 1.21 mL of triethyl amine and 0.62g of 2,4-dichloronicotinoyl chloride were combined in that order at < 20 °C in 25 mL of methylene chloride, and the mixture was stirred at room temperature overnight. The reaction mixture was reduced *in vacuo* to produce the title compound, a compound of the invention, as a solid. NMR (CDCl₃; 300MHz): δ 1.59(d, 3H, J is 6.6 Hz), 5.75(m, 1H), 7.3(bs, 1H), 7.34(d, 1H, J is 5.2 Hz), 7.91(d, 1H, J is 1.9 Hz), 8.33(d, 1H, J is 5.4 Hz), 8.49(d, 1H, J is 1.9 Hz).

Example 6Preparation of 2,4-Dichloro-N-[1-(3,5-dichloro-2-pyridinyl)ethyl]-3-pyridinecarboxamide

Example 6 was prepared in analogous fashion to Example 5 using 2-bromo-3,5-dichloropyridine as the starting material and subjecting this material to conditions analogous to those described in Steps C (to prepare 3,5-dichloro- α -methyl-2-pyridinemethanamine) and D of Example 5 to give the title compound, a compound of the invention, as a solid. NMR (CDCl₃; 300MHz): δ 1.58(d, 3H, J is 6.6Hz), 5.7-5.8(m, 1H), 7.4(m, 2H), 7.77(m, 1H), 8.35(m, 1H), 8.40(m, 1H).

By the procedures described herein together with methods known in the art, the following compounds of Tables 1-9 can be prepared. The following abbreviations are used in the Tables which follow: *t* is tertiary, *s* is secondary, *n* is normal, *i* is iso, *c* is cyclo, Me is methyl, Et is ethyl, Pr is propyl, *i*-Pr is isopropyl, Bu is butyl, Ph is phenyl, OMe is methoxy, OEt is ethoxy, SMe is methylthio, SEt is ethylthio, CN is cyano, NO₂ is nitro, TMS is trimethylsilyl, S(O)Me is methylsulfinyl, and S(O)₂Me is methylsulfonyl. The substituents M, Q and R are equivalent to independent R⁵ substituents that have been located in the positions indicated. The substituents T, U and V are equivalent to independent R⁶ substituents that have been located in the positions indicated.

Table 1

T	U	V	T	U	V
Me	Me	Me	Br	Me	Me
Me	Me	F	Br	Me	F
Me	Me	Cl	Br	Me	Cl
Me	Me	Br	Br	Me	Br
Me	Me	CF ₃	Br	Me	CF ₃
Me	Me	NO ₂	Br	Me	NO ₂
Me	Me	OMe	Br	Me	OMe
F	Me	Me	CF ₃	Me	Me
F	Me	F	CF ₃	Me	F
F	Me	Cl	CF ₃	Me	Cl
F	Me	Br	CF ₃	Me	Br
F	Me	CF ₃	CF ₃	Me	CF ₃

25

F	Me	NO ₂	CF ₃	Me	NO ₂
F	Me	OMe	CF ₃	Me	OMe
Cl	Me	Me	NO ₂	Me	Me
Cl	Me	F	NO ₂	Me	F
Cl	Me	Cl	NO ₂	Me	Cl
Cl	Me	Br	NO ₂	Me	Br
Cl	Me	CF ₃	NO ₂	Me	CF ₃
Cl	Me	NO ₂	NO ₂	Me	NO ₂
Cl	Me	OMe	NO ₂	Me	OMe
T	U	V	T	U	V
Me	F	Me	Br	F	Me
Me	F	F	Br	F	F
Me	F	Cl	Br	F	Cl
Me	F	Br	Br	F	Br
Me	F	CF ₃	Br	F	CF ₃
Me	F	NO ₂	Br	F	NO ₂
Me	F	OMe	Br	F	OMe
F	F	Me	CF ₃	F	Me
F	F	F	CF ₃	F	F
F	F	Cl	CF ₃	F	Cl
F	F	Br	CF ₃	F	Br
F	F	CF ₃	CF ₃	F	CF ₃
F	F	NO ₂	CF ₃	F	NO ₂
F	F	OMe	CF ₃	F	OMe
Cl	F	Me	NO ₂	F	Me
Cl	F	F	NO ₂	F	F
Cl	F	Cl	NO ₂	F	Cl
Cl	F	Br	NO ₂	F	Br
Cl	F	CF ₃	NO ₂	F	CF ₃
Cl	F	NO ₂	NO ₂	F	NO ₂
Cl	F	OMe	NO ₂	F	OMe
T	U	V	T	U	V
Me	Cl	Me	Br	Cl	Me
Me	Cl	F	Br	Cl	F
Me	Cl	Cl	Br	Cl	Cl
Me	Cl	Br	Br	Cl	Br

26

Me	Cl	CF ₃	Br	Cl	CF ₃
Me	Cl	NO ₂	Br	Cl	NO ₂
Me	Cl	OMe	Br	Cl	OMe
F	Cl	Me	CF ₃	Cl	Me
F	Cl	F	CF ₃	Cl	F
F	Cl	Cl	CF ₃	Cl	Cl
F	Cl	Br	CF ₃	Cl	Br
F	Cl	CF ₃	CF ₃	Cl	CF ₃
F	Cl	NO ₂	CF ₃	Cl	NO ₂
F	Cl	OMe	CF ₃	Cl	OMe
Cl	Cl	Me	NO ₂	Cl	Me
Cl	Cl	F	NO ₂	Cl	F
Cl	Cl	Cl	NO ₂	Cl	Cl
Cl	Cl	Br	NO ₂	Cl	Br
Cl	Cl	CF ₃	NO ₂	Cl	CF ₃
Cl	Cl	NO ₂	NO ₂	Cl	NO ₂
Cl	Cl	OMe	NO ₂	Cl	OMe
T	U	V	T	U	V
Me	Br	Me	Br	Br	Me
Me	Br	F	Br	Br	F
Me	Br	Cl	Br	Br	Cl
Me	Br	Br	Br	Br	Br
Me	Br	CF ₃	Br	Br	CF ₃
Me	Br	NO ₂	Br	Br	NO ₂
Me	Br	OMe	Br	Br	OMe
F	Br	Me	CF ₃	Br	Me
F	Br	F	CF ₃	Br	F
F	Br	Cl	CF ₃	Br	Cl
F	Br	Br	CF ₃	Br	Br
F	Br	CF ₃	CF ₃	Br	CF ₃
F	Br	NO ₂	CF ₃	Br	NO ₂
F	Br	OMe	CF ₃	Br	OMe
Cl	Br	Me	NO ₂	Br	Me
Cl	Br	F	NO ₂	Br	F
Cl	Br	Cl	NO ₂	Br	Cl
Cl	Br	Br	NO ₂	Br	Br
Cl	Br	CF ₃	NO ₂	Br	CF ₃

27

Cl	Br	NO ₂	NO ₂	Br	NO ₂
Cl	Br	OMe	NO ₂	Br	OMe
T	U	V	T	U	V
Me	CF ₃	Me	Br	CF ₃	Me
Me	CF ₃	F	Br	CF ₃	F
Me	CF ₃	Cl	Br	CF ₃	Cl
Me	CF ₃	Br	Br	CF ₃	Br
Me	CF ₃	CF ₃	Br	CF ₃	CF ₃
Me	CF ₃	NO ₂	Br	CF ₃	NO ₂
Me	CF ₃	OMe	Br	CF ₃	OMe
F	CF ₃	Me	CF ₃	CF ₃	Me
F	CF ₃	F	CF ₃	CF ₃	F
F	CF ₃	Cl	CF ₃	CF ₃	Cl
F	CF ₃	Br	CF ₃	CF ₃	Br
F	CF ₃	CF ₃	CF ₃	CF ₃	CF ₃
F	CF ₃	NO ₂	CF ₃	CF ₃	NO ₂
F	CF ₃	OMe	CF ₃	CF ₃	OMe
Cl	CF ₃	Me	NO ₂	CF ₃	Me
Cl	CF ₃	F	NO ₂	CF ₃	F
Cl	CF ₃	Cl	NO ₂	CF ₃	Cl
Cl	CF ₃	Br	NO ₂	CF ₃	Br
Cl	CF ₃	CF ₃	NO ₂	CF ₃	CF ₃
Cl	CF ₃	NO ₂	NO ₂	CF ₃	NO ₂
Cl	CF ₃	OMe	NO ₂	CF ₃	OMe
T	U	V	T	U	V
Me	NO ₂	Me	Br	NO ₂	Me
Me	NO ₂	F	Br	NO ₂	F
Me	NO ₂	Cl	Br	NO ₂	Cl
Me	NO ₂	Br	Br	NO ₂	Br
Me	NO ₂	CF ₃	Br	NO ₂	CF ₃
Me	NO ₂	NO ₂	Br	NO ₂	NO ₂
Me	NO ₂	OMe	Br	NO ₂	OMe
F	NO ₂	Me	CF ₃	NO ₂	Me
F	NO ₂	F	CF ₃	NO ₂	F
F	NO ₂	Cl	CF ₃	NO ₂	Cl
F	NO ₂	Br	CF ₃	NO ₂	Br

F	NO ₂	CF ₃	CF ₃	NO ₂	CF ₃
F	NO ₂	NO ₂	CF ₃	NO ₂	NO ₂
F	NO ₂	OMe	CF ₃	NO ₂	OMe
Cl	NO ₂	Me	NO ₂	NO ₂	Me
Cl	NO ₂	F	NO ₂	NO ₂	F
Cl	NO ₂	Cl	NO ₂	NO ₂	Cl
Cl	NO ₂	Br	NO ₂	NO ₂	Br
Cl	NO ₂	CF ₃	NO ₂	NO ₂	CF ₃
Cl	NO ₂	NO ₂	NO ₂	NO ₂	NO ₂
Cl	NO ₂	OMe	NO ₂	NO ₂	OMe
T	U	V	T	U	V
Me	OMe	Me	Br	OMe	Me
Me	OMe	F	Br	OMe	F
Me	OMe	Cl	Br	OMe	Cl
Me	OMe	Br	Br	OMe	Br
Me	OMe	CF ₃	Br	OMe	CF ₃
Me	OMe	NO ₂	Br	OMe	NO ₂
Me	OMe	OMe	Br	OMe	OMe
F	OMe	Me	CF ₃	OMe	Me
F	OMe	F	CF ₃	OMe	F
F	OMe	Cl	CF ₃	OMe	Cl
F	OMe	Br	CF ₃	OMe	Br
F	OMe	CF ₃	CF ₃	OMe	CF ₃
F	OMe	NO ₂	CF ₃	OMe	NO ₂
F	OMe	OMe	CF ₃	OMe	OMe
Cl	OMe	Me	NO ₂	OMe	Me
Cl	OMe	F	NO ₂	OMe	F
Cl	OMe	Cl	NO ₂	OMe	Cl
Cl	OMe	Br	NO ₂	OMe	Br
Cl	OMe	CF ₃	NO ₂	OMe	CF ₃
Cl	OMe	NO ₂	NO ₂	OMe	NO ₂
Cl	OMe	OMe	NO ₂	OMe	OMe
T	U	V	T	U	V
Me	H	Me	Br	H	Me
Me	H	F	Br	H	F
Me	H	Cl	Br	H	Cl

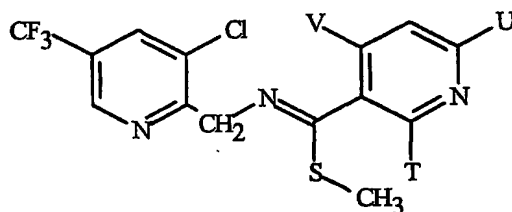
29

Me	H	Br	Br	H	Br
Me	H	CF ₃	Br	H	CF ₃
Me	H	NO ₂	Br	H	NO ₂
Me	H	OMe	Br	H	OMe
F	H	Me	CF ₃	H	Me
F	H	F	CF ₃	H	F
F	H	Cl	CF ₃	H	Cl
F	H	Br	CF ₃	H	Br
F	H	CF ₃	CF ₃	H	CF ₃
F	H	NO ₂	CF ₃	H	NO ₂
F	H	OMe	CF ₃	H	OMe
Cl	H	Me	NO ₂	H	Me
Cl	H	F	NO ₂	H	F
Cl	H	Cl	NO ₂	H	Cl
Cl	H	Br	NO ₂	H	Br
Cl	H	CF ₃	NO ₂	H	CF ₃
Cl	H	NO ₂	NO ₂	H	NO ₂
Cl	H	OMe	NO ₂	H	OMe
T	U	V	T	U	V
OMe	Me	Me	OMe	Br	Me
OMe	Me	F	OMe	Br	F
OMe	Me	Cl	OMe	Br	Cl
OMe	Me	Br	OMe	Br	Br
OMe	Me	CF ₃	OMe	Br	CF ₃
OMe	Me	NO ₂	OMe	Br	NO ₂
OMe	Me	OMe	OMe	Br	OMe
OMe	F	Me	OMe	CF ₃	Me
OMe	F	F	OMe	CF ₃	F
OMe	F	Cl	OMe	CF ₃	Cl
OMe	F	Br	OMe	CF ₃	Br
OMe	F	CF ₃	OMe	CF ₃	CF ₃
OMe	F	NO ₂	OMe	CF ₃	NO ₂
OMe	F	OMe	OMe	CF ₃	OMe
OMe	Cl	Me	OMe	NO ₂	Me
OMe	Cl	F	OMe	NO ₂	F
OMe	Cl	Cl	OMe	NO ₂	Cl
OMe	Cl	Br	OMe	NO ₂	Br

30

OMe	Cl	CF ₃	OMe	NO ₂	CF ₃
OMe	Cl	NO ₂	OMe	NO ₂	NO ₂
OMe	Cl	OMe	OMe	NO ₂	OMe
OMe	H	Me	OMe	H	Br
OMe	H	F	OMe	H	CF ₃
OMe	H	Cl	OMe	H	NO ₂
OMe	H	OMe	OMe	OMe	Me
OMe	OMe	CF ₃	OMe	OMe	F
OMe	OMe	NO ₂	OMe	OMe	Cl
OMe	OMe	OMe	OMe	OMe	Br

Table 2



T	U	V	T	U	V
Me	Me	Me	Br	Me	Me
Me	Me	F	Br	Me	F
Me	Me	Cl	Br	Me	Cl
Me	Me	Br	Br	Me	Br
Me	Me	CF ₃	Br	Me	CF ₃
Me	Me	NO ₂	Br	Me	NO ₂
Me	Me	OMe	Br	Me	OMe
F	Me	Me	CF ₃	Me	Me
F	Me	F	CF ₃	Me	F
F	Me	Cl	CF ₃	Me	Cl
F	Me	Br	CF ₃	Me	Br
F	Me	CF ₃	CF ₃	Me	CF ₃
F	Me	NO ₂	CF ₃	Me	NO ₂
F	Me	OMe	CF ₃	Me	OMe
Cl	Me	Me	NO ₂	Me	Me
Cl	Me	F	NO ₂	Me	F
Cl	Me	Cl	NO ₂	Me	Cl
Cl	Me	Br	NO ₂	Me	Br

31

Cl	Me	CF ₃	NO ₂	Me	CF ₃
Cl	Me	NO ₂	NO ₂	Me	NO ₂
Cl	Me	OMe	NO ₂	Me	OMe

T	U	V	T	U	V
Me	F	Me	Br	F	Me
Me	F	F	Br	F	F
Me	F	Cl	Br	F	Cl
Me	F	Br	Br	F	Br
Me	F	CF ₃	Br	F	CF ₃
Me	F	NO ₂	Br	F	NO ₂
Me	F	OMe	Br	F	OMe
F	F	Me	CF ₃	F	Me
F	F	F	CF ₃	F	F
F	F	Cl	CF ₃	F	Cl
F	F	Br	CF ₃	F	Br
F	F	CF ₃	CF ₃	F	CF ₃
F	F	NO ₂	CF ₃	F	NO ₂
F	F	OMe	CF ₃	F	OMe
Cl	F	Me	NO ₂	F	Me
Cl	F	F	NO ₂	F	F
Cl	F	Cl	NO ₂	F	Cl
Cl	F	Br	NO ₂	F	Br
Cl	F	CF ₃	NO ₂	F	CF ₃
Cl	F	NO ₂	NO ₂	F	NO ₂
Cl	F	OMe	NO ₂	F	OMe
T	U	V	T	U	V
Me	Cl	Me	Br	Cl	Me
Me	Cl	F	Br	Cl	F
Me	Cl	Cl	Br	Cl	Cl
Me	Cl	Br	Br	Cl	Br
Me	Cl	CF ₃	Br	Cl	CF ₃
Me	Cl	NO ₂	Br	Cl	NO ₂
Me	Cl	OMe	Br	Cl	OMe
F	Cl	Me	CF ₃	Cl	Me
F	Cl	F	CF ₃	Cl	F
F	Cl	Cl	CF ₃	Cl	Cl

F	Cl	Br	CF ₃	Cl	Br
F	Cl	CF ₃	CF ₃	Cl	CF ₃
F	Cl	NO ₂	CF ₃	Cl	NO ₂
F	Cl	OMe	CF ₃	Cl	OMe
Cl	Cl	Me	NO ₂	Cl	Me
Cl	Cl	F	NO ₂	Cl	F
Cl	Cl	Cl	NO ₂	Cl	Cl
Cl	Cl	Br	NO ₂	Cl	Br
Cl	Cl	CF ₃	NO ₂	Cl	CF ₃
Cl	Cl	NO ₂	NO ₂	Cl	NO ₂
Cl	Cl	OMe	NO ₂	Cl	OMe

T	U	V	T	U	V
Me	Br	Me	Br	Br	Me
Me	Br	F	Br	Br	F
Me	Br	Cl	Br	Br	Cl
Me	Br	Br	Br	Br	Br
Me	Br	CF ₃	Br	Br	CF ₃
Me	Br	NO ₂	Br	Br	NO ₂
Me	Br	OMe	Br	Br	OMe
F	Br	Me	CF ₃	Br	Me
F	Br	F	CF ₃	Br	F
F	Br	Cl	CF ₃	Br	Cl
F	Br	Br	CF ₃	Br	Br
F	Br	CF ₃	CF ₃	Br	CF ₃
F	Br	NO ₂	CF ₃	Br	NO ₂
F	Br	OMe	CF ₃	Br	OMe
Cl	Br	Me	NO ₂	Br	Me
Cl	Br	F	NO ₂	Br	F
Cl	Br	Cl	NO ₂	Br	Cl
Cl	Br	Br	NO ₂	Br	Br
Cl	Br	CF ₃	NO ₂	Br	CF ₃
Cl	Br	NO ₂	NO ₂	Br	NO ₂
Cl	Br	OMe	NO ₂	Br	OMe

T	U	V	T	U	V
Me	CF ₃	Me	Br	CF ₃	Me
Me	CF ₃	F	Br	CF ₃	F

Me	CF ₃	Cl	Br	CF ₃	Cl
Me	CF ₃	Br	Br	CF ₃	Br
Me	CF ₃	CF ₃	Br	CF ₃	CF ₃
Me	CF ₃	NO ₂	Br	CF ₃	NO ₂
Me	CF ₃	OMe	Br	CF ₃	OMe
F	CF ₃	Me	CF ₃	CF ₃	Me
F	CF ₃	F	CF ₃	CF ₃	F
F	CF ₃	Cl	CF ₃	CF ₃	Cl
F	CF ₃	Br	CF ₃	CF ₃	Br
F	CF ₃	CF ₃	CF ₃	CF ₃	CF ₃
F	CF ₃	NO ₂	CF ₃	CF ₃	NO ₂
F	CF ₃	OMe	CF ₃	CF ₃	OMe
Cl	CF ₃	Me	NO ₂	CF ₃	Me
Cl	CF ₃	F	NO ₂	CF ₃	F
Cl	CF ₃	Cl	NO ₂	CF ₃	Cl
Cl	CF ₃	Br	NO ₂	CF ₃	Br
Cl	CF ₃	CF ₃	NO ₂	CF ₃	CF ₃
Cl	CF ₃	NO ₂	NO ₂	CF ₃	NO ₂
Cl	CF ₃	OMe	NO ₂	CF ₃	OMe
T	U	V	T	U	V
Me	NO ₂	Me	Br	NO ₂	Me
Me	NO ₂	F	Br	NO ₂	F
Me	NO ₂	Cl	Br	NO ₂	Cl
Me	NO ₂	Br	Br	NO ₂	Br
Me	NO ₂	CF ₃	Br	NO ₂	CF ₃
Me	NO ₂	NO ₂	Br	NO ₂	NO ₂
Me	NO ₂	OMe	Br	NO ₂	OMe
F	NO ₂	Me	CF ₃	NO ₂	Me
F	NO ₂	F	CF ₃	NO ₂	F
F	NO ₂	Cl	CF ₃	NO ₂	Cl
F	NO ₂	Br	CF ₃	NO ₂	Br
F	NO ₂	CF ₃	CF ₃	NO ₂	CF ₃
F	NO ₂	NO ₂	CF ₃	NO ₂	NO ₂
F	NO ₂	OMe	CF ₃	NO ₂	OMe
Cl	NO ₂	Me	NO ₂	NO ₂	Me
Cl	NO ₂	F	NO ₂	NO ₂	F
Cl	NO ₂	Cl	NO ₂	NO ₂	Cl

Cl	NO ₂	Br	NO ₂	NO ₂	Br
Cl	NO ₂	CF ₃	NO ₂	NO ₂	CF ₃
Cl	NO ₂	NO ₂	NO ₂	NO ₂	NO ₂
Cl	NO ₂	OMe	NO ₂	NO ₂	OMe

T	U	V	T	U	V
Me	OMe	Me	Br	OMe	Me
Me	OMe	F	Br	OMe	F
Me	OMe	Cl	Br	OMe	Cl
Me	OMe	Br	Br	OMe	Br
Me	OMe	CF ₃	Br	OMe	CF ₃
Me	OMe	NO ₂	Br	OMe	NO ₂
Me	OMe	OMe	Br	OMe	OMe
F	OMe	Me	CF ₃	OMe	Me
F	OMe	F	CF ₃	OMe	F
F	OMe	Cl	CF ₃	OMe	Cl
F	OMe	Br	CF ₃	OMe	Br
F	OMe	CF ₃	CF ₃	OMe	CF ₃
F	OMe	NO ₂	CF ₃	OMe	NO ₂
F	OMe	OMe	CF ₃	OMe	OMe
Cl	OMe	Me	NO ₂	OMe	Me
Cl	OMe	F	NO ₂	OMe	F
Cl	OMe	Cl	NO ₂	OMe	Cl
Cl	OMe	Br	NO ₂	OMe	Br
Cl	OMe	CF ₃	NO ₂	OMe	CF ₃
Cl	OMe	NO ₂	NO ₂	OMe	NO ₂
Cl	OMe	OMe	NO ₂	OMe	OMe

T	U	V	T	U	V
Me	H	Me	Br	H	Me
Me	H	F	Br	H	F
Me	H	Cl	Br	H	Cl
Me	H	Br	Br	H	Br
Me	H	CF ₃	Br	H	CF ₃
Me	H	NO ₂	Br	H	NO ₂
Me	H	OMe	Br	H	OMe
F	H	Me	CF ₃	H	Me
F	H	F	CF ₃	H	F

35

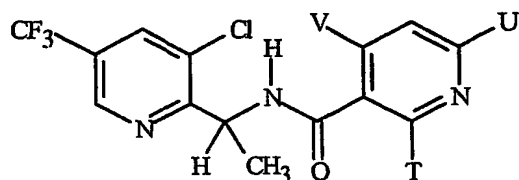
F	H	Cl	CF ₃	H	Cl
F	H	Br	CF ₃	H	Br
F	H	CF ₃	CF ₃	H	CF ₃
F	H	NO ₂	CF ₃	H	NO ₂
F	H	OMe	CF ₃	H	OMe
Cl	H	Me	NO ₂	H	Me
Cl	H	F	NO ₂	H	F
Cl	H	Cl	NO ₂	H	Cl
Cl	H	Br	NO ₂	H	Br
Cl	H	CF ₃	NO ₂	H	CF ₃
Cl	H	NO ₂	NO ₂	H	NO ₂
Cl	H	OMe	NO ₂	H	OMe

T	U	V	T	U	V
OMe	Me	Me	OMe	Br	Me
OMe	Me	F	OMe	Br	F
OMe	Me	Cl	OMe	Br	Cl
OMe	Me	Br	OMe	Br	Br
OMe	Me	CF ₃	OMe	Br	CF ₃
OMe	Me	NO ₂	OMe	Br	NO ₂
OMe	Me	OMe	OMe	Br	OMe
OMe	F	Me	OMe	CF ₃	Me
OMe	F	F	OMe	CF ₃	F
OMe	F	Cl	OMe	CF ₃	Cl
OMe	F	Br	OMe	CF ₃	Br
OMe	F	CF ₃	OMe	CF ₃	CF ₃
OMe	F	NO ₂	OMe	CF ₃	NO ₂
OMe	F	OMe	OMe	CF ₃	OMe
OMe	Cl	Me	OMe	NO ₂	Me
OMe	Cl	F	OMe	NO ₂	F
OMe	Cl	Cl	OMe	NO ₂	Cl
OMe	Cl	Br	OMe	NO ₂	Br
OMe	Cl	CF ₃	OMe	NO ₂	CF ₃
OMe	Cl	NO ₂	OMe	NO ₂	NO ₂
OMe	Cl	OMe	OMe	NO ₂	OMe
OMe	H	Me	OMe	H	Br
OMe	H	F	OMe	H	CF ₃
OMe	H	Cl	OMe	H	NO ₂

36

OMe	H	OMe	OMe	OMe	Me
OMe	OMe	CF ₃	OMe	OMe	F
OMe	OMe	NO ₂	OMe	OMe	Cl
OMe	OMe	OMe	OMe	OMe	Br

Table 3



T	U	V	T	U	V
Me	Me	Me	Br	Me	Me
Me	Me	F	Br	Me	F
Me	Me	Cl	Br	Me	Cl
Me	Me	Br	Br	Me	Br
Me	Me	CF ₃	Br	Me	CF ₃
Me	Me	NO ₂	Br	Me	NO ₂
Me	Me	OMe	Br	Me	OMe
F	Me	Me	CF ₃	Me	Me
F	Me	F	CF ₃	Me	F
F	Me	Cl	CF ₃	Me	Cl
F	Me	Br	CF ₃	Me	Br
F	Me	CF ₃	CF ₃	Me	CF ₃
F	Me	NO ₂	CF ₃	Me	NO ₂
F	Me	OMe	CF ₃	Me	OMe
Cl	Me	Me	NO ₂	Me	Me
Cl	Me	F	NO ₂	Me	F
Cl	Me	Cl	NO ₂	Me	Cl
Cl	Me	Br	NO ₂	Me	Br
Cl	Me	CF ₃	NO ₂	Me	CF ₃
Cl	Me	NO ₂	NO ₂	Me	NO ₂
Cl	Me	OMe	NO ₂	Me	OMe
T	U	V	T	U	V
Me	F	Me	Br	F	Me
Me	F	F	Br	F	F
Me	F	Cl	Br	F	Cl

37

Me	F	Br	Br	F	Br
Me	F	CF ₃	Br	F	CF ₃
Me	F	NO ₂	Br	F	NO ₂
Me	F	OMe	Br	F	OMe
F	F	Me	CF ₃	F	Me
F	F	F	CF ₃	F	F
F	F	Cl	CF ₃	F	Cl
F	F	Br	CF ₃	F	Br
F	F	CF ₃	CF ₃	F	CF ₃
F	F	NO ₂	CF ₃	F	NO ₂
F	F	OMe	CF ₃	F	OMe
Cl	F	Me	NO ₂	F	Me
Cl	F	F	NO ₂	F	F
Cl	F	Cl	NO ₂	F	Cl
Cl	F	Br	NO ₂	F	Br
Cl	F	CF ₃	NO ₂	F	CF ₃
Cl	F	NO ₂	NO ₂	F	NO ₂
Cl	F	OMe	NO ₂	F	OMe
T	U	V	T	U	V
Me	Cl	Me	Br	Cl	Me
Me	Cl	F	Br	Cl	F
Me	Cl	Cl	Br	Cl	Cl
Me	Cl	Br	Br	Cl	Br
Me	Cl	CF ₃	Br	Cl	CF ₃
Me	Cl	NO ₂	Br	Cl	NO ₂
Me	Cl	OMe	Br	Cl	OMe
F	Cl	Me	CF ₃	Cl	Me
F	Cl	F	CF ₃	Cl	F
F	Cl	Cl	CF ₃	Cl	Cl
F	Cl	Br	CF ₃	Cl	Br
F	Cl	CF ₃	CF ₃	Cl	CF ₃
F	Cl	NO ₂	CF ₃	Cl	NO ₂
F	Cl	OMe	CF ₃	Cl	OMe
Cl	Cl	Me	NO ₂	Cl	Me
Cl	Cl	F	NO ₂	Cl	F
Cl	Cl	Cl	NO ₂	Cl	Cl
Cl	Cl	Br	NO ₂	Cl	Br

38

Cl	Cl	CF ₃	NO ₂	Cl	CF ₃
Cl	Cl	NO ₂	NO ₂	Cl	NO ₂
Cl	Cl	OMe	NO ₂	Cl	OMe
T	U	V	T	U	V
Me	Br	Me	Br	Br	Me
Me	Br	F	Br	Br	F
Me	Br	Cl	Br	Br	Cl
Me	Br	Br	Br	Br	Br
Me	Br	CF ₃	Br	Br	CF ₃
Me	Br	NO ₂	Br	Br	NO ₂
Me	Br	OMe	Br	Br	OMe
F	Br	Me	CF ₃	Br	Me
F	Br	F	CF ₃	Br	F
F	Br	Cl	CF ₃	Br	Cl
F	Br	Br	CF ₃	Br	Br
F	Br	CF ₃	CF ₃	Br	CF ₃
F	Br	NO ₂	CF ₃	Br	NO ₂
F	Br	OMe	CF ₃	Br	OMe
Cl	Br	Me	NO ₂	Br	Me
Cl	Br	F	NO ₂	Br	F
Cl	Br	Cl	NO ₂	Br	Cl
Cl	Br	Br	NO ₂	Br	Br
Cl	Br	CF ₃	NO ₂	Br	CF ₃
Cl	Br	NO ₂	NO ₂	Br	NO ₂
Cl	Br	OMe	NO ₂	Br	OMe
T	U	V	T	U	V
Me	CF ₃	Me	Br	CF ₃	Me
Me	CF ₃	F	Br	CF ₃	F
Me	CF ₃	Cl	Br	CF ₃	Cl
Me	CF ₃	Br	Br	CF ₃	Br
Me	CF ₃	CF ₃	Br	CF ₃	CF ₃
Me	CF ₃	NO ₂	Br	CF ₃	NO ₂
Me	CF ₃	OMe	Br	CF ₃	OMe
F	CF ₃	Me	CF ₃	CF ₃	Me
F	CF ₃	F	CF ₃	CF ₃	F
F	CF ₃	Cl	CF ₃	CF ₃	Cl

F	CF ₃	Br
F	CF ₃	CF ₃
F	CF ₃	NO ₂
F	CF ₃	OMe
Cl	CF ₃	Me
Cl	CF ₃	F
Cl	CF ₃	Cl
Cl	CF ₃	Br
Cl	CF ₃	CF ₃
Cl	CF ₃	NO ₂
Cl	CF ₃	OMe

CF ₃	CF ₃	Br
CF ₃	CF ₃	CF ₃
CF ₃	CF ₃	NO ₂
CF ₃	CF ₃	OMe
NO ₂	CF ₃	Me
NO ₂	CF ₃	F
NO ₂	CF ₃	Cl
NO ₂	CF ₃	Br
NO ₂	CF ₃	CF ₃
NO ₂	CF ₃	NO ₂
NO ₂	CF ₃	OMe

T	U	V
Me	NO ₂	Me
Me	NO ₂	F
Me	NO ₂	Cl
Me	NO ₂	Br
Me	NO ₂	CF ₃
Me	NO ₂	NO ₂
Me	NO ₂	OMe
F	NO ₂	Me
F	NO ₂	F
F	NO ₂	Cl
F	NO ₂	Br
F	NO ₂	CF ₃
F	NO ₂	NO ₂
F	NO ₂	OMe
Cl	NO ₂	Me
Cl	NO ₂	F
Cl	NO ₂	Cl
Cl	NO ₂	Br
Cl	NO ₂	CF ₃
Cl	NO ₂	NO ₂
Cl	NO ₂	OMe

T	U	V
Br	NO ₂	Me
Br	NO ₂	F
Br	NO ₂	Cl
Br	NO ₂	Br
Br	NO ₂	CF ₃
Br	NO ₂	NO ₂
Br	NO ₂	OMe
CF ₃	NO ₂	Me
CF ₃	NO ₂	F
CF ₃	NO ₂	Cl
CF ₃	NO ₂	Br
CF ₃	NO ₂	CF ₃
CF ₃	NO ₂	NO ₂
CF ₃	NO ₂	OMe
NO ₂	NO ₂	Me
NO ₂	NO ₂	F
NO ₂	NO ₂	Cl
NO ₂	NO ₂	Br
NO ₂	NO ₂	CF ₃
NO ₂	NO ₂	NO ₂
NO ₂	NO ₂	OMe

T	U	V
Me	OMe	Me
Me	OMe	F

T	U	V
Br	OMe	Me
Br	OMe	F

Me	OMe	Cl	Br	OMe	Cl
Me	OMe	Br	Br	OMe	Br
Me	OMe	CF ₃	Br	OMe	CF ₃
Me	OMe	NO ₂	Br	OMe	NO ₂
Me	OMe	OMe	Br	OMe	OMe
F	OMe	Me	CF ₃	OMe	Me
F	OMe	F	CF ₃	OMe	F
F	OMe	Cl	CF ₃	OMe	Cl
F	OMe	Br	CF ₃	OMe	Br
F	OMe	CF ₃	CF ₃	OMe	CF ₃
F	OMe	NO ₂	CF ₃	OMe	NO ₂
F	OMe	OMe	CF ₃	OMe	OMe
Cl	OMe	Me	NO ₂	OMe	Me
Cl	OMe	F	NO ₂	OMe	F
Cl	OMe	Cl	NO ₂	OMe	Cl
Cl	OMe	Br	NO ₂	OMe	Br
Cl	OMe	CF ₃	NO ₂	OMe	CF ₃
Cl	OMe	NO ₂	NO ₂	OMe	NO ₂
Cl	OMe	OMe	NO ₂	OMe	OMe

T	U	V	T	U	V
Me	H	Me	Br	H	Me
Me	H	F	Br	H	F
Me	H	Cl	Br	H	Cl
Me	H	Br	Br	H	Br
Me	H	CF ₃	Br	H	CF ₃
Me	H	NO ₂	Br	H	NO ₂
Me	H	OMe	Br	H	OMe
F	H	Me	CF ₃	H	Me
F	H	F	CF ₃	H	F
F	H	Cl	CF ₃	H	Cl
F	H	Br	CF ₃	H	Br
F	H	CF ₃	CF ₃	H	CF ₃
F	H	NO ₂	CF ₃	H	NO ₂
F	H	OMe	CF ₃	H	OMe
Cl	H	Me	NO ₂	H	Me
Cl	H	F	NO ₂	H	F
Cl	H	Cl	NO ₂	H	Cl

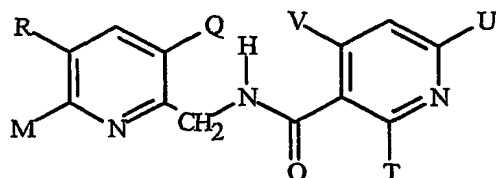
41

Cl	H	Br
Cl	H	CF ₃
Cl	H	NO ₂
Cl	H	OMe

NO ₂	H	Br
NO ₂	H	CF ₃
NO ₂	H	NO ₂
NO ₂	H	OMe

T	U	V	T	U	V
OMe	Me	Me	OMe	Br	Me
OMe	Me	F	OMe	Br	F
OMe	Me	Cl	OMe	Br	Cl
OMe	Me	Br	OMe	Br	Br
OMe	Me	CF ₃	OMe	Br	CF ₃
OMe	Me	NO ₂	OMe	Br	NO ₂
OMe	Me	OMe	OMe	Br	OMe
OMe	F	Me	OMe	CF ₃	Me
OMe	F	F	OMe	CF ₃	F
OMe	F	Cl	OMe	CF ₃	Cl
OMe	F	Br	OMe	CF ₃	Br
OMe	F	CF ₃	OMe	CF ₃	CF ₃
OMe	F	NO ₂	OMe	CF ₃	NO ₂
OMe	F	OMe	OMe	CF ₃	OMe
OMe	Cl	Me	OMe	NO ₂	Me
OMe	Cl	F	OMe	NO ₂	F
OMe	Cl	Cl	OMe	NO ₂	Cl
OMe	Cl	Br	OMe	NO ₂	Br
OMe	Cl	CF ₃	OMe	NO ₂	CF ₃
OMe	Cl	NO ₂	OMe	NO ₂	NO ₂
OMe	Cl	OMe	OMe	NO ₂	OMe
OMe	H	Me	OMe	H	Br
OMe	H	F	OMe	H	CF ₃
OMe	H	Cl	OMe	H	NO ₂
OMe	H	OMe	OMe	OMe	Me
OMe	OMe	CF ₃	OMe	OMe	F
OMe	OMe	NO ₂	OMe	OMe	Cl
OMe	OMe	OMe	OMe	OMe	Br

Table 4



T and V are both Cl and U is H

Q	R	M	Q	R	M
Cl	Cl	H	Cl	Cl	Me
Cl	Br	H	Cl	Br	Me
Cl	OCF ₃	H	Cl	OCF ₃	Me
Cl	OCHF ₂	H	Cl	OCHF ₂	Me
Cl	OCH ₂ CF ₃	H	Cl	OCH ₂ CF ₃	Me
Cl	OCF ₂ CF ₃	H	Cl	OCF ₂ CF ₃	Me
Cl	OCF ₂ CF ₂ H	H	Cl	OCF ₂ CF ₂ H	Me
Cl	OCHF ₂ CF ₃	H	Cl	OCHF ₂ CF ₃	Me
Cl	SCF ₃	H	Cl	SCF ₃	Me
Cl	SCHF ₂	H	Cl	SCHF ₂	Me
Cl	SCH ₂ CF ₃	H	Cl	SCH ₂ CF ₃	Me
Cl	SCF ₂ CF ₃	H	Cl	SCF ₂ CF ₃	Me
Cl	SCF ₂ CF ₂ H	H	Cl	SCF ₂ CF ₂ H	Me
Cl	SCHF ₂ CF ₃	H	Cl	SCHF ₂ CF ₃	Me
Cl	SOCF ₃	H	Cl	SOCF ₃	Me
Cl	SOCHF ₂	H	Cl	SOCHF ₂	Me
Cl	SOCH ₂ CF ₃	H	Cl	SOCH ₂ CF ₃	Me
Cl	SOCF ₂ CF ₃	H	Cl	SOCF ₂ CF ₃	Me
Cl	SOCF ₂ CF ₂ H	H	Cl	SOCF ₂ CF ₂ H	Me
Cl	SOCHF ₂ CF ₃	H	Cl	SOCHF ₂ CF ₃	Me
Cl	SO ₂ CF ₃	H	Cl	SO ₂ CF ₃	Me
Cl	SO ₂ CHF ₂	H	Cl	SO ₂ CHF ₂	Me
Cl	SO ₂ CH ₂ CF ₃	H	Cl	SO ₂ CH ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₃	H	Cl	SO ₂ CF ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₂ H	H	Cl	SO ₂ CF ₂ CF ₂ H	Me
Cl	SO ₂ CHF ₂ CF ₃	H	Cl	SO ₂ CHF ₂ CF ₃	Me
Cl	CN	H	Cl	CN	Me
Br	Cl	H	Br	Cl	Me
Br	Br	H	Br	Br	Me

Br	OCF ₃	H	Br	OCF ₃	Me
Br	OCHF ₂	H	Br	OCHF ₂	Me
Br	OCH ₂ CF ₃	H	Br	OCH ₂ CF ₃	Me
Br	OCF ₂ CF ₃	H	Br	OCF ₂ CF ₃	Me
Br	OCF ₂ CF ₂ H	H	Br	OCF ₂ CF ₂ H	Me
Br	OCHF ₂ CF ₃	H	Br	OCHF ₂ CF ₃	Me
Br	SCF ₃	H	Br	SCF ₃	Me
Br	SCHF ₂	H	Br	SCHF ₂	Me
Br	SCH ₂ CF ₃	H	Br	SCH ₂ CF ₃	Me
Br	SCF ₂ CF ₃	H	Br	SCF ₂ CF ₃	Me
Br	SCF ₂ CF ₂ H	H	Br	SCF ₂ CF ₂ H	Me
Br	SCHF ₂ CF ₃	H	Br	SCHF ₂ CF ₃	Me
Br	SOCF ₃	H	Br	SOCF ₃	Me
Br	SOCHF ₂	H	Br	SOCHF ₂	Me
Br	SOCH ₂ CF ₃	H	Br	SOCH ₂ CF ₃	Me
Br	SOCF ₂ CF ₃	H	Br	SOCF ₂ CF ₃	Me
Br	SOCF ₂ CF ₂ H	H	Br	SOCF ₂ CF ₂ H	Me
Br	SOCHF ₂ CF ₃	H	Br	SOCHF ₂ CF ₃	Me
Br	SO ₂ CF ₃	H	Br	SO ₂ CF ₃	Me
Br	SO ₂ CHF ₂	H	Br	SO ₂ CHF ₂	Me
Br	SO ₂ CH ₂ CF ₃	H	Br	SO ₂ CH ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₃	H	Br	SO ₂ CF ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₂ H	H	Br	SO ₂ CF ₂ CF ₂ H	Me
Br	SO ₂ CHF ₂ CF ₃	H	Br	SO ₂ CHF ₂ CF ₃	Me
Br	CN	H	Br	CN	Me

T and V are both Cl and U is Me

Q	R	S	Q	R	S
Cl	Cl	H	Cl	Cl	Me
Cl	Br	H	Cl	Br	Me
Cl	OCF ₃	H	Cl	OCF ₃	Me
Cl	OCHF ₂	H	Cl	OCHF ₂	Me
Cl	OCH ₂ CF ₃	H	Cl	OCH ₂ CF ₃	Me
Cl	OCF ₂ CF ₃	H	Cl	OCF ₂ CF ₃	Me
Cl	OCF ₂ CF ₂ H	H	Cl	OCF ₂ CF ₂ H	Me
Cl	OCHF ₂ CF ₃	H	Cl	OCHF ₂ CF ₃	Me
Cl	SCF ₃	H	Cl	SCF ₃	Me
Cl	SCHF ₂	H	Cl	SCHF ₂	Me

Cl	SCH ₂ CF ₃	H
Cl	SCF ₂ CF ₃	H
Cl	SCF ₂ CF ₂ H	H
Cl	SCHF CF ₃	H
Cl	SO CF ₃	H
Cl	SO CHF ₂	H
Cl	SO CH ₂ CF ₃	H
Cl	SO CF ₂ CF ₃	H
Cl	SO CF ₂ CF ₂ H	H
Cl	SO CHF CF ₃	H
Cl	SO ₂ CF ₃	H
Cl	SO ₂ CHF ₂	H
Cl	SO ₂ CH ₂ CF ₃	H
Cl	SO ₂ CF ₂ CF ₃	H
Cl	SO ₂ CF ₂ CF ₂ H	H
Cl	SO ₂ CHF CF ₃	H
Cl	CN	H
Br	Cl	H
Br	Br	H
Br	OCF ₃	H
Br	OCHF ₂	H
Br	OCH ₂ CF ₃	H
Br	OCF ₂ CF ₃	H
Br	OCF ₂ CF ₂ H	H
Br	OCHF CF ₃	H
Br	SCF ₃	H
Br	SCHF ₂	H
Br	SCH ₂ CF ₃	H
Br	SCF ₂ CF ₃	H
Br	SCF ₂ CF ₂ H	H
Br	SCHF CF ₃	H
Br	SO CF ₃	H
Br	SO CHF ₂	H
Br	SO CH ₂ CF ₃	H
Br	SO CF ₂ CF ₃	H
Br	SO CF ₂ CF ₂ H	H
Br	SO CHF CF ₃	H
Br	SO ₂ CF ₃	H

Cl	SCH ₂ CF ₃	Me
Cl	SCF ₂ CF ₃	Me
Cl	SCF ₂ CF ₂ H	Me
Cl	SCHF CF ₃	Me
Cl	SO CF ₃	Me
Cl	SO CHF ₂	Me
Cl	SO CH ₂ CF ₃	Me
Cl	SO CF ₂ CF ₃	Me
Cl	SO CF ₂ CF ₂ H	Me
Cl	SO CHF CF ₃	Me
Cl	SO ₂ CF ₃	Me
Cl	SO ₂ CHF ₂	Me
Cl	SO ₂ CH ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₂ H	Me
Cl	SO ₂ CHF CF ₃	Me
Cl	CN	Me
Br	Cl	Me
Br	Br	Me
Br	OCF ₃	Me
Br	OCHF ₂	Me
Br	OCH ₂ CF ₃	Me
Br	OCF ₂ CF ₃	Me
Br	OCF ₂ CF ₂ H	Me
Br	OCHF CF ₃	Me
Br	SCF ₃	Me
Br	SCHF ₂	Me
Br	SCH ₂ CF ₃	Me
Br	SCF ₂ CF ₃	Me
Br	SCF ₂ CF ₂ H	Me
Br	SCHF CF ₃	Me
Br	SO CF ₃	Me
Br	SO CHF ₂	Me
Br	SO CH ₂ CF ₃	Me
Br	SO CF ₂ CF ₃	Me
Br	SO CF ₂ CF ₂ H	Me
Br	SO CHF CF ₃	Me
Br	SO ₂ CF ₃	Me

Br	SO ₂ CHF ₂	H
Br	SO ₂ CH ₂ CF ₃	H
Br	SO ₂ CF ₂ CF ₃	H
Br	SO ₂ CF ₂ CF ₂ H	H
Br	SO ₂ CHF ₂ CF ₃	H
Br	CN	H

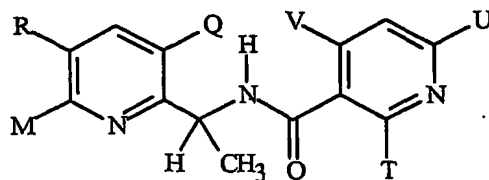
Br	SO ₂ CHF ₂	Me
Br	SO ₂ CH ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₂ H	Me
Br	SO ₂ CHF ₂ CF ₃	Me
Br	CN	Me

T is Cl and V and U are both Me

Q	R	S	Q	R	S
Cl	Cl	H	Cl	Cl	Me
Cl	Br	H	Cl	Br	Me
Cl	OCF ₃	H	Cl	OCF ₃	Me
Cl	OCHF ₂	H	Cl	OCHF ₂	Me
Cl	OCH ₂ CF ₃	H	Cl	OCH ₂ CF ₃	Me
Cl	OCF ₂ CF ₃	H	Cl	OCF ₂ CF ₃	Me
Cl	OCF ₂ CF ₂ H	H	Cl	OCF ₂ CF ₂ H	Me
Cl	OCHF ₂ CF ₃	H	Cl	OCHF ₂ CF ₃	Me
Cl	SCF ₃	H	Cl	SCF ₃	Me
Cl	SCHF ₂	H	Cl	SCHF ₂	Me
Cl	SCH ₂ CF ₃	H	Cl	SCH ₂ CF ₃	Me
Cl	SCF ₂ CF ₃	H	Cl	SCF ₂ CF ₃	Me
Cl	SCF ₂ CF ₂ H	H	Cl	SCF ₂ CF ₂ H	Me
Cl	SCHF ₂ CF ₃	H	Cl	SCHF ₂ CF ₃	Me
Cl	SOCF ₃	H	Cl	SOCF ₃	Me
Cl	SOCHF ₂	H	Cl	SOCHF ₂	Me
Cl	SOCH ₂ CF ₃	H	Cl	SOCH ₂ CF ₃	Me
Cl	SOCF ₂ CF ₃	H	Cl	SOCF ₂ CF ₃	Me
Cl	SOCF ₂ CF ₂ H	H	Cl	SOCF ₂ CF ₂ H	Me
Cl	SOCHF ₂ CF ₃	H	Cl	SOCHF ₂ CF ₃	Me
Cl	SO ₂ CF ₃	H	Cl	SO ₂ CF ₃	Me
Cl	SO ₂ CHF ₂	H	Cl	SO ₂ CHF ₂	Me
Cl	SO ₂ CH ₂ CF ₃	H	Cl	SO ₂ CH ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₃	H	Cl	SO ₂ CF ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₂ H	H	Cl	SO ₂ CF ₂ CF ₂ H	Me
Cl	SO ₂ CHF ₂ CF ₃	H	Cl	SO ₂ CHF ₂ CF ₃	Me
Cl	CN	H	Cl	CN	Me
Br	Cl	H	Br	Cl	Me
Br	Br	H	Br	Br	Me

Br	OCF ₃	H	Br	OCF ₃	Me
Br	OCHF ₂	H	Br	OCHF ₂	Me
Br	OCH ₂ CF ₃	H	Br	OCH ₂ CF ₃	Me
Br	OCF ₂ CF ₃	H	Br	OCF ₂ CF ₃	Me
Br	OCF ₂ CF ₂ H	H	Br	OCF ₂ CF ₂ H	Me
Br	OCHF ₂ CF ₃	H	Br	OCHF ₂ CF ₃	Me
Br	SCF ₃	H	Br	SCF ₃	Me
Br	SCHF ₂	H	Br	SCHF ₂	Me
Br	SCH ₂ CF ₃	H	Br	SCH ₂ CF ₃	Me
Br	SCF ₂ CF ₃	H	Br	SCF ₂ CF ₃	Me
Br	SCF ₂ CF ₂ H	H	Br	SCF ₂ CF ₂ H	Me
Br	SCHF ₂ CF ₃	H	Br	SCHF ₂ CF ₃	Me
Br	SOCF ₃	H	Br	SOCF ₃	Me
Br	SOCHF ₂	H	Br	SOCHF ₂	Me
Br	SOCH ₂ CF ₃	H	Br	SOCH ₂ CF ₃	Me
Br	SOCF ₂ CF ₃	H	Br	SOCF ₂ CF ₃	Me
Br	SOCF ₂ CF ₂ H	H	Br	SOCF ₂ CF ₂ H	Me
Br	SOCHF ₂ CF ₃	H	Br	SOCHF ₂ CF ₃	Me
Br	SO ₂ CF ₃	H	Br	SO ₂ CF ₃	Me
Br	SO ₂ CHF ₂	H	Br	SO ₂ CHF ₂	Me
Br	SO ₂ CH ₂ CF ₃	H	Br	SO ₂ CH ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₃	H	Br	SO ₂ CF ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₂ H	H	Br	SO ₂ CF ₂ CF ₂ H	Me
Br	SO ₂ CHF ₂ CF ₃	H	Br	SO ₂ CHF ₂ CF ₃	Me
Br	CN	H	Br	CN	Me

Table 5



T and V are both Cl and U is H

Q	R	M	Q	R	M
Cl	Cl	H	Cl	Cl	Me
Cl	Br	H	Cl	Br	Me
Cl	OCF ₃	H	Cl	OCF ₃	Me

Cl	OCHF ₂	H
Cl	OCH ₂ CF ₃	H
Cl	OCF ₂ CF ₃	H
Cl	OCF ₂ CF ₂ H	H
Cl	OCHF ₂ CF ₃	H
Cl	SCF ₃	H
Cl	SCHF ₂	H
Cl	SCH ₂ CF ₃	H
Cl	SCF ₂ CF ₃	H
Cl	SCF ₂ CF ₂ H	H
Cl	SCHF ₂ CF ₃	H
Cl	SOCF ₃	H
Cl	SOCHF ₂	H
Cl	SOCH ₂ CF ₃	H
Cl	SOCF ₂ CF ₃	H
Cl	SOCF ₂ CF ₂ H	H
Cl	SOCHF ₂ CF ₃	H
Cl	SO ₂ CF ₃	H
Cl	SO ₂ CHF ₂	H
Cl	SO ₂ CH ₂ CF ₃	H
Cl	SO ₂ CF ₂ CF ₃	H
Cl	SO ₂ CF ₂ CF ₂ H	H
Cl	SO ₂ CHF ₂ CF ₃	H
Cl	CN	H
Br	Cl	H
Br	Br	H
Br	OCF ₃	H
Br	OCHF ₂	H
Br	OCH ₂ CF ₃	H
Br	OCF ₂ CF ₃	H
Br	OCF ₂ CF ₂ H	H
Br	OCHF ₂ CF ₃	H
Br	SCF ₃	H
Br	SCHF ₂	H
Br	SCH ₂ CF ₃	H
Br	SCF ₂ CF ₃	H
Br	SCF ₂ CF ₂ H	H
Br	SCHF ₂ CF ₃	H

Cl	OCHF ₂	Me
Cl	OCH ₂ CF ₃	Me
Cl	OCF ₂ CF ₃	Me
Cl	OCF ₂ CF ₂ H	Me
Cl	OCHF ₂ CF ₃	Me
Cl	SCF ₃	Me
Cl	SCHF ₂	Me
Cl	SCH ₂ CF ₃	Me
Cl	SCF ₂ CF ₃	Me
Cl	SCF ₂ CF ₂ H	Me
Cl	SCHF ₂ CF ₃	Me
Cl	SOCF ₃	Me
Cl	SOCHF ₂	Me
Cl	SOCH ₂ CF ₃	Me
Cl	SOCF ₂ CF ₃	Me
Cl	SOCF ₂ CF ₂ H	Me
Cl	SOCHF ₂ CF ₃	Me
Cl	SO ₂ CF ₃	Me
Cl	SO ₂ CHF ₂	Me
Cl	SO ₂ CH ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₂ H	Me
Cl	SO ₂ CHF ₂ CF ₃	Me
Cl	CN	Me
Br	Cl	Me
Br	Br	Me
Br	OCF ₃	Me
Br	OCHF ₂	Me
Br	OCH ₂ CF ₃	Me
Br	OCF ₂ CF ₃	Me
Br	OCF ₂ CF ₂ H	Me
Br	OCHF ₂ CF ₃	Me
Br	SCF ₃	Me
Br	SCHF ₂	Me
Br	SCH ₂ CF ₃	Me
Br	SCF ₂ CF ₃	Me
Br	SCF ₂ CF ₂ H	Me
Br	SCHF ₂ CF ₃	Me

Br	SOCF ₃	H
Br	SOCHF ₂	H
Br	SOCH ₂ CF ₃	H
Br	SOCF ₂ CF ₃	H
Br	SOCF ₂ CF ₂ H	H
Br	SOCHF ₂ CF ₃	H
Br	SO ₂ CF ₃	H
Br	SO ₂ CHF ₂	H
Br	SO ₂ CH ₂ CF ₃	H
Br	SO ₂ CF ₂ CF ₃	H
Br	SO ₂ CF ₂ CF ₂ H	H
Br	SO ₂ CHF ₂ CF ₃	H
Br	CN	H

Br	SOCF ₃	Me
Br	SOCHF ₂	Me
Br	SOCH ₂ CF ₃	Me
Br	SOCF ₂ CF ₃	Me
Br	SOCF ₂ CF ₂ H	Me
Br	SOCHF ₂ CF ₃	Me
Br	SO ₂ CF ₃	Me
Br	SO ₂ CHF ₂	Me
Br	SO ₂ CH ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₂ H	Me
Br	SO ₂ CHF ₂ CF ₃	Me
Br	CN	Me

T and V are both Cl and U is Me

Q	R	S
Cl	Cl	H
Cl	Br	H
Cl	OCF ₃	H
Cl	OCHF ₂	H
Cl	OCH ₂ CF ₃	H
Cl	OCF ₂ CF ₃	H
Cl	OCF ₂ CF ₂ H	H
Cl	OCHF ₂ CF ₃	H
Cl	SCF ₃	H
Cl	SCHF ₂	H
Cl	SCH ₂ CF ₃	H
Cl	SCF ₂ CF ₃	H
Cl	SCF ₂ CF ₂ H	H
Cl	SCHF ₂ CF ₃	H
Cl	SOCF ₃	H
Cl	SOCHF ₂	H
Cl	SOCH ₂ CF ₃	H
Cl	SOCF ₂ CF ₃	H
Cl	SOCF ₂ CF ₂ H	H
Cl	SOCHF ₂ CF ₃	H
Cl	SO ₂ CF ₃	H
Cl	SO ₂ CHF ₂	H

Q	R	S
Cl	Cl	Me
Cl	Br	Me
Cl	OCF ₃	Me
Cl	OCHF ₂	Me
Cl	OCH ₂ CF ₃	Me
Cl	OCF ₂ CF ₃	Me
Cl	OCF ₂ CF ₂ H	Me
Cl	OCHF ₂ CF ₃	Me
Cl	SCF ₃	Me
Cl	SCHF ₂	Me
Cl	SCH ₂ CF ₃	Me
Cl	SCF ₂ CF ₃	Me
Cl	SCF ₂ CF ₂ H	Me
Cl	SCHF ₂ CF ₃	Me
Cl	SOCF ₃	Me
Cl	SOCHF ₂	Me
Cl	SOCH ₂ CF ₃	Me
Cl	SOCF ₂ CF ₃	Me
Cl	SOCF ₂ CF ₂ H	Me
Cl	SOCHF ₂ CF ₃	Me
Cl	SO ₂ CF ₃	Me
Cl	SO ₂ CHF ₂	Me

Cl	SO ₂ CH ₂ CF ₃	H	Cl	SO ₂ CH ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₃	H	Cl	SO ₂ CF ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₂ H	H	Cl	SO ₂ CF ₂ CF ₂ H	Me
Cl	SO ₂ CHF ₂ CF ₃	H	Cl	SO ₂ CHF ₂ CF ₃	Me
Cl	CN	H	Cl	CN	Me
Br	Cl	H	Br	Cl	Me
Br	Br	H	Br	Br	Me
Br	OCF ₃	H	Br	OCF ₃	Me
Br	OCHF ₂	H	Br	OCHF ₂	Me
Br	OCH ₂ CF ₃	H	Br	OCH ₂ CF ₃	Me
Br	OCF ₂ CF ₃	H	Br	OCF ₂ CF ₃	Me
Br	OCF ₂ CF ₂ H	H	Br	OCF ₂ CF ₂ H	Me
Br	OCHF ₂ CF ₃	H	Br	OCHF ₂ CF ₃	Me
Br	SCF ₃	H	Br	SCF ₃	Me
Br	SCHF ₂	H	Br	SCHF ₂	Me
Br	SCH ₂ CF ₃	H	Br	SCH ₂ CF ₃	Me
Br	SCF ₂ CF ₃	H	Br	SCF ₂ CF ₃	Me
Br	SCF ₂ CF ₂ H	H	Br	SCF ₂ CF ₂ H	Me
Br	SCHF ₂ CF ₃	H	Br	SCHF ₂ CF ₃	Me
Br	SOCF ₃	H	Br	SOCF ₃	Me
Br	SOCHF ₂	H	Br	SOCHF ₂	Me
Br	SOCH ₂ CF ₃	H	Br	SOCH ₂ CF ₃	Me
Br	SOCF ₂ CF ₃	H	Br	SOCF ₂ CF ₃	Me
Br	SOCF ₂ CF ₂ H	H	Br	SOCF ₂ CF ₂ H	Me
Br	SOCHF ₂ CF ₃	H	Br	SOCHF ₂ CF ₃	Me
Br	SO ₂ CF ₃	H	Br	SO ₂ CF ₃	Me
Br	SO ₂ CHF ₂	H	Br	SO ₂ CHF ₂	Me
Br	SO ₂ CH ₂ CF ₃	H	Br	SO ₂ CH ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₃	H	Br	SO ₂ CF ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₂ H	H	Br	SO ₂ CF ₂ CF ₂ H	Me
Br	SO ₂ CHF ₂ CF ₃	H	Br	SO ₂ CHF ₂ CF ₃	Me
Br	CN	H	Br	CN	Me

T is Cl and V and U are both Me

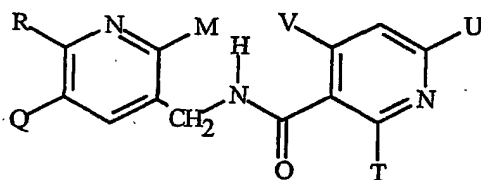
Q	R	S	Q	R	S
Cl	Cl	H	Cl	Cl	Me
Cl	Br	H	Cl	Br	Me
Cl	OCF ₃	H	Cl	OCF ₃	Me

Cl	OCHF ₂	H
Cl	OCH ₂ CF ₃	H
Cl	OCF ₂ CF ₃	H
Cl	OCF ₂ CF ₂ H	H
Cl	OCHF ₂ CF ₃	H
Cl	SCF ₃	H
Cl	SCHF ₂	H
Cl	SCH ₂ CF ₃	H
Cl	SCF ₂ CF ₃	H
Cl	SCF ₂ CF ₂ H	H
Cl	SCHF ₂ CF ₃	H
Cl	SOCF ₃	H
Cl	SOCHF ₂	H
Cl	SOCH ₂ CF ₃	H
Cl	SOCF ₂ CF ₃	H
Cl	SOCF ₂ CF ₂ H	H
Cl	SOCHF ₂ CF ₃	H
Cl	SO ₂ CF ₃	H
Cl	SO ₂ CHF ₂	H
Cl	SO ₂ CH ₂ CF ₃	H
Cl	SO ₂ CF ₂ CF ₃	H
Cl	SO ₂ CF ₂ CF ₂ H	H
Cl	SO ₂ CHF ₂ CF ₃	H
Cl	CN	H
Br	Cl	H
Br	Br	H
Br	OCF ₃	H
Br	OCHF ₂	H
Br	OCH ₂ CF ₃	H
Br	OCF ₂ CF ₃	H
Br	OCF ₂ CF ₂ H	H
Br	OCHF ₂ CF ₃	H
Br	SCF ₃	H
Br	SCHF ₂	H
Br	SCH ₂ CF ₃	H
Br	SCF ₂ CF ₃	H
Br	SCF ₂ CF ₂ H	H
Br	SCHF ₂ CF ₃	H

Cl	OCHF ₂	Me
Cl	OCH ₂ CF ₃	Me
Cl	OCF ₂ CF ₃	Me
Cl	OCF ₂ CF ₂ H	Me
Cl	OCHF ₂ CF ₃	Me
Cl	SCF ₃	Me
Cl	SCHF ₂	Me
Cl	SCH ₂ CF ₃	Me
Cl	SCF ₂ CF ₃	Me
Cl	SCF ₂ CF ₂ H	Me
Cl	SCHF ₂ CF ₃	Me
Cl	SOCF ₃	Me
Cl	SOCHF ₂	Me
Cl	SOCH ₂ CF ₃	Me
Cl	SOCF ₂ CF ₃	Me
Cl	SOCF ₂ CF ₂ H	Me
Cl	SOCHF ₂ CF ₃	Me
Cl	SO ₂ CF ₃	Me
Cl	SO ₂ CHF ₂	Me
Cl	SO ₂ CH ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₂ H	Me
Cl	SO ₂ CHF ₂ CF ₃	Me
Cl	CN	Me
Br	Cl	Me
Br	Br	Me
Br	OCF ₃	Me
Br	OCHF ₂	Me
Br	OCH ₂ CF ₃	Me
Br	OCF ₂ CF ₃	Me
Br	OCF ₂ CF ₂ H	Me
Br	OCHF ₂ CF ₃	Me
Br	SCF ₃	Me
Br	SCHF ₂	Me
Br	SCH ₂ CF ₃	Me
Br	SCF ₂ CF ₃	Me
Br	SCF ₂ CF ₂ H	Me
Br	SCHF ₂ CF ₃	Me

Br	SOCF ₃	H	Br	SOCF ₃	Me
Br	SOCHF ₂	H	Br	SOCHF ₂	Me
Br	SOCH ₂ CF ₃	H	Br	SOCH ₂ CF ₃	Me
Br	SOCF ₂ CF ₃	H	Br	SOCF ₂ CF ₃	Me
Br	SOCF ₂ CF ₂ H	H	Br	SOCF ₂ CF ₂ H	Me
Br	SOCHF ₂ CF ₃	H	Br	SOCHF ₂ CF ₃	Me
Br	SO ₂ CF ₃	H	Br	SO ₂ CF ₃	Me
Br	SO ₂ CHF ₂	H	Br	SO ₂ CHF ₂	Me
Br	SO ₂ CH ₂ CF ₃	H	Br	SO ₂ CH ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₃	H	Br	SO ₂ CF ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₂ H	H	Br	SO ₂ CF ₂ CF ₂ H	Me
Br	SO ₂ CHF ₂ CF ₃	H	Br	SO ₂ CHF ₂ CF ₃	Me
Br	CN	H	Br	CN	Me

Table 6



T and V are both Cl and U is H

Q	R	M	Q	R	M
Cl	Cl	H	Cl	Cl	Me
Cl	Br	H	Cl	Br	Me
Cl	CF ₃	H	Cl	CF ₃	Me
Cl	OCF ₃	H	Cl	OCF ₃	Me
Cl	OCHF ₂	H	Cl	OCHF ₂	Me
Cl	OCH ₂ CF ₃	H	Cl	OCH ₂ CF ₃	Me
Cl	OCF ₂ CF ₃	H	Cl	OCF ₂ CF ₃	Me
Cl	OCF ₂ CF ₂ H	H	Cl	OCF ₂ CF ₂ H	Me
Cl	OCHF ₂ CF ₃	H	Cl	OCHF ₂ CF ₃	Me
Cl	SCF ₃	H	Cl	SCF ₃	Me
Cl	SCHF ₂	H	Cl	SCHF ₂	Me
Cl	SCH ₂ CF ₃	H	Cl	SCH ₂ CF ₃	Me
Cl	SCF ₂ CF ₃	H	Cl	SCF ₂ CF ₃	Me
Cl	SCF ₂ CF ₂ H	H	Cl	SCF ₂ CF ₂ H	Me
Cl	SCHF ₂ CF ₃	H	Cl	SCHF ₂ CF ₃	Me

Cl	SOCF ₃	H	Cl	SOCF ₃	Me
Cl	SOCHF ₂	H	Cl	SOCHF ₂	Me
Cl	SOCH ₂ CF ₃	H	Cl	SOCH ₂ CF ₃	Me
Cl	SOCF ₂ CF ₃	H	Cl	SOCF ₂ CF ₃	Me
Cl	SOCF ₂ CF ₂ H	H	Cl	SOCF ₂ CF ₂ H	Me
Cl	SOCHF ₂ CF ₃	H	Cl	SOCHF ₂ CF ₃	Me
Cl	SO ₂ CF ₃	H	Cl	SO ₂ CF ₃	Me
Cl	SO ₂ CHF ₂	H	Cl	SO ₂ CHF ₂	Me
Cl	SO ₂ CH ₂ CF ₃	H	Cl	SO ₂ CH ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₃	H	Cl	SO ₂ CF ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₂ H	H	Cl	SO ₂ CF ₂ CF ₂ H	Me
Cl	SO ₂ CHF ₂ CF ₃	H	Cl	SO ₂ CHF ₂ CF ₃	Me
Cl	CN	H	Cl	CN	Me
Cl	H	Cl	Cl	Me	Cl
Cl	H	Br	Cl	Me	Br
Cl	H	CF ₃	Cl	Me	CF ₃
Cl	H	OCF ₃	Cl	Me	OCF ₃
Cl	H	OCHF ₂	Cl	Me	OCHF ₂
Cl	H	OCH ₂ CF ₃	Cl	Me	OCH ₂ CF ₃
Cl	H	OCF ₂ CF ₂ H	Cl	Me	OCF ₂ CF ₂ H
Cl	H	SCF ₃	Cl	Me	SCF ₃
Cl	H	SCHF ₂	Cl	Me	SCHF ₂
Br	Cl	H	Br	Cl	Me
Br	Br	H	Br	Br	Me
Br	CF ₃	H	Br	CF ₃	Me
Br	OCF ₃	H	Br	OCF ₃	Me
Br	OCHF ₂	H	Br	OCHF ₂	Me
Br	OCH ₂ CF ₃	H	Br	OCH ₂ CF ₃	Me
Br	OCF ₂ CF ₃	H	Br	OCF ₂ CF ₃	Me
Br	OCF ₂ CF ₂ H	H	Br	OCF ₂ CF ₂ H	Me
Br	OCHF ₂ CF ₃	H	Br	OCHF ₂ CF ₃	Me
Br	SCF ₃	H	Br	SCF ₃	Me
Br	SCHF ₂	H	Br	SCHF ₂	Me
Br	SCH ₂ CF ₃	H	Br	SCH ₂ CF ₃	Me
Br	SCF ₂ CF ₃	H	Br	SCF ₂ CF ₃	Me
Br	SCF ₂ CF ₂ H	H	Br	SCF ₂ CF ₂ H	Me
Br	SCHF ₂ CF ₃	H	Br	SCHF ₂ CF ₃	Me
Br	SOCF ₃	H	Br	SOCF ₃	Me

Br	SOCHF ₂	H	Br	SOCHF ₂	Me
Br	SOCH ₂ CF ₃	H	Br	SOCH ₂ CF ₃	Me
Br	SOCF ₂ CF ₃	H	Br	SOCF ₂ CF ₃	Me
Br	SOCF ₂ CF ₂ H	H	Br	SOCF ₂ CF ₂ H	Me
Br	SOCHF ₂ CF ₃	H	Br	SOCHF ₂ CF ₃	Me
Br	SO ₂ CF ₃	H	Br	SO ₂ CF ₃	Me
Br	SO ₂ CHF ₂	H	Br	SO ₂ CHF ₂	Me
Br	SO ₂ CH ₂ CF ₃	H	Br	SO ₂ CH ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₃	H	Br	SO ₂ CF ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₂ H	H	Br	SO ₂ CF ₂ CF ₂ H	Me
Br	SO ₂ CHF ₂ CF ₃	H	Br	SO ₂ CHF ₂ CF ₃	Me
Br	CN	H	Br	CN	Me
H	Cl	Cl	Me	Cl	Cl
H	Br	Cl	Me	Br	Cl
H	CF ₃	Cl	Me	CF ₃	Cl
H	OCF ₃	Cl	Me	OCF ₃	Cl
H	OCHF ₂	Cl	Me	OCHF ₂	Cl
H	OCH ₂ CF ₃	Cl	Me	OCH ₂ CF ₃	Cl
H	OCF ₂ CF ₃	Cl	Me	OCF ₂ CF ₃	Cl
H	OCF ₂ CF ₂ H	Cl	Me	OCF ₂ CF ₂ H	Cl
H	OCHF ₂ CF ₃	Cl	Me	OCHF ₂ CF ₃	Cl
H	SCF ₃	Cl	Me	SCF ₃	Cl
H	SCHF ₂	Cl	Me	SCHF ₂	Cl
H	SCH ₂ CF ₃	Cl	Me	SCH ₂ CF ₃	Cl
H	SCF ₂ CF ₃	Cl	Me	SCF ₂ CF ₃	Cl
H	SCF ₂ CF ₂ H	Cl	Me	SCF ₂ CF ₂ H	Cl
H	SCHF ₂ CF ₃	Cl	Me	SCHF ₂ CF ₃	Cl
H	SOCF ₃	Cl	Me	SOCF ₃	Cl
H	SOCHF ₂	Cl	Me	SOCHF ₂	Cl
H	SOCH ₂ CF ₃	Cl	Me	SOCH ₂ CF ₃	Cl
H	SOCF ₂ CF ₃	Cl	Me	SOCF ₂ CF ₃	Cl
H	SOCF ₂ CF ₂ H	Cl	Me	SOCF ₂ CF ₂ H	Cl
H	SOCHF ₂ CF ₃	Cl	Me	SOCHF ₂ CF ₃	Cl
H	SO ₂ CF ₃	Cl	Me	SO ₂ CF ₃	Cl
H	SO ₂ CHF ₂	Cl	Me	SO ₂ CHF ₂	Cl
H	SO ₂ CH ₂ CF ₃	Cl	Me	SO ₂ CH ₂ CF ₃	Cl
H	SO ₂ CF ₂ CF ₃	Cl	Me	SO ₂ CF ₂ CF ₃	Cl
H	SO ₂ CF ₂ CF ₂ H	Cl	Me	SO ₂ CF ₂ CF ₂ H	Cl

H	SO ₂ CHF ₂ CF ₃	Cl	Me	SO ₂ CHF ₂ CF ₃	Cl
H	CN	Cl	Me	CN	Cl

T and V are both Cl and U is Me

Q	R	S	Q	R	S
Cl	Cl	H	Cl	Cl	Me
Cl	Br	H	Cl	Br	Me
Cl	CF ₃	H	Cl	CF ₃	Me
Cl	OCF ₃	H	Cl	OCF ₃	Me
Cl	OCHF ₂	H	Cl	OCHF ₂	Me
Cl	OCH ₂ CF ₃	H	Cl	OCH ₂ CF ₃	Me
Cl	OCF ₂ CF ₃	H	Cl	OCF ₂ CF ₃	Me
Cl	OCF ₂ CF ₂ H	H	Cl	OCF ₂ CF ₂ H	Me
Cl	OCHF ₂ CF ₃	H	Cl	OCHF ₂ CF ₃	Me
Cl	SCF ₃	H	Cl	SCF ₃	Me
Cl	SCHF ₂	H	Cl	SCHF ₂	Me
Cl	SCH ₂ CF ₃	H	Cl	SCH ₂ CF ₃	Me
Cl	SCF ₂ CF ₃	H	Cl	SCF ₂ CF ₃	Me
Cl	SCF ₂ CF ₂ H	H	Cl	SCF ₂ CF ₂ H	Me
Cl	SCHF ₂ CF ₃	H	Cl	SCHF ₂ CF ₃	Me
Cl	SOCF ₃	H	Cl	SOCF ₃	Me
Cl	SOCHF ₂	H	Cl	SOCHF ₂	Me
Cl	SOCH ₂ CF ₃	H	Cl	SOCH ₂ CF ₃	Me
Cl	SOCF ₂ CF ₃	H	Cl	SOCF ₂ CF ₃	Me
Cl	SOCF ₂ CF ₂ H	H	Cl	SOCF ₂ CF ₂ H	Me
Cl	SOCHF ₂ CF ₃	H	Cl	SOCHF ₂ CF ₃	Me
Cl	SO ₂ CF ₃	H	Cl	SO ₂ CF ₃	Me
Cl	SO ₂ CHF ₂	H	Cl	SO ₂ CHF ₂	Me
Cl	SO ₂ CH ₂ CF ₃	H	Cl	SO ₂ CH ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₃	H	Cl	SO ₂ CF ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₂ H	H	Cl	SO ₂ CF ₂ CF ₂ H	Me
Cl	SO ₂ CHF ₂ CF ₃	H	Cl	SO ₂ CHF ₂ CF ₃	Me
Cl	CN	H	Cl	CN	Me
Cl	H	Cl	Cl	Me	Cl
Cl	H	Br	Cl	Me	Br
Cl	H	CF ₃	Cl	Me	CF ₃
Cl	H	OCF ₃	Cl	Me	OCF ₃
Cl	H	OCHF ₂	Cl	Me	OCHF ₂

Cl	H	OCH ₂ CF ₃
Cl	H	OCF ₂ CF ₂ H
Cl	H	SCF ₃
Cl	H	SCHF ₂
Br	SCF ₃	H
Br	SCHF ₂	H
Br	SCH ₂ CF ₃	H
Br	SCF ₂ CF ₃	H
Br	SCF ₂ CF ₂ H	H
Br	SCHF ₂ CF ₃	H
Br	SOCF ₃	H
Br	SOCHF ₂	H
Br	SOCH ₂ CF ₃	H
Br	SOCF ₂ CF ₃	H
Br	SOCF ₂ CF ₂ H	H
Br	SOCHF ₂ CF ₃	H
Br	SO ₂ CF ₃	H
Br	SO ₂ CHF ₂	H
Br	SO ₂ CH ₂ CF ₃	H
Br	SO ₂ CF ₂ CF ₃	H
Br	SO ₂ CF ₂ CF ₂ H	H
Br	SO ₂ CHF ₂ CF ₃	H
Br	CN	H
H	Cl	Cl
H	Br	Cl
H	CF ₃	Cl
H	OCF ₃	Cl
H	OCHF ₂	Cl
H	OCH ₂ CF ₃	Cl
H	OCF ₂ CF ₃	Cl
H	OCF ₂ CF ₂ H	Cl
H	OCHF ₂ CF ₃	Cl
H	SCF ₃	Cl
H	SCHF ₂	Cl
H	SCH ₂ CF ₃	Cl
H	SCF ₂ CF ₃	Cl
H	SCF ₂ CF ₂ H	Cl
H	SCHF ₂ CF ₃	Cl

Cl	Me	OCH ₂ CF ₃
Cl	Me	OCF ₂ CF ₂ H
Cl	Me	SCF ₃
Cl	Me	SCHF ₂
Br	SCF ₃	Me
Br	SCHF ₂	Me
Br	SCH ₂ CF ₃	Me
Br	SCF ₂ CF ₃	Me
Br	SCF ₂ CF ₂ H	Me
Br	SCHF ₂ CF ₃	Me
Br	SOCF ₃	Me
Br	SOCHF ₂	Me
Br	SOCH ₂ CF ₃	Me
Br	SOCF ₂ CF ₃	Me
Br	SOCF ₂ CF ₂ H	Me
Br	SOCHF ₂ CF ₃	Me
Br	SO ₂ CF ₃	Me
Br	SO ₂ CHF ₂	Me
Br	SO ₂ CH ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₂ H	Me
Br	SO ₂ CHF ₂ CF ₃	Me
Br	CN	Me
Me	Cl	Cl
Me	Br	Cl
Me	CF ₃	Cl
Me	OCF ₃	Cl
Me	OCHF ₂	Cl
Me	OCH ₂ CF ₃	Cl
Me	OCF ₂ CF ₃	Cl
Me	OCF ₂ CF ₂ H	Cl
Me	OCHF ₂ CF ₃	Cl
Me	SCF ₃	Cl
Me	SCHF ₂	Cl
Me	SCH ₂ CF ₃	Cl
Me	SCF ₂ CF ₃	Cl
Me	SCF ₂ CF ₂ H	Cl
Me	SCHF ₂ CF ₃	Cl

H	SOCF ₃	Cl	Me	SOCF ₃	Cl
H	SOCHF ₂	Cl	Me	SOCHF ₂	Cl
H	SOCH ₂ CF ₃	Cl	Me	SOCH ₂ CF ₃	Cl
H	SOCF ₂ CF ₃	Cl	Me	SOCF ₂ CF ₃	Cl
H	SOCF ₂ CF ₂ H	Cl	Me	SOCF ₂ CF ₂ H	Cl
H	SOCHF ₂ CF ₃	Cl	Me	SOCHF ₂ CF ₃	Cl
H	SO ₂ CF ₃	Cl	Me	SO ₂ CF ₃	Cl
H	SO ₂ CHF ₂	Cl	Me	SO ₂ CHF ₂	Cl
H	SO ₂ CH ₂ CF ₃	Cl	Me	SO ₂ CH ₂ CF ₃	Cl
H	SO ₂ CF ₂ CF ₃	Cl	Me	SO ₂ CF ₂ CF ₃	Cl
H	SO ₂ CF ₂ CF ₂ H	Cl	Me	SO ₂ CF ₂ CF ₂ H	Cl
H	SO ₂ CHF ₂ CF ₃	Cl	Me	SO ₂ CHF ₂ CF ₃	Cl
H	CN	Cl	Me	CN	Cl

T is Cl and V and U are both Me.

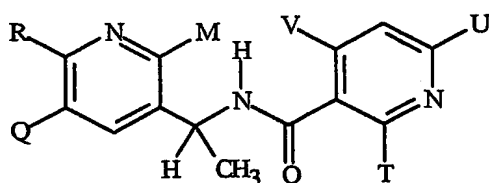
Q	R	S	Q	R	S
Cl	Cl	H	Cl	Cl	Me
Cl	Br	H	Cl	Br	Me
Cl	CF ₃	H	Cl	CF ₃	Me
Cl	OCF ₃	H	Cl	OCF ₃	Me
Cl	OCHF ₂	H	Cl	OCHF ₂	Me
Cl	OCH ₂ CF ₃	H	Cl	OCH ₂ CF ₃	Me
Cl	OCF ₂ CF ₃	H	Cl	OCF ₂ CF ₃	Me
Cl	OCF ₂ CF ₂ H	H	Cl	OCF ₂ CF ₂ H	Me
Cl	OCHF ₂ CF ₃	H	Cl	OCHF ₂ CF ₃	Me
Cl	SCF ₃	H	Cl	SCF ₃	Me
Cl	SCHF ₂	H	Cl	SCHF ₂	Me
Cl	SCH ₂ CF ₃	H	Cl	SCH ₂ CF ₃	Me
Cl	SCF ₂ CF ₃	H	Cl	SCF ₂ CF ₃	Me
Cl	SCF ₂ CF ₂ H	H	Cl	SCF ₂ CF ₂ H	Me
Cl	SCHF ₂ CF ₃	H	Cl	SCHF ₂ CF ₃	Me
Cl	SOCF ₃	H	Cl	SOCF ₃	Me
Cl	SOCHF ₂	H	Cl	SOCHF ₂	Me
Cl	SOCH ₂ CF ₃	H	Cl	SOCH ₂ CF ₃	Me
Cl	SOCF ₂ CF ₃	H	Cl	SOCF ₂ CF ₃	Me
Cl	SOCF ₂ CF ₂ H	H	Cl	SOCF ₂ CF ₂ H	Me
Cl	SOCHF ₂ CF ₃	H	Cl	SOCHF ₂ CF ₃	Me
Cl	SO ₂ CF ₃	H	Cl	SO ₂ CF ₃	Me

Cl	SO ₂ CHF ₂	H
Cl	SO ₂ CH ₂ CF ₃	H
Cl	SO ₂ CF ₂ CF ₃	H
Cl	SO ₂ CF ₂ CF ₂ H	H
Cl	SO ₂ CHF ₂ CF ₃	H
Cl	CN	H
Cl	H	Cl
Cl	H	Br
Cl	H	CF ₃
Cl	H	OCF ₃
Cl	H	OCHF ₂
Cl	H	OCH ₂ CF ₃
Cl	H	OCF ₂ CF ₂ H
Cl	H	SCF ₃
Cl	H	SCHF ₂
Br	SCF ₃	H
Br	SCHF ₂	H
Br	SCH ₂ CF ₃	H
Br	SCF ₂ CF ₃	H
Br	SCF ₂ CF ₂ H	H
Br	SCHF ₂ CF ₃	H
Br	SOCF ₃	H
Br	SOCHF ₂	H
Br	SOCH ₂ CF ₃	H
Br	SOCF ₂ CF ₃	H
Br	SOCF ₂ CF ₂ H	H
Br	SOCHF ₂ CF ₃	H
Br	SO ₂ CF ₃	H
Br	SO ₂ CHF ₂	H
Br	SO ₂ CH ₂ CF ₃	H
Br	SO ₂ CF ₂ CF ₃	H
Br	SO ₂ CF ₂ CF ₂ H	H
Br	SO ₂ CHF ₂ CF ₃	H
Br	CN	H
H	Cl	Cl
H	Br	Cl
H	CF ₃	Cl
H	OCF ₃	Cl

Cl	SO ₂ CHF ₂	Me
Cl	SO ₂ CH ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₂ H	Me
Cl	SO ₂ CHF ₂ CF ₃	Me
Cl	CN	Me
Cl	Me	Cl
Cl	Me	Br
Cl	Me	CF ₃
Cl	Me	OCF ₃
Cl	Me	OCHF ₂
Cl	Me	OCH ₂ CF ₃
Cl	Me	OCF ₂ CF ₂ H
Cl	Me	SCF ₃
Cl	Me	SCHF ₂
Br	SCF ₃	Me
Br	SCHF ₂	Me
Br	SCH ₂ CF ₃	Me
Br	SCF ₂ CF ₃	Me
Br	SCF ₂ CF ₂ H	Me
Br	SCHF ₂ CF ₃	Me
Br	SOCF ₃	Me
Br	SOCHF ₂	Me
Br	SOCH ₂ CF ₃	Me
Br	SOCF ₂ CF ₃	Me
Br	SOCF ₂ CF ₂ H	Me
Br	SOCHF ₂ CF ₃	Me
Br	SO ₂ CF ₃	Me
Br	SO ₂ CHF ₂	Me
Br	SO ₂ CH ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₂ H	Me
Br	SO ₂ CHF ₂ CF ₃	Me
Br	CN	Me
Me	Cl	Cl
Me	Br	Cl
Me	CF ₃	Cl
Me	OCF ₃	Cl

H	OCHF ₂	Cl	Me	OCHF ₂	Cl
H	OCH ₂ CF ₃	Cl	Me	OCH ₂ CF ₃	Cl
H	OCF ₂ CF ₃	Cl	Me	OCF ₂ CF ₃	Cl
H	OCF ₂ CF ₂ H	Cl	Me	OCF ₂ CF ₂ H	Cl
H	OCHFCF ₃	Cl	Me	OCHFCF ₃	Cl
H	SCF ₃	Cl	Me	SCF ₃	Cl
H	SCHF ₂	Cl	Me	SCHF ₂	Cl
H	SCH ₂ CF ₃	Cl	Me	SCH ₂ CF ₃	Cl
H	SCF ₂ CF ₃	Cl	Me	SCF ₂ CF ₃	Cl
H	SCF ₂ CF ₂ H	Cl	Me	SCF ₂ CF ₂ H	Cl
H	SCHF ₂ CF ₃	Cl	Me	SCHF ₂ CF ₃	Cl
H	SOCF ₃	Cl	Me	SOCF ₃	Cl
H	SOCHF ₂	Cl	Me	SOCHF ₂	Cl
H	SOCH ₂ CF ₃	Cl	Me	SOCH ₂ CF ₃	Cl
H	SOCF ₂ CF ₃	Cl	Me	SOCF ₂ CF ₃	Cl
H	SOCF ₂ CF ₂ H	Cl	Me	SOCF ₂ CF ₂ H	Cl
H	SOCHF ₂ CF ₃	Cl	Me	SOCHF ₂ CF ₃	Cl
H	SO ₂ CF ₃	Cl	Me	SO ₂ CF ₃	Cl
H	SO ₂ CHF ₂	Cl	Me	SO ₂ CHF ₂	Cl
H	SO ₂ CH ₂ CF ₃	Cl	Me	SO ₂ CH ₂ CF ₃	Cl
H	SO ₂ CF ₂ CF ₃	Cl	Me	SO ₂ CF ₂ CF ₃	Cl
H	SO ₂ CF ₂ CF ₂ H	Cl	Me	SO ₂ CF ₂ CF ₂ H	Cl
H	SO ₂ CHF ₂ CF ₃	Cl	Me	SO ₂ CHF ₂ CF ₃	Cl
H	CN	Cl	Me	CN	Cl

Table 7



T and V are both Cl and U is H

Q	R	M	Q	R	M
Cl	Cl	H	Cl	Cl	Me
Cl	Br	H	Cl	Br	Me
Cl	CF ₃	H	Cl	CF ₃	Me
Cl	OCF ₃	H	Cl	OCF ₃	Me

Cl	OCHF ₂	H	Cl	OCHF ₂	Me
Cl	OCH ₂ CF ₃	H	Cl	OCH ₂ CF ₃	Me
Cl	OCF ₂ CF ₃	H	Cl	OCF ₂ CF ₃	Me
Cl	OCF ₂ CF ₂ H	H	Cl	OCF ₂ CF ₂ H	Me
Cl	OCHF ₂ CF ₃	H	Cl	OCHF ₂ CF ₃	Me
Cl	SCF ₃	H	Cl	SCF ₃	Me
Cl	SCHF ₂	H	Cl	SCHF ₂	Me
Cl	SCH ₂ CF ₃	H	Cl	SCH ₂ CF ₃	Me
Cl	SCF ₂ CF ₃	H	Cl	SCF ₂ CF ₃	Me
Cl	SCF ₂ CF ₂ H	H	Cl	SCF ₂ CF ₂ H	Me
Cl	SCHF ₂ CF ₃	H	Cl	SCHF ₂ CF ₃	Me
Cl	SOCF ₃	H	Cl	SOCF ₃	Me
Cl	SOCHF ₂	H	Cl	SOCHF ₂	Me
Cl	SOCH ₂ CF ₃	H	Cl	SOCH ₂ CF ₃	Me
Cl	SOCF ₂ CF ₃	H	Cl	SOCF ₂ CF ₃	Me
Cl	SOCF ₂ CF ₂ H	H	Cl	SOCF ₂ CF ₂ H	Me
Cl	SOCHF ₂ CF ₃	H	Cl	SOCHF ₂ CF ₃	Me
Cl	SO ₂ CF ₃	H	Cl	SO ₂ CF ₃	Me
Cl	SO ₂ CHF ₂	H	Cl	SO ₂ CHF ₂	Me
Cl	SO ₂ CH ₂ CF ₃	H	Cl	SO ₂ CH ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₃	H	Cl	SO ₂ CF ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₂ H	H	Cl	SO ₂ CF ₂ CF ₂ H	Me
Cl	SO ₂ CHF ₂ CF ₃	H	Cl	SO ₂ CHF ₂ CF ₃	Me
Cl	CN	H	Cl	CN	Me
Cl	H	Cl	Cl	Me	Cl
Cl	H	Br	Cl	Me	Br
Cl	H	CF ₃	Cl	Me	CF ₃
Cl	H	OCF ₃	Cl	Me	OCF ₃
Cl	H	OCHF ₂	Cl	Me	OCHF ₂
Cl	H	OCH ₂ CF ₃	Cl	Me	OCH ₂ CF ₃
Cl	H	OCF ₂ CF ₂ H	Cl	Me	OCF ₂ CF ₂ H
Cl	H	SCF ₃	Cl	Me	SCF ₃
Cl	H	SCHF ₂	Cl	Me	SCHF ₂
Br	Cl	H	Br	Cl	Me
Br	Br	H	Br	Br	Me
Br	CF ₃	H	Br	CF ₃	Me
Br	OCF ₃	H	Br	OCF ₃	Me
Br	OCHF ₂	H	Br	OCHF ₂	Me

Br	OCH ₂ CF ₃	H	Br	OCH ₂ CF ₃	Me
Br	OCF ₂ CF ₃	H	Br	OCF ₂ CF ₃	Me
Br	OCF ₂ CF ₂ H	H	Br	OCF ₂ CF ₂ H	Me
Br	OCHF CF ₃	H	Br	OCHF CF ₃	Me
Br	SCF ₃	H	Br	SCF ₃	Me
Br	SCHF ₂	H	Br	SCHF ₂	Me
Br	SCH ₂ CF ₃	H	Br	SCH ₂ CF ₃	Me
Br	SCF ₂ CF ₃	H	Br	SCF ₂ CF ₃	Me
Br	SCF ₂ CF ₂ H	H	Br	SCF ₂ CF ₂ H	Me
Br	SCHF CF ₃	H	Br	SCHF CF ₃	Me
Br	SOCF ₃	H	Br	SOCF ₃	Me
Br	SOCHF ₂	H	Br	SOCHF ₂	Me
Br	SOCH ₂ CF ₃	H	Br	SOCH ₂ CF ₃	Me
Br	SOCF ₂ CF ₃	H	Br	SOCF ₂ CF ₃	Me
Br	SOCF ₂ CF ₂ H	H	Br	SOCF ₂ CF ₂ H	Me
Br	SOCHF CF ₃	H	Br	SOCHF CF ₃	Me
Br	SO ₂ CF ₃	H	Br	SO ₂ CF ₃	Me
Br	SO ₂ CHF ₂	H	Br	SO ₂ CHF ₂	Me
Br	SO ₂ CH ₂ CF ₃	H	Br	SO ₂ CH ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₃	H	Br	SO ₂ CF ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₂ H	H	Br	SO ₂ CF ₂ CF ₂ H	Me
Br	SO ₂ CHF CF ₃	H	Br	SO ₂ CHF CF ₃	Me
Br	CN	H	Br	CN	Me
H	Cl	Cl	Me	Cl	Cl
H	Br	Cl	Me	Br	Cl
H	CF ₃	Cl	Me	CF ₃	Cl
H	OCF ₃	Cl	Me	OCF ₃	Cl
H	OCHF ₂	Cl	Me	OCHF ₂	Cl
H	OCH ₂ CF ₃	Cl	Me	OCH ₂ CF ₃	Cl
H	OCF ₂ CF ₃	Cl	Me	OCF ₂ CF ₃	Cl
H	OCF ₂ CF ₂ H	Cl	Me	OCF ₂ CF ₂ H	Cl
H	OCHF CF ₃	Cl	Me	OCHF CF ₃	Cl
H	SCF ₃	Cl	Me	SCF ₃	Cl
H	SCHF ₂	Cl	Me	SCHF ₂	Cl
H	SCH ₂ CF ₃	Cl	Me	SCH ₂ CF ₃	Cl
H	SCF ₂ CF ₃	Cl	Me	SCF ₂ CF ₃	Cl
H	SCF ₂ CF ₂ H	Cl	Me	SCF ₂ CF ₂ H	Cl
H	SCHF CF ₃	Cl	Me	SCHF CF ₃	Cl

H	SOCF ₃	Cl	Me	SOCF ₃	Cl
H	SOCHF ₂	Cl	Me	SOCHF ₂	Cl
H	SOCH ₂ CF ₃	Cl	Me	SOCH ₂ CF ₃	Cl
H	SOCF ₂ CF ₃	Cl	Me	SOCF ₂ CF ₃	Cl
H	SOCF ₂ CF ₂ H	Cl	Me	SOCF ₂ CF ₂ H	Cl
H	SOCHF ₂ CF ₃	Cl	Me	SOCHF ₂ CF ₃	Cl
H	SO ₂ CF ₃	Cl	Me	SO ₂ CF ₃	Cl
H	SO ₂ CHF ₂	Cl	Me	SO ₂ CHF ₂	Cl
H	SO ₂ CH ₂ CF ₃	Cl	Me	SO ₂ CH ₂ CF ₃	Cl
H	SO ₂ CF ₂ CF ₃	Cl	Me	SO ₂ CF ₂ CF ₃	Cl
H	SO ₂ CF ₂ CF ₂ H	Cl	Me	SO ₂ CF ₂ CF ₂ H	Cl
H	SO ₂ CHF ₂ CF ₃	Cl	Me	SO ₂ CHF ₂ CF ₃	Cl
H	CN	Cl	Me	CN	Cl

T and V are both Cl and U is Me

Q	R	S	Q	R	S
Cl	Cl	H	Cl	Cl	Me
Cl	Br	H	Cl	Br	Me
Cl	CF ₃	H	Cl	CF ₃	Me
Cl	OCF ₃	H	Cl	OCF ₃	Me
Cl	OCHF ₂	H	Cl	OCHF ₂	Me
Cl	OCH ₂ CF ₃	H	Cl	OCH ₂ CF ₃	Me
Cl	OCF ₂ CF ₃	H	Cl	OCF ₂ CF ₃	Me
Cl	OCF ₂ CF ₂ H	H	Cl	OCF ₂ CF ₂ H	Me
Cl	OCHF ₂ CF ₃	H	Cl	OCHF ₂ CF ₃	Me
Cl	SCF ₃	H	Cl	SCF ₃	Me
Cl	SCHF ₂	H	Cl	SCHF ₂	Me
Cl	SCH ₂ CF ₃	H	Cl	SCH ₂ CF ₃	Me
Cl	SCF ₂ CF ₃	H	Cl	SCF ₂ CF ₃	Me
Cl	SCF ₂ CF ₂ H	H	Cl	SCF ₂ CF ₂ H	Me
Cl	SCHF ₂ CF ₃	H	Cl	SCHF ₂ CF ₃	Me
Cl	SOCF ₃	H	Cl	SOCF ₃	Me
Cl	SOCHF ₂	H	Cl	SOCHF ₂	Me
Cl	SOCH ₂ CF ₃	H	Cl	SOCH ₂ CF ₃	Me
Cl	SOCF ₂ CF ₃	H	Cl	SOCF ₂ CF ₃	Me
Cl	SOCF ₂ CF ₂ H	H	Cl	SOCF ₂ CF ₂ H	Me
Cl	SOCHF ₂ CF ₃	H	Cl	SOCHF ₂ CF ₃	Me
Cl	SO ₂ CF ₃	H	Cl	SO ₂ CF ₃	Me

Cl	SO ₂ CHF ₂	H
Cl	SO ₂ CH ₂ CF ₃	H
Cl	SO ₂ CF ₂ CF ₃	H
Cl	SO ₂ CF ₂ CF ₂ H	H
Cl	SO ₂ CHF ₂ CF ₃	H
Cl	CN	H
Cl	H	Cl
Cl	H	Br
Cl	H	CF ₃
Cl	H	OCF ₃
Cl	H	OCHF ₂
Cl	H	OCH ₂ CF ₃
Cl	H	OCF ₂ CF ₂ H
Cl	H	SCF ₃
Cl	H	SCHF ₂
Br	SCF ₃	H
Br	SCHF ₂	H
Br	SCH ₂ CF ₃	H
Br	SCF ₂ CF ₃	H
Br	SCF ₂ CF ₂ H	H
Br	SCHF ₂ CF ₃	H
Br	SOCF ₃	H
Br	SOCHF ₂	H
Br	SOCH ₂ CF ₃	H
Br	SOCF ₂ CF ₃	H
Br	SOCF ₂ CF ₂ H	H
Br	SOCHF ₂ CF ₃	H
Br	SO ₂ CF ₃	H
Br	SO ₂ CHF ₂	H
Br	SO ₂ CH ₂ CF ₃	H
Br	SO ₂ CF ₂ CF ₃	H
Br	SO ₂ CF ₂ CF ₂ H	H
Br	SO ₂ CHF ₂ CF ₃	H
Br	CN	H
H	Cl	Cl
H	Br	Cl
H	CF ₃	Cl
H	OCF ₃	Cl

Cl	SO ₂ CHF ₂	Me
Cl	SO ₂ CH ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₂ H	Me
Cl	SO ₂ CHF ₂ CF ₃	Me
Cl	CN	Me
Cl	Me	Cl
Cl	Me	Br
Cl	Me	CF ₃
Cl	Me	OCF ₃
Cl	Me	OCHF ₂
Cl	Me	OCH ₂ CF ₃
Cl	Me	OCF ₂ CF ₂ H
Cl	Me	SCF ₃
Cl	Me	SCHF ₂
Br	SCF ₃	Me
Br	SCHF ₂	Me
Br	SCH ₂ CF ₃	Me
Br	SCF ₂ CF ₃	Me
Br	SCF ₂ CF ₂ H	Me
Br	SCHF ₂ CF ₃	Me
Br	SOCF ₃	Me
Br	SOCHF ₂	Me
Br	SOCH ₂ CF ₃	Me
Br	SOCF ₂ CF ₃	Me
Br	SOCF ₂ CF ₂ H	Me
Br	SOCHF ₂ CF ₃	Me
Br	SO ₂ CF ₃	Me
Br	SO ₂ CHF ₂	Me
Br	SO ₂ CH ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₂ H	Me
Br	SO ₂ CHF ₂ CF ₃	Me
Br	CN	Me
Me	Cl	Cl
Me	Br	Cl
Me	CF ₃	Cl
Me	OCF ₃	Cl

H	OCHF ₂	Cl	Me	OCHF ₂	Cl
H	OCH ₂ CF ₃	Cl	Me	OCH ₂ CF ₃	Cl
H	OCF ₂ CF ₃	Cl	Me	OCF ₂ CF ₃	Cl
H	OCF ₂ CF ₂ H	Cl	Me	OCF ₂ CF ₂ H	Cl
H	OCHF ₂ CF ₃	Cl	Me	OCHF ₂ CF ₃	Cl
H	SCF ₃	Cl	Me	SCF ₃	Cl
H	SCHF ₂	Cl	Me	SCHF ₂	Cl
H	SCH ₂ CF ₃	Cl	Me	SCH ₂ CF ₃	Cl
H	SCF ₂ CF ₃	Cl	Me	SCF ₂ CF ₃	Cl
H	SCF ₂ CF ₂ H	Cl	Me	SCF ₂ CF ₂ H	Cl
H	SCHF ₂ CF ₃	Cl	Me	SCHF ₂ CF ₃	Cl
H	SOCF ₃	Cl	Me	SOCF ₃	Cl
H	SOCHF ₂	Cl	Me	SOCHF ₂	Cl
H	SOCH ₂ CF ₃	Cl	Me	SOCH ₂ CF ₃	Cl
H	SOCF ₂ CF ₃	Cl	Me	SOCF ₂ CF ₃	Cl
H	SOCF ₂ CF ₂ H	Cl	Me	SOCF ₂ CF ₂ H	Cl
H	SOCHF ₂ CF ₃	Cl	Me	SOCHF ₂ CF ₃	Cl
H	SO ₂ CF ₃	Cl	Me	SO ₂ CF ₃	Cl
H	SO ₂ CHF ₂	Cl	Me	SO ₂ CHF ₂	Cl
H	SO ₂ CH ₂ CF ₃	Cl	Me	SO ₂ CH ₂ CF ₃	Cl
H	SO ₂ CF ₂ CF ₃	Cl	Me	SO ₂ CF ₂ CF ₃	Cl
H	SO ₂ CF ₂ CF ₂ H	Cl	Me	SO ₂ CF ₂ CF ₂ H	Cl
H	SO ₂ CHF ₂ CF ₃	Cl	Me	SO ₂ CHF ₂ CF ₃	Cl
H	CN	Cl	Me	CN	Cl

T is Cl and V and U are both Me

Q	R	S	Q	R	S
Cl	Cl	H	Cl	Cl	Me
Cl	Br	H	Cl	Br	Me
Cl	CF ₃	H	Cl	CF ₃	Me
Cl	OCF ₃	H	Cl	OCF ₃	Me
Cl	OCHF ₂	H	Cl	OCHF ₂	Me
Cl	OCH ₂ CF ₃	H	Cl	OCH ₂ CF ₃	Me
Cl	OCF ₂ CF ₃	H	Cl	OCF ₂ CF ₃	Me
Cl	OCF ₂ CF ₂ H	H	Cl	OCF ₂ CF ₂ H	Me
Cl	OCHF ₂ CF ₃	H	Cl	OCHF ₂ CF ₃	Me
Cl	SCF ₃	H	Cl	SCF ₃	Me
Cl	SCHF ₂	H	Cl	SCHF ₂	Me

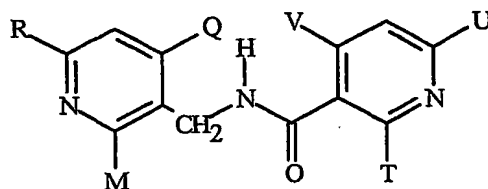
Cl	SCH ₂ CF ₃	H
Cl	SCF ₂ CF ₃	H
Cl	SCF ₂ CF ₂ H	H
Cl	SCHF CF ₃	H
Cl	SOCF ₃	H
Cl	SOCHF ₂	H
Cl	SOCH ₂ CF ₃	H
Cl	SOCF ₂ CF ₃	H
Cl	SOCF ₂ CF ₂ H	H
Cl	SOCHF CF ₃	H
Cl	SO ₂ CF ₃	H
Cl	SO ₂ CHF ₂	H
Cl	SO ₂ CH ₂ CF ₃	H
Cl	SO ₂ CF ₂ CF ₃	H
Cl	SO ₂ CF ₂ CF ₂ H	H
Cl	SO ₂ CHF CF ₃	H
Cl	CN	H
Cl	H	Cl
Cl	H	Br
Cl	H	CF ₃
Cl	H	OCF ₃
Cl	H	OCHF ₂
Cl	H	OCH ₂ CF ₃
Cl	H	OCF ₂ CF ₂ H
Cl	H	SCF ₃
Cl	H	SCHF ₂
Br	SCF ₃	H
Br	SCHF ₂	H
Br	SCH ₂ CF ₃	H
Br	SCF ₂ CF ₃	H
Br	SCF ₂ CF ₂ H	H
Br	SCHF CF ₃	H
Br	SOCF ₃	H
Br	SOCHF ₂	H
Br	SOCH ₂ CF ₃	H
Br	SOCF ₂ CF ₃	H
Br	SOCF ₂ CF ₂ H	H
Br	SOCHF CF ₃	H

Cl	SCH ₂ CF ₃	Me
Cl	SCF ₂ CF ₃	Me
Cl	SCF ₂ CF ₂ H	Me
Cl	SCHF CF ₃	Me
Cl	SOCF ₃	Me
Cl	SOCHF ₂	Me
Cl	SOCH ₂ CF ₃	Me
Cl	SOCF ₂ CF ₃	Me
Cl	SOCF ₂ CF ₂ H	Me
Cl	SOCHF CF ₃	Me
Cl	SO ₂ CF ₃	Me
Cl	SO ₂ CHF ₂	Me
Cl	SO ₂ CH ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₂ H	Me
Cl	SO ₂ CHF CF ₃	Me
Cl	CN	Me
Cl	Me	Cl
Cl	Me	Br
Cl	Me	CF ₃
Cl	Me	OCF ₃
Cl	Me	OCHF ₂
Cl	Me	OCH ₂ CF ₃
Cl	Me	OCF ₂ CF ₂ H
Cl	Me	SCF ₃
Cl	Me	SCHF ₂
Br	SCF ₃	Me
Br	SCHF ₂	Me
Br	SCH ₂ CF ₃	Me
Br	SCF ₂ CF ₃	Me
Br	SCF ₂ CF ₂ H	Me
Br	SCHF CF ₃	Me
Br	SOCF ₃	Me
Br	SOCHF ₂	Me
Br	SOCH ₂ CF ₃	Me
Br	SOCF ₂ CF ₃	Me
Br	SOCF ₂ CF ₂ H	Me
Br	SOCHF CF ₃	Me

Br	SO ₂ CF ₃	H
Br	SO ₂ CHF ₂	H
Br	SO ₂ CH ₂ CF ₃	H
Br	SO ₂ CF ₂ CF ₃	H
Br	SO ₂ CF ₂ CF ₂ H	H
Br	SO ₂ CHF ₂ CF ₃	H
Br	CN	H
H	Cl	Cl
H	Br	Cl
H	CF ₃	Cl
H	OCF ₃	Cl
H	OCHF ₂	Cl
H	OCH ₂ CF ₃	Cl
H	OCF ₂ CF ₃	Cl
H	OCF ₂ CF ₂ H	Cl
H	OCHF ₂ CF ₃	Cl
H	SCF ₃	Cl
H	SCHF ₂	Cl
H	SCH ₂ CF ₃	Cl
H	SCF ₂ CF ₃	Cl
H	SCF ₂ CF ₂ H	Cl
H	SCHF ₂ CF ₃	Cl
H	SOCF ₃	Cl
H	SOCHF ₂	Cl
H	SOCH ₂ CF ₃	Cl
H	SOCF ₂ CF ₃	Cl
H	SOCF ₂ CF ₂ H	Cl
H	SOCHF ₂ CF ₃	Cl
H	SO ₂ CF ₃	Cl
H	SO ₂ CHF ₂	Cl
H	SO ₂ CH ₂ CF ₃	Cl
H	SO ₂ CF ₂ CF ₃	Cl
H	SO ₂ CF ₂ CF ₂ H	Cl
H	SO ₂ CHF ₂ CF ₃	Cl
H	CN	Cl

Br	SO ₂ CF ₃	Me
Br	SO ₂ CHF ₂	Me
Br	SO ₂ CH ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₂ H	Me
Br	SO ₂ CHF ₂ CF ₃	Me
Br	CN	Me
Me	Cl	Cl
Me	Br	Cl
Me	CF ₃	Cl
Me	OCF ₃	Cl
Me	OCHF ₂	Cl
Me	OCH ₂ CF ₃	Cl
Me	OCF ₂ CF ₃	Cl
Me	OCF ₂ CF ₂ H	Cl
Me	OCHF ₂ CF ₃	Cl
Me	SCF ₃	Cl
Me	SCHF ₂	Cl
Me	SCH ₂ CF ₃	Cl
Me	SCF ₂ CF ₃	Cl
Me	SCF ₂ CF ₂ H	Cl
Me	SCHF ₂ CF ₃	Cl
Me	SOCF ₃	Cl
Me	SOCHF ₂	Cl
Me	SOCH ₂ CF ₃	Cl
Me	SOCF ₂ CF ₃	Cl
Me	SOCF ₂ CF ₂ H	Cl
Me	SOCHF ₂ CF ₃	Cl
Me	SO ₂ CF ₃	Cl
Me	SO ₂ CHF ₂	Cl
Me	SO ₂ CH ₂ CF ₃	Cl
Me	SO ₂ CF ₂ CF ₃	Cl
Me	SO ₂ CF ₂ CF ₂ H	Cl
Me	SO ₂ CHF ₂ CF ₃	Cl
Me	CN	Cl

Table 8



T and V are both Cl and U is H

Q	R	M	Q	R	M
Cl	Cl	H	Cl	Cl	Me
Cl	Br	H	Cl	Br	Me
Cl	CF ₃	H	Cl	CF ₃	Me
Cl	OCF ₃	H	Cl	OCF ₃	Me
Cl	OCHF ₂	H	Cl	OCHF ₂	Me
Cl	OCH ₂ CF ₃	H	Cl	OCH ₂ CF ₃	Me
Cl	OCF ₂ CF ₃	H	Cl	OCF ₂ CF ₃	Me
Cl	OCF ₂ CF ₂ H	H	Cl	OCF ₂ CF ₂ H	Me
Cl	OCHF ₂ CF ₃	H	Cl	OCHF ₂ CF ₃	Me
Cl	SCF ₃	H	Cl	SCF ₃	Me
Cl	SCHF ₂	H	Cl	SCHF ₂	Me
Cl	SCH ₂ CF ₃	H	Cl	SCH ₂ CF ₃	Me
Cl	SCF ₂ CF ₃	H	Cl	SCF ₂ CF ₃	Me
Cl	SCF ₂ CF ₂ H	H	Cl	SCF ₂ CF ₂ H	Me
Cl	SCHF ₂ CF ₃	H	Cl	SCHF ₂ CF ₃	Me
Cl	SOCF ₃	H	Cl	SOCF ₃	Me
Cl	SOCHF ₂	H	Cl	SOCHF ₂	Me
Cl	SOCH ₂ CF ₃	H	Cl	SOCH ₂ CF ₃	Me
Cl	SOCF ₂ CF ₃	H	Cl	SOCF ₂ CF ₃	Me
Cl	SOCF ₂ CF ₂ H	H	Cl	SOCF ₂ CF ₂ H	Me
Cl	SOCHF ₂ CF ₃	H	Cl	SOCHF ₂ CF ₃	Me
Cl	SO ₂ CF ₃	H	Cl	SO ₂ CF ₃	Me
Cl	SO ₂ CHF ₂	H	Cl	SO ₂ CHF ₂	Me
Cl	SO ₂ CH ₂ CF ₃	H	Cl	SO ₂ CH ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₃	H	Cl	SO ₂ CF ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₂ H	H	Cl	SO ₂ CF ₂ CF ₂ H	Me
Cl	SO ₂ CHF ₂ CF ₃	H	Cl	SO ₂ CHF ₂ CF ₃	Me
Cl	CN	H	Cl	CN	Me

Cl	H	Cl	Cl	Me	Cl
Cl	H	Br	Cl	Me	Br
Cl	H	CF ₃	Cl	Me	CF ₃
Cl	H	OCF ₃	Cl	Me	OCF ₃
Cl	H	OCHF ₂	Cl	Me	OCHF ₂
Cl	H	OCH ₂ CF ₃	Cl	Me	OCH ₂ CF ₃
Cl	H	OCF ₂ CF ₂ H	Cl	Me	OCF ₂ CF ₂ H
Cl	H	SCF ₃	Cl	Me	SCF ₃
Cl	H	SCHF ₂	Cl	Me	SCHF ₂
Br	Cl	H	Br	Cl	Me
Br	Br	H	Br	Br	Me
Br	CF ₃	H	Br	CF ₃	Me
Br	OCF ₃	H	Br	OCF ₃	Me
Br	OCHF ₂	H	Br	OCHF ₂	Me
Br	OCH ₂ CF ₃	H	Br	OCH ₂ CF ₃	Me
Br	OCF ₂ CF ₃	H	Br	OCF ₂ CF ₃	Me
Br	OCF ₂ CF ₂ H	H	Br	OCF ₂ CF ₂ H	Me
Br	OCHF ₂ CF ₃	H	Br	OCHF ₂ CF ₃	Me
Br	SCF ₃	H	Br	SCF ₃	Me
Br	SCHF ₂	H	Br	SCHF ₂	Me
Br	SCH ₂ CF ₃	H	Br	SCH ₂ CF ₃	Me
Br	SCF ₂ CF ₃	H	Br	SCF ₂ CF ₃	Me
Br	SCF ₂ CF ₂ H	H	Br	SCF ₂ CF ₂ H	Me
Br	SCHF ₂ CF ₃	H	Br	SCHF ₂ CF ₃	Me
Br	SOCF ₃	H	Br	SOCF ₃	Me
Br	SOCHF ₂	H	Br	SOCHF ₂	Me
Br	SOCH ₂ CF ₃	H	Br	SOCH ₂ CF ₃	Me
Br	SOCF ₂ CF ₃	H	Br	SOCF ₂ CF ₃	Me
Br	SOCF ₂ CF ₂ H	H	Br	SOCF ₂ CF ₂ H	Me
Br	SOCHF ₂ CF ₃	H	Br	SOCHF ₂ CF ₃	Me
Br	SO ₂ CF ₃	H	Br	SO ₂ CF ₃	Me
Br	SO ₂ CHF ₂	H	Br	SO ₂ CHF ₂	Me
Br	SO ₂ CH ₂ CF ₃	H	Br	SO ₂ CH ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₃	H	Br	SO ₂ CF ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₂ H	H	Br	SO ₂ CF ₂ CF ₂ H	Me
Br	SO ₂ CHF ₂ CF ₃	H	Br	SO ₂ CHF ₂ CF ₃	Me
Br	CN	H	Br	CN	Me
H	Cl	Cl	Me	Cl	Cl

H	Br	Cl	Me	Br	Cl
H	CF ₃	Cl	Me	CF ₃	Cl
H	OCF ₃	Cl	Me	OCF ₃	Cl
H	OCHF ₂	Cl	Me	OCHF ₂	Cl
H	OCH ₂ CF ₃	Cl	Me	OCH ₂ CF ₃	Cl
H	OCF ₂ CF ₃	Cl	Me	OCF ₂ CF ₃	Cl
H	OCF ₂ CF ₂ H	Cl	Me	OCF ₂ CF ₂ H	Cl
H	OCHF ₂ CF ₃	Cl	Me	OCHF ₂ CF ₃	Cl
H	SCF ₃	Cl	Me	SCF ₃	Cl
H	SCHF ₂	Cl	Me	SCHF ₂	Cl
H	SCH ₂ CF ₃	Cl	Me	SCH ₂ CF ₃	Cl
H	SCF ₂ CF ₃	Cl	Me	SCF ₂ CF ₃	Cl
H	SCF ₂ CF ₂ H	Cl	Me	SCF ₂ CF ₂ H	Cl
H	SCHF ₂ CF ₃	Cl	Me	SCHF ₂ CF ₃	Cl
H	SOCF ₃	Cl	Me	SOCF ₃	Cl
H	SOCHF ₂	Cl	Me	SOCHF ₂	Cl
H	SOCH ₂ CF ₃	Cl	Me	SOCH ₂ CF ₃	Cl
H	SOCF ₂ CF ₃	Cl	Me	SOCF ₂ CF ₃	Cl
H	SOCF ₂ CF ₂ H	Cl	Me	SOCF ₂ CF ₂ H	Cl
H	SOCHF ₂ CF ₃	Cl	Me	SOCHF ₂ CF ₃	Cl
H	SO ₂ CF ₃	Cl	Me	SO ₂ CF ₃	Cl
H	SO ₂ CHF ₂	Cl	Me	SO ₂ CHF ₂	Cl
H	SO ₂ CH ₂ CF ₃	Cl	Me	SO ₂ CH ₂ CF ₃	Cl
H	SO ₂ CF ₂ CF ₃	Cl	Me	SO ₂ CF ₂ CF ₃	Cl
H	SO ₂ CF ₂ CF ₂ H	Cl	Me	SO ₂ CF ₂ CF ₂ H	Cl
H	SO ₂ CHF ₂ CF ₃	Cl	Me	SO ₂ CHF ₂ CF ₃	Cl
H	CN	Cl	Me	CN	Cl

T and V are both Cl and U is Me

Q	R	S	Q	R	S
Cl	Cl	H	Cl	Cl	Me
Cl	Br	H	Cl	Br	Me
Cl	CF ₃	H	Cl	CF ₃	Me
Cl	OCF ₃	H	Cl	OCF ₃	Me
Cl	OCHF ₂	H	Cl	OCHF ₂	Me
Cl	OCH ₂ CF ₃	H	Cl	OCH ₂ CF ₃	Me
Cl	OCF ₂ CF ₃	H	Cl	OCF ₂ CF ₃	Me
Cl	OCF ₂ CF ₂ H	H	Cl	OCF ₂ CF ₂ H	Me

Cl	OCHF ₂ CF ₃	H
Cl	SCF ₃	H
Cl	SCHF ₂	H
Cl	SCH ₂ CF ₃	H
Cl	SCF ₂ CF ₃	H
Cl	SCF ₂ CF ₂ H	H
Cl	SCHF ₂ CF ₃	H
Cl	SOCF ₃	H
Cl	SOCHF ₂	H
Cl	SOCH ₂ CF ₃	H
Cl	SOCF ₂ CF ₃	H
Cl	SOCF ₂ CF ₂ H	H
Cl	SOCHF ₂ CF ₃	H
Cl	SO ₂ CF ₃	H
Cl	SO ₂ CHF ₂	H
Cl	SO ₂ CH ₂ CF ₃	H
Cl	SO ₂ CF ₂ CF ₃	H
Cl	SO ₂ CF ₂ CF ₂ H	H
Cl	SO ₂ CHF ₂ CF ₃	H
Cl	CN	H
Cl	H	Cl
Cl	H	Br
Cl	H	CF ₃
Cl	H	OCF ₃
Cl	H	OCHF ₂
Cl	H	OCH ₂ CF ₃
Cl	H	OCF ₂ CF ₂ H
Cl	H	SCF ₃
Cl	H	SCHF ₂
Br	SCF ₃	H
Br	SCHF ₂	H
Br	SCH ₂ CF ₃	H
Br	SCF ₂ CF ₃	H
Br	SCF ₂ CF ₂ H	H
Br	SCHF ₂ CF ₃	H
Br	SOCF ₃	H
Br	SOCHF ₂	H
Br	SOCH ₂ CF ₃	H

Cl	OCHF ₂ CF ₃	Me
Cl	SCF ₃	Me
Cl	SCHF ₂	Me
Cl	SCH ₂ CF ₃	Me
Cl	SCF ₂ CF ₃	Me
Cl	SCF ₂ CF ₂ H	Me
Cl	SCHF ₂ CF ₃	Me
Cl	SOCF ₃	Me
Cl	SOCHF ₂	Me
Cl	SOCH ₂ CF ₃	Me
Cl	SOCF ₂ CF ₃	Me
Cl	SOCF ₂ CF ₂ H	Me
Cl	SOCHF ₂ CF ₃	Me
Cl	SO ₂ CF ₃	Me
Cl	SO ₂ CHF ₂	Me
Cl	SO ₂ CH ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₂ H	Me
Cl	SO ₂ CHF ₂ CF ₃	Me
Cl	CN	Me
Cl	Me	Cl
Cl	Me	Br
Cl	Me	CF ₃
Cl	Me	OCF ₃
Cl	Me	OCHF ₂
Cl	Me	OCH ₂ CF ₃
Cl	Me	OCF ₂ CF ₂ H
Cl	Me	SCF ₃
Cl	Me	SCHF ₂
Br	SCF ₃	Me
Br	SCHF ₂	Me
Br	SCH ₂ CF ₃	Me
Br	SCF ₂ CF ₃	Me
Br	SCF ₂ CF ₂ H	Me
Br	SCHF ₂ CF ₃	Me
Br	SOCF ₃	Me
Br	SOCHF ₂	Me
Br	SOCH ₂ CF ₃	Me

Br	SO ₂ CF ₂ CF ₃	H
Br	SO ₂ CF ₂ CF ₂ H	H
Br	SOCH ₂ CF ₃	H
Br	SO ₂ CF ₃	H
Br	SO ₂ CHF ₂	H
Br	SO ₂ CH ₂ CF ₃	H
Br	SO ₂ CF ₂ CF ₃	H
Br	SO ₂ CF ₂ CF ₂ H	H
Br	SO ₂ CH ₂ CF ₃	H
Br	CN	H
H	Cl	Cl
H	Br	Cl
H	CF ₃	Cl
H	OCF ₃	Cl
H	OCHF ₂	Cl
H	OCH ₂ CF ₃	Cl
H	OCF ₂ CF ₃	Cl
H	OCF ₂ CF ₂ H	Cl
H	OCH ₂ CF ₃	Cl
H	SCF ₃	Cl
H	SCHF ₂	Cl
H	SCH ₂ CF ₃	Cl
H	SCF ₂ CF ₃	Cl
H	SCF ₂ CF ₂ H	Cl
H	SCH ₂ CF ₃	Cl
H	SO ₂ CF ₃	Cl
H	SOCHF ₂	Cl
H	SOCH ₂ CF ₃	Cl
H	SO ₂ CF ₂ CF ₃	Cl
H	SO ₂ CF ₂ CF ₂ H	Cl
H	SOCH ₂ CF ₃	Cl
H	SO ₂ CF ₃	Cl
H	SO ₂ CHF ₂	Cl
H	SO ₂ CH ₂ CF ₃	Cl
H	SO ₂ CF ₂ CF ₃	Cl
H	SO ₂ CF ₂ CF ₂ H	Cl
H	SO ₂ CH ₂ CF ₃	Cl
H	CN	Cl

Br	SO ₂ CF ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₂ H	Me
Br	SOCH ₂ CF ₃	Me
Br	SO ₂ CF ₃	Me
Br	SO ₂ CHF ₂	Me
Br	SO ₂ CH ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₂ H	Me
Br	SO ₂ CH ₂ CF ₃	Me
Br	CN	Me
Me	Cl	Cl
Me	Br	Cl
Me	CF ₃	Cl
Me	OCF ₃	Cl
Me	OCHF ₂	Cl
Me	OCH ₂ CF ₃	Cl
Me	OCF ₂ CF ₃	Cl
Me	OCF ₂ CF ₂ H	Cl
Me	OCH ₂ CF ₃	Cl
Me	SCF ₃	Cl
Me	SCHF ₂	Cl
Me	SCH ₂ CF ₃	Cl
Me	SCF ₂ CF ₃	Cl
Me	SCF ₂ CF ₂ H	Cl
Me	SCH ₂ CF ₃	Cl
Me	SO ₂ CF ₃	Cl
Me	SOCHF ₂	Cl
Me	SOCH ₂ CF ₃	Cl
Me	SO ₂ CF ₂ CF ₃	Cl
Me	SO ₂ CF ₂ CF ₂ H	Cl
Me	SOCH ₂ CF ₃	Cl
Me	SO ₂ CF ₃	Cl
Me	SO ₂ CHF ₂	Cl
Me	SO ₂ CH ₂ CF ₃	Cl
Me	SO ₂ CF ₂ CF ₃	Cl
Me	SO ₂ CF ₂ CF ₂ H	Cl
Me	SO ₂ CH ₂ CF ₃	Cl
Me	CN	Cl

T is Cl and V and U are both Me

Q	R	S	Q	R	S
Cl	Cl	H	Cl	Cl	Me
Cl	Br	H	Cl	Br	Me
Cl	CF ₃	H	Cl	CF ₃	Me
Cl	OCF ₃	H	Cl	OCF ₃	Me
Cl	OCHF ₂	H	Cl	OCHF ₂	Me
Cl	OCH ₂ CF ₃	H	Cl	OCH ₂ CF ₃	Me
Cl	OCF ₂ CF ₃	H	Cl	OCF ₂ CF ₃	Me
Cl	OCF ₂ CF ₂ H	H	Cl	OCF ₂ CF ₂ H	Me
Cl	OCHF ₂ CF ₃	H	Cl	OCHF ₂ CF ₃	Me
Cl	SCF ₃	H	Cl	SCF ₃	Me
Cl	SCHF ₂	H	Cl	SCHF ₂	Me
Cl	SCH ₂ CF ₃	H	Cl	SCH ₂ CF ₃	Me
Cl	SCF ₂ CF ₃	H	Cl	SCF ₂ CF ₃	Me
Cl	SCF ₂ CF ₂ H	H	Cl	SCF ₂ CF ₂ H	Me
Cl	SCHF ₂ CF ₃	H	Cl	SCHF ₂ CF ₃	Me
Cl	SOCF ₃	H	Cl	SOCF ₃	Me
Cl	SOCHF ₂	H	Cl	SOCHF ₂	Me
Cl	SOCH ₂ CF ₃	H	Cl	SOCH ₂ CF ₃	Me
Cl	SOCF ₂ CF ₃	H	Cl	SOCF ₂ CF ₃	Me
Cl	SOCF ₂ CF ₂ H	H	Cl	SOCF ₂ CF ₂ H	Me
Cl	SOCHF ₂ CF ₃	H	Cl	SOCHF ₂ CF ₃	Me
Cl	SO ₂ CF ₃	H	Cl	SO ₂ CF ₃	Me
Cl	SO ₂ CHF ₂	H	Cl	SO ₂ CHF ₂	Me
Cl	SO ₂ CH ₂ CF ₃	H	Cl	SO ₂ CH ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₃	H	Cl	SO ₂ CF ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₂ H	H	Cl	SO ₂ CF ₂ CF ₂ H	Me
Cl	SO ₂ CHF ₂ CF ₃	H	Cl	SO ₂ CHF ₂ CF ₃	Me
Cl	CN	H	Cl	CN	Me
Cl	H	Cl	Cl	Me	Cl
Cl	H	Br	Cl	Me	Br
Cl	H	CF ₃	Cl	Me	CF ₃
Cl	H	OCF ₃	Cl	Me	OCF ₃
Cl	H	OCHF ₂	Cl	Me	OCHF ₂
Cl	H	OCH ₂ CF ₃	Cl	Me	OCH ₂ CF ₃
Cl	H	OCF ₂ CF ₂ H	Cl	Me	OCF ₂ CF ₂ H

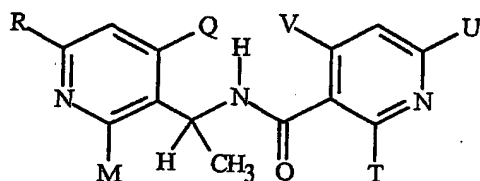
Cl	H	SCF ₃
Cl	H	SCHF ₂
Br	SCF ₃	H
Br	SCHF ₂	H
Br	SCH ₂ CF ₃	H
Br	SCF ₂ CF ₃	H
Br	SCF ₂ CF ₂ H	H
Br	SCHF ₂ CF ₃	H
Br	SOCF ₃	H
Br	SOCHF ₂	H
Br	SOCH ₂ CF ₃	H
Br	SOCF ₂ CF ₃	H
Br	SOCF ₂ CF ₂ H	H
Br	SOCHF ₂ CF ₃	H
Br	SO ₂ CF ₃	H
Br	SO ₂ CHF ₂	H
Br	SO ₂ CH ₂ CF ₃	H
Br	SO ₂ CF ₂ CF ₃	H
Br	SO ₂ CF ₂ CF ₂ H	H
Br	SO ₂ CHF ₂ CF ₃	H
Br	CN	H
H	Cl	Cl
H	Br	Cl
H	CF ₃	Cl
H	OCF ₃	Cl
H	OCHF ₂	Cl
H	OCH ₂ CF ₃	Cl
H	OCF ₂ CF ₃	Cl
H	OCF ₂ CF ₂ H	Cl
H	OCHF ₂ CF ₃	Cl
H	SCF ₃	Cl
H	SCHF ₂	Cl
H	SCH ₂ CF ₃	Cl
H	SCF ₂ CF ₃	Cl
H	SCF ₂ CF ₂ H	Cl
H	SCHF ₂ CF ₃	Cl
H	SOCF ₃	Cl
H	SOCHF ₂	Cl

Cl	Me	SCF ₃
Cl	Me	SCHF ₂
Br	SCF ₃	Me
Br	SCHF ₂	Me
Br	SCH ₂ CF ₃	Me
Br	SCF ₂ CF ₃	Me
Br	SCF ₂ CF ₂ H	Me
Br	SCHF ₂ CF ₃	Me
Br	SOCF ₃	Me
Br	SOCHF ₂	Me
Br	SOCH ₂ CF ₃	Me
Br	SOCF ₂ CF ₃	Me
Br	SOCF ₂ CF ₂ H	Me
Br	SOCHF ₂ CF ₃	Me
Br	SO ₂ CF ₃	Me
Br	SO ₂ CHF ₂	Me
Br	SO ₂ CH ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₂ H	Me
Br	SO ₂ CHF ₂ CF ₃	Me
Br	CN	Me
Me	Cl	Cl
Me	Br	Cl
Me	CF ₃	Cl
Me	OCF ₃	Cl
Me	OCHF ₂	Cl
Me	OCH ₂ CF ₃	Cl
Me	OCF ₂ CF ₃	Cl
Me	OCF ₂ CF ₂ H	Cl
Me	OCHF ₂ CF ₃	Cl
Me	SCF ₃	Cl
Me	SCHF ₂	Cl
Me	SCH ₂ CF ₃	Cl
Me	SCF ₂ CF ₃	Cl
Me	SCF ₂ CF ₂ H	Cl
Me	SCHF ₂ CF ₃	Cl
Me	SOCF ₃	Cl
Me	SOCHF ₂	Cl

73

H	SOCH ₂ CF ₃	Cl	Me	SOCH ₂ CF ₃	Cl
H	SOCF ₂ CF ₃	Cl	Me	SOCF ₂ CF ₃	Cl
H	SOCF ₂ CF ₂ H	Cl	Me	SOCF ₂ CF ₂ H	Cl
H	SOCHF ₂ CF ₃	Cl	Me	SOCHF ₂ CF ₃	Cl
H	SO ₂ CF ₃	Cl	Me	SO ₂ CF ₃	Cl
H	SO ₂ CHF ₂	Cl	Me	SO ₂ CHF ₂	Cl
H	SO ₂ CH ₂ CF ₃	Cl	Me	SO ₂ CH ₂ CF ₃	Cl
H	SO ₂ CF ₂ CF ₃	Cl	Me	SO ₂ CF ₂ CF ₃	Cl
H	SO ₂ CF ₂ CF ₂ H	Cl	Me	SO ₂ CF ₂ CF ₂ H	Cl
H	SO ₂ CHF ₂ CF ₃	Cl	Me	SO ₂ CHF ₂ CF ₃	Cl
H	CN	Cl	Me	CN	Cl

Table 9



T and V are both Cl and U is H

Q	R	M	Q	R	M
Cl	Cl	H	Cl	Cl	Me
Cl	Br	H	Cl	Br	Me
Cl	CF ₃	H	Cl	CF ₃	Me
Cl	OCF ₃	H	Cl	OCF ₃	Me
Cl	OCHF ₂	H	Cl	OCHF ₂	Me
Cl	OCH ₂ CF ₃	H	Cl	OCH ₂ CF ₃	Me
Cl	OCF ₂ CF ₃	H	Cl	OCF ₂ CF ₃	Me
Cl	OCF ₂ CF ₂ H	H	Cl	OCF ₂ CF ₂ H	Me
Cl	OCHF ₂ CF ₃	H	Cl	OCHF ₂ CF ₃	Me
Cl	SCF ₃	H	Cl	SCF ₃	Me
Cl	SCHF ₂	H	Cl	SCHF ₂	Me
Cl	SCH ₂ CF ₃	H	Cl	SCH ₂ CF ₃	Me
Cl	SCF ₂ CF ₃	H	Cl	SCF ₂ CF ₃	Me
Cl	SCF ₂ CF ₂ H	H	Cl	SCF ₂ CF ₂ H	Me
Cl	SCHF ₂ CF ₃	H	Cl	SCHF ₂ CF ₃	Me
Cl	SOCF ₃	H	Cl	SOCF ₃	Me

Cl	SOCHF ₂	H
Cl	SOCH ₂ CF ₃	H
Cl	SOCF ₂ CF ₃	H
Cl	SOCF ₂ CF ₂ H	H
Cl	SOCHF ₂ CF ₃	H
Cl	SO ₂ CF ₃	H
Cl	SO ₂ CHF ₂	H
Cl	SO ₂ CH ₂ CF ₃	H
Cl	SO ₂ CF ₂ CF ₃	H
Cl	SO ₂ CF ₂ CF ₂ H	H
Cl	SO ₂ CHF ₂ CF ₃	H
Cl	CN	H
Cl	H	Cl
Cl	H	Br
Cl	H	CF ₃
Cl	H	OCF ₃
Cl	H	OCHF ₂
Cl	H	OCH ₂ CF ₃
Cl	H	OCF ₂ CF ₂ H
Cl	H	SCF ₃
Cl	H	SCHF ₂
Br	Cl	H
Br	Br	H
Br	CF ₃	H
Br	OCF ₃	H
Br	OCHF ₂	H
Br	OCH ₂ CF ₃	H
Br	OCF ₂ CF ₃	H
Br	OCF ₂ CF ₂ H	H
Br	OCHF ₂ CF ₃	H
Br	SCF ₃	H
Br	SCHF ₂	H
Br	SCH ₂ CF ₃	H
Br	SCF ₂ CF ₃	H
Br	SCF ₂ CF ₂ H	H
Br	SCHF ₂ CF ₃	H
Br	SOCF ₃	H
Br	SOCHF ₂	H

Cl	SOCHF ₂	Me
Cl	SOCH ₂ CF ₃	Me
Cl	SOCF ₂ CF ₃	Me
Cl	SOCF ₂ CF ₂ H	Me
Cl	SOCHF ₂ CF ₃	Me
Cl	SO ₂ CF ₃	Me
Cl	SO ₂ CHF ₂	Me
Cl	SO ₂ CH ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₂ H	Me
Cl	SO ₂ CHF ₂ CF ₃	Me
Cl	CN	Me
Cl	Me	Cl
Cl	Me	Br
Cl	Me	CF ₃
Cl	Me	OCF ₃
Cl	Me	OCHF ₂
Cl	Me	OCH ₂ CF ₃
Cl	Me	OCF ₂ CF ₂ H
Cl	Me	SCF ₃
Cl	Me	SCHF ₂
Br	Cl	Me
Br	Br	Me
Br	CF ₃	Me
Br	OCF ₃	Me
Br	OCHF ₂	Me
Br	OCH ₂ CF ₃	Me
Br	OCF ₂ CF ₃	Me
Br	OCF ₂ CF ₂ H	Me
Br	OCHF ₂ CF ₃	Me
Br	SCF ₃	Me
Br	SCHF ₂	Me
Br	SCH ₂ CF ₃	Me
Br	SCF ₂ CF ₃	Me
Br	SCF ₂ CF ₂ H	Me
Br	SCHF ₂ CF ₃	Me
Br	SOCF ₃	Me
Br	SOCHF ₂	Me

Br	SOCH ₂ CF ₃	H
Br	SOCF ₂ CF ₃	H
Br	SOCF ₂ CF ₂ H	H
Br	SOCHF ₂ CF ₃	H
Br	SO ₂ CF ₃	H
Br	SO ₂ CHF ₂	H
Br	SO ₂ CH ₂ CF ₃	H
Br	SO ₂ CF ₂ CF ₃	H
Br	SO ₂ CF ₂ CF ₂ H	H
Br	SO ₂ CHF ₂ CF ₃	H
Br	CN	H
H	Cl	Cl
H	Br	Cl
H	CF ₃	Cl
H	OCF ₃	Cl
H	OCHF ₂	Cl
H	OCH ₂ CF ₃	Cl
H	OCF ₂ CF ₃	Cl
H	OCF ₂ CF ₂ H	Cl
H	OCHF ₂ CF ₃	Cl
H	SCF ₃	Cl
H	SCHF ₂	Cl
H	SCH ₂ CF ₃	Cl
H	SCF ₂ CF ₃	Cl
H	SCF ₂ CF ₂ H	Cl
H	SCHF ₂ CF ₃	Cl
H	SOCF ₃	Cl
H	SOCHF ₂	Cl
H	SOCH ₂ CF ₃	Cl
H	SOCF ₂ CF ₃	Cl
H	SOCF ₂ CF ₂ H	Cl
H	SOCHF ₂ CF ₃	Cl
H	SO ₂ CF ₃	Cl
H	SO ₂ CHF ₂	Cl
H	SO ₂ CH ₂ CF ₃	Cl
H	SO ₂ CF ₂ CF ₃	Cl
H	SO ₂ CF ₂ CF ₂ H	Cl
H	SO ₂ CHF ₂ CF ₃	Cl

Br	SOCH ₂ CF ₃	Me
Br	SOCF ₂ CF ₃	Me
Br	SOCF ₂ CF ₂ H	Me
Br	SOCHF ₂ CF ₃	Me
Br	SO ₂ CF ₃	Me
Br	SO ₂ CHF ₂	Me
Br	SO ₂ CH ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₂ H	Me
Br	SO ₂ CHF ₂ CF ₃	Me
Br	CN	Me
Me	Cl	Cl
Me	Br	Cl
Me	CF ₃	Cl
Me	OCF ₃	Cl
Me	OCHF ₂	Cl
Me	OCH ₂ CF ₃	Cl
Me	OCF ₂ CF ₃	Cl
Me	OCF ₂ CF ₂ H	Cl
Me	OCHF ₂ CF ₃	Cl
Me	SCF ₃	Cl
Me	SCHF ₂	Cl
Me	SCH ₂ CF ₃	Cl
Me	SCF ₂ CF ₃	Cl
Me	SCF ₂ CF ₂ H	Cl
Me	SCHF ₂ CF ₃	Cl
Me	SOCF ₃	Cl
Me	SOCHF ₂	Cl
Me	SOCH ₂ CF ₃	Cl
Me	SOCF ₂ CF ₃	Cl
Me	SOCF ₂ CF ₂ H	Cl
Me	SOCHF ₂ CF ₃	Cl
Me	SO ₂ CF ₃	Cl
Me	SO ₂ CHF ₂	Cl
Me	SO ₂ CH ₂ CF ₃	Cl
Me	SO ₂ CF ₂ CF ₃	Cl
Me	SO ₂ CF ₂ CF ₂ H	Cl
Me	SO ₂ CHF ₂ CF ₃	Cl

H	CN	Cl	Me	CN	Cl
T and V are both Cl and U is Me					
Q	R	S	Q	R	S
Cl	Cl	H	Cl	Cl	Me
Cl	Br	H	Cl	Br	Me
Cl	CF ₃	H	Cl	CF ₃	Me
Cl	OCF ₃	H	Cl	OCF ₃	Me
Cl	OCHF ₂	H	Cl	OCHF ₂	Me
Cl	OCH ₂ CF ₃	H	Cl	OCH ₂ CF ₃	Me
Cl	OCF ₂ CF ₃	H	Cl	OCF ₂ CF ₃	Me
Cl	OCF ₂ CF ₂ H	H	Cl	OCF ₂ CF ₂ H	Me
Cl	OCHF ₂ CF ₃	H	Cl	OCHF ₂ CF ₃	Me
Cl	SCF ₃	H	Cl	SCF ₃	Me
Cl	SCHF ₂	H	Cl	SCHF ₂	Me
Cl	SCH ₂ CF ₃	H	Cl	SCH ₂ CF ₃	Me
Cl	SCF ₂ CF ₃	H	Cl	SCF ₂ CF ₃	Me
Cl	SCF ₂ CF ₂ H	H	Cl	SCF ₂ CF ₂ H	Me
Cl	SCHF ₂ CF ₃	H	Cl	SCHF ₂ CF ₃	Me
Cl	SOCF ₃	H	Cl	SOCF ₃	Me
Cl	SOCHF ₂	H	Cl	SOCHF ₂	Me
Cl	SOCH ₂ CF ₃	H	Cl	SOCH ₂ CF ₃	Me
Cl	SOCF ₂ CF ₃	H	Cl	SOCF ₂ CF ₃	Me
Cl	SOCF ₂ CF ₂ H	H	Cl	SOCF ₂ CF ₂ H	Me
Cl	SOCHF ₂ CF ₃	H	Cl	SOCHF ₂ CF ₃	Me
Cl	SO ₂ CF ₃	H	Cl	SO ₂ CF ₃	Me
Cl	SO ₂ CHF ₂	H	Cl	SO ₂ CHF ₂	Me
Cl	SO ₂ CH ₂ CF ₃	H	Cl	SO ₂ CH ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₃	H	Cl	SO ₂ CF ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₂ H	H	Cl	SO ₂ CF ₂ CF ₂ H	Me
Cl	SO ₂ CHF ₂ CF ₃	H	Cl	SO ₂ CHF ₂ CF ₃	Me
Cl	CN	H	Cl	CN	Me
Cl	H	Cl	Cl	Me	Cl
Cl	H	Br	Cl	Me	Br
Cl	H	CF ₃	Cl	Me	CF ₃
Cl	H	OCF ₃	Cl	Me	OCF ₃
Cl	H	OCHF ₂	Cl	Me	OCHF ₂
Cl	H	OCH ₂ CF ₃	Cl	Me	OCH ₂ CF ₃

Cl	H	OCF ₂ CF ₂ H
Cl	H	SCF ₃
Cl	H	SCHF ₂
Br	SCF ₃	H
Br	SCHF ₂	H
Br	SCH ₂ CF ₃	H
Br	SCF ₂ CF ₃	H
Br	SCF ₂ CF ₂ H	H
Br	SCHF ₂ CF ₃	H
Br	SOCF ₃	H
Br	SOCHF ₂	H
Br	SOCH ₂ CF ₃	H
Br	SOCF ₂ CF ₃	H
Br	SOCF ₂ CF ₂ H	H
Br	SOCHF ₂ CF ₃	H
Br	SO ₂ CF ₃	H
Br	SO ₂ CHF ₂	H
Br	SO ₂ CH ₂ CF ₃	H
Br	SO ₂ CF ₂ CF ₃	H
Br	SO ₂ CF ₂ CF ₂ H	H
Br	SO ₂ CHF ₂ CF ₃	H
Br	CN	H
H	Cl	Cl
H	Br	Cl
H	CF ₃	Cl
H	OCF ₃	Cl
H	OCHF ₂	Cl
H	OCH ₂ CF ₃	Cl
H	OCF ₂ CF ₃	Cl
H	OCF ₂ CF ₂ H	Cl
H	OCHF ₂ CF ₃	Cl
H	SCF ₃	Cl
H	SCHF ₂	Cl
H	SCH ₂ CF ₃	Cl
H	SCF ₂ CF ₃	Cl
H	SCF ₂ CF ₂ H	Cl
H	SCHF ₂ CF ₃	Cl
H	SOCF ₃	Cl

Cl	Me	OCF ₂ CF ₂ H
Cl	Me	SCF ₃
Cl	Me	SCHF ₂
Br	SCF ₃	Me
Br	SCHF ₂	Me
Br	SCH ₂ CF ₃	Me
Br	SCF ₂ CF ₃	Me
Br	SCF ₂ CF ₂ H	Me
Br	SCHF ₂ CF ₃	Me
Br	SOCF ₃	Me
Br	SOCHF ₂	Me
Br	SOCH ₂ CF ₃	Me
Br	SOCF ₂ CF ₃	Me
Br	SOCF ₂ CF ₂ H	Me
Br	SOCHF ₂ CF ₃	Me
Br	SO ₂ CF ₃	Me
Br	SO ₂ CHF ₂	Me
Br	SO ₂ CH ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₂ H	Me
Br	SO ₂ CHF ₂ CF ₃	Me
Br	CN	Me
Me	Cl	Cl
Me	Br	Cl
Me	CF ₃	Cl
Me	OCF ₃	Cl
Me	OCHF ₂	Cl
Me	OCH ₂ CF ₃	Cl
Me	OCF ₂ CF ₃	Cl
Me	OCF ₂ CF ₂ H	Cl
Me	OCHF ₂ CF ₃	Cl
Me	SCF ₃	Cl
Me	SCHF ₂	Cl
Me	SCH ₂ CF ₃	Cl
Me	SCF ₂ CF ₃	Cl
Me	SCF ₂ CF ₂ H	Cl
Me	SCHF ₂ CF ₃	Cl
Me	SOCF ₃	Cl

H	SOCHF ₂	Cl	Me	SOCHF ₂	Cl
H	SOCH ₂ CF ₃	Cl	Me	SOCH ₂ CF ₃	Cl
H	SOCF ₂ CF ₃	Cl	Me	SOCF ₂ CF ₃	Cl
H	SOCF ₂ CF ₂ H	Cl	Me	SOCF ₂ CF ₂ H	Cl
H	SOCHF ₂ CF ₃	Cl	Me	SOCHF ₂ CF ₃	Cl
H	SO ₂ CF ₃	Cl	Me	SO ₂ CF ₃	Cl
H	SO ₂ CHF ₂	Cl	Me	SO ₂ CHF ₂	Cl
H	SO ₂ CH ₂ CF ₃	Cl	Me	SO ₂ CH ₂ CF ₃	Cl
H	SO ₂ CF ₂ CF ₃	Cl	Me	SO ₂ CF ₂ CF ₃	Cl
H	SO ₂ CF ₂ CF ₂ H	Cl	Me	SO ₂ CF ₂ CF ₂ H	Cl
H	SO ₂ CHF ₂ CF ₃	Cl	Me	SO ₂ CHF ₂ CF ₃	Cl
H	CN	Cl	Me	CN	Cl

T is Cl and V and U are both Me

Q	R	S	Q	R	S
Cl	Cl	H	Cl	Cl	Me
Cl	Br	H	Cl	Br	Me
Cl	CF ₃	H	Cl	CF ₃	Me
Cl	OCF ₃	H	Cl	OCF ₃	Me
Cl	OCHF ₂	H	Cl	OCHF ₂	Me
Cl	OCH ₂ CF ₃	H	Cl	OCH ₂ CF ₃	Me
Cl	OCF ₂ CF ₃	H	Cl	OCF ₂ CF ₃	Me
Cl	OCF ₂ CF ₂ H	H	Cl	OCF ₂ CF ₂ H	Me
Cl	OCHF ₂ CF ₃	H	Cl	OCHF ₂ CF ₃	Me
Cl	SCF ₃	H	Cl	SCF ₃	Me
Cl	SCHF ₂	H	Cl	SCHF ₂	Me
Cl	SCH ₂ CF ₃	H	Cl	SCH ₂ CF ₃	Me
Cl	SCF ₂ CF ₃	H	Cl	SCF ₂ CF ₃	Me
Cl	SCF ₂ CF ₂ H	H	Cl	SCF ₂ CF ₂ H	Me
Cl	SCHF ₂ CF ₃	H	Cl	SCHF ₂ CF ₃	Me
Cl	SOCF ₃	H	Cl	SOCF ₃	Me
Cl	SOCHF ₂	H	Cl	SOCHF ₂	Me
Cl	SOCH ₂ CF ₃	H	Cl	SOCH ₂ CF ₃	Me
Cl	SOCF ₂ CF ₃	H	Cl	SOCF ₂ CF ₃	Me
Cl	SOCF ₂ CF ₂ H	H	Cl	SOCF ₂ CF ₂ H	Me
Cl	SOCHF ₂ CF ₃	H	Cl	SOCHF ₂ CF ₃	Me
Cl	SO ₂ CF ₃	H	Cl	SO ₂ CF ₃	Me
Cl	SO ₂ CHF ₂	H	Cl	SO ₂ CHF ₂	Me

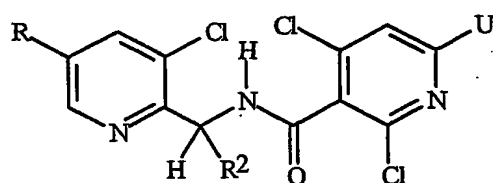
Cl	SO ₂ CH ₂ CF ₃	H
Cl	SO ₂ CF ₂ CF ₃	H
Cl	SO ₂ CF ₂ CF ₂ H	H
Cl	SO ₂ CHF ₂ CF ₃	H
Cl	CN	H
Cl	H	Cl
Cl	H	Br
Cl	H	CF ₃
Cl	H	OCF ₃
Cl	H	OCHF ₂
Cl	H	OCH ₂ CF ₃
Cl	H	OCF ₂ CF ₂ H
Cl	H	SCF ₃
Cl	H	SCHF ₂
Br	SCF ₃	H
Br	SCHF ₂	H
Br	SCH ₂ CF ₃	H
Br	SCF ₂ CF ₃	H
Br	SCF ₂ CF ₂ H	H
Br	SCHF ₂ CF ₃	H
Br	SOCF ₃	H
Br	SOCHF ₂	H
Br	SOCH ₂ CF ₃	H
Br	SOCF ₂ CF ₃	H
Br	SOCF ₂ CF ₂ H	H
Br	SOCHF ₂ CF ₃	H
Br	SO ₂ CF ₃	H
Br	SO ₂ CHF ₂	H
Br	SO ₂ CH ₂ CF ₃	H
Br	SO ₂ CF ₂ CF ₃	H
Br	SO ₂ CF ₂ CF ₂ H	H
Br	SO ₂ CHF ₂ CF ₃	H
Br	CN	H
H	Cl	Cl
H	Br	Cl
H	CF ₃	Cl
H	OCF ₃	Cl
H	OCHF ₂	Cl

Cl	SO ₂ CH ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₃	Me
Cl	SO ₂ CF ₂ CF ₂ H	Me
Cl	SO ₂ CHF ₂ CF ₃	Me
Cl	CN	Me
Cl	Me	Cl
Cl	Me	Br
Cl	Me	CF ₃
Cl	Me	OCF ₃
Cl	Me	OCHF ₂
Cl	Me	OCH ₂ CF ₃
Cl	Me	OCF ₂ CF ₂ H
Cl	Me	SCF ₃
Cl	Me	SCHF ₂
Br	SCF ₃	Me
Br	SCHF ₂	Me
Br	SCH ₂ CF ₃	Me
Br	SCF ₂ CF ₃	Me
Br	SCF ₂ CF ₂ H	Me
Br	SCHF ₂ CF ₃	Me
Br	SOCF ₃	Me
Br	SOCHF ₂	Me
Br	SOCH ₂ CF ₃	Me
Br	SOCF ₂ CF ₃	Me
Br	SOCF ₂ CF ₂ H	Me
Br	SOCHF ₂ CF ₃	Me
Br	SO ₂ CF ₃	Me
Br	SO ₂ CHF ₂	Me
Br	SO ₂ CH ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₃	Me
Br	SO ₂ CF ₂ CF ₂ H	Me
Br	SO ₂ CHF ₂ CF ₃	Me
Br	CN	Me
Me	Cl	Cl
Me	Br	Cl
Me	CF ₃	Cl
Me	OCF ₃	Cl
Me	OCHF ₂	Cl

80

H	OCH ₂ CF ₃	Cl	Me	OCH ₂ CF ₃	Cl
H	OCF ₂ CF ₃	Cl	Me	OCF ₂ CF ₃	Cl
H	OCF ₂ CF ₂ H	Cl	Me	OCF ₂ CF ₂ H	Cl
H	OCHF CF ₃	Cl	Me	OCHF CF ₃	Cl
H	SCF ₃	Cl	Me	SCF ₃	Cl
H	SCHF ₂	Cl	Me	SCHF ₂	Cl
H	SCH ₂ CF ₃	Cl	Me	SCH ₂ CF ₃	Cl
H	SCF ₂ CF ₃	Cl	Me	SCF ₂ CF ₃	Cl
H	SCF ₂ CF ₂ H	Cl	Me	SCF ₂ CF ₂ H	Cl
H	SCHF CF ₃	Cl	Me	SCHF CF ₃	Cl
H	SO CF ₃	Cl	Me	SO CF ₃	Cl
H	SO CHF ₂	Cl	Me	SO CHF ₂	Cl
H	SO CH ₂ CF ₃	Cl	Me	SO CH ₂ CF ₃	Cl
H	SO CF ₂ CF ₃	Cl	Me	SO CF ₂ CF ₃	Cl
H	SO CF ₂ CF ₂ H	Cl	Me	SO CF ₂ CF ₂ H	Cl
H	SO CHF CF ₃	Cl	Me	SO CHF CF ₃	Cl
H	SO ₂ CF ₃	Cl	Me	SO ₂ CF ₃	Cl
H	SO ₂ CHF ₂	Cl	Me	SO ₂ CHF ₂	Cl
H	SO ₂ CH ₂ CF ₃	Cl	Me	SO ₂ CH ₂ CF ₃	Cl
H	SO ₂ CF ₂ CF ₃	Cl	Me	SO ₂ CF ₂ CF ₃	Cl
H	SO ₂ CF ₂ CF ₂ H	Cl	Me	SO ₂ CF ₂ CF ₂ H	Cl
H	SO ₂ CHF CF ₃	Cl	Me	SO ₂ CHF CF ₃	Cl
H	CN	Cl	Me	CN	Cl

Table 10

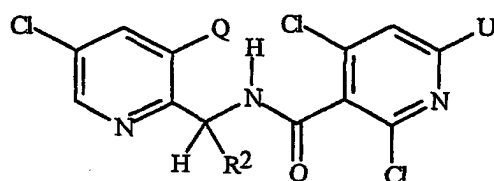


R	R ²	U	R	R ²	U
I	H	H	I	H	Me
OCHF ₂	H	H	OCHF ₂	H	Me
OCH ₂ F	H	H	OCH ₂ F	H	Me
OCF ₂ Cl	H	H	OCF ₂ Cl	H	Me
OCH ₂ CF ₃	H	H	OCH ₂ CF ₃	H	Me
Et	H	H	Et	H	Me
CN	H	H	CN	H	Me

81

NH ₂	H	H	NH ₂	H	Me
NHCOMe	H	H	NHCOMe	H	Me
NHCOCF ₃	H	H	NHCOCF ₃	H	Me
SCF ₃	H	H	SCF ₃	H	Me
SCHF ₂	H	H	SCHF ₂	H	Me
SCH ₂ F	H	H	SCH ₂ F	H	Me
Ph	H	H	Ph	H	Me
Me ₃ Si	H	H	Me ₃ Si	H	Me
I	Me	H	I	Me	Me
OCHF ₂	Me	H	OCHF ₂	Me	Me
OCH ₂ F	Me	H	OCH ₂ F	Me	Me
OCF ₂ Cl	Me	H	OCF ₂ Cl	Me	Me
OCH ₂ CF ₃	Me	H	OCH ₂ CF ₃	Me	Me
Et	Me	H	Et	Me	Me
CN	Me	H	CN	Me	Me
NH ₂	Me	H	NH ₂	Me	Me
NHCOMe	Me	H	NHCOMe	Me	Me
NHCOCF ₃	Me	H	NHCOCF ₃	Me	Me
SCF ₃	Me	H	SCF ₃	Me	Me
SCHF ₂	Me	H	SCHF ₂	Me	Me
SCH ₂ F	Me	H	SCH ₂ F	Me	Me
Ph	Me	H	Ph	Me	Me
Me ₃ Si	Me	H	Me ₃ Si	Me	Me

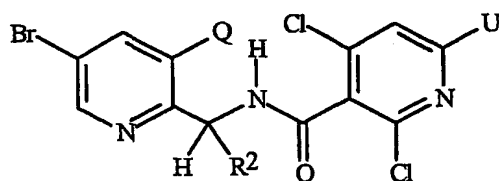
Table 11



Q	R ²	U	Q	R ²	U
I	H	H	I	H	Me
OCHF ₂	H	H	OCHF ₂	H	Me
OCH ₂ F	H	H	OCH ₂ F	H	Me
OCF ₂ Cl	H	H	OCF ₂ Cl	H	Me
OCH ₂ CF ₃	H	H	OCH ₂ CF ₃	H	Me
Et	H	H	Et	H	Me
CN	H	H	CN	H	Me

NH ₂	H	H	NH ₂	H	Me
NHCOMe	H	H	NHCOMe	H	Me
NHCOCF ₃	H	H	NHCOCF ₃	H	Me
SCF ₃	H	H	SCF ₃	H	Me
SCHF ₂	H	H	SCHF ₂	H	Me
SCH ₂ F	H	H	SCH ₂ F	H	Me
Ph	H	H	Ph	H	Me
Me ₃ Si	H	H	Me ₃ Si	H	Me
I	Me	H	I	Me	Me
OCHF ₂	Me	H	OCHF ₂	Me	Me
OCH ₂ F	Me	H	OCH ₂ F	Me	Me
OCF ₂ Cl	Me	H	OCF ₂ Cl	Me	Me
OCH ₂ CF ₃	Me	H	OCH ₂ CF ₃	Me	Me
Et	Me	H	Et	Me	Me
CN	Me	H	CN	Me	Me
NH ₂	Me	H	NH ₂	Me	Me
NHCOMe	Me	H	NHCOMe	Me	Me
NHCOCF ₃	Me	H	NHCOCF ₃	Me	Me
SCF ₃	Me	H	SCF ₃	Me	Me
SCHF ₂	Me	H	SCHF ₂	Me	Me
SCH ₂ F	Me	H	SCH ₂ F	Me	Me
Ph	Me	H	Ph	Me	Me
Me ₃ Si	Me	H	Me ₃ Si	Me	Me

Table 12



Q	R ²	U	Q	R ²	U
I	H	H	I	H	Me
OCHF ₂	H	H	OCHF ₂	H	Me
OCH ₂ F	H	H	OCH ₂ F	H	Me
OCF ₂ Cl	H	H	OCF ₂ Cl	H	Me
OCH ₂ CF ₃	H	H	OCH ₂ CF ₃	H	Me
Et	H	H	Et	H	Me
CN	H	H	CN	H	Me

NH ₂	H	H	NH ₂	H	Me
NHCOMe	H	H	NHCOMe	H	Me
NHCOCF ₃	H	H	NHCOCF ₃	H	Me
SCF ₃	H	H	SCF ₃	H	Me
SCHF ₂	H	H	SCHF ₂	H	Me
SCH ₂ F	H	H	SCH ₂ F	H	Me
Ph	H	H	Ph	H	Me
Me ₃ Si	H	H	Me ₃ Si	H	Me
I	Me	H	I	Me	Me
OCHF ₂	Me	H	OCHF ₂	Me	Me
OCH ₂ F	Me	H	OCH ₂ F	Me	Me
OCF ₂ Cl	Me	H	OCF ₂ Cl	Me	Me
OCH ₂ CF ₃	Me	H	OCH ₂ CF ₃	Me	Me
Et	Me	H	Et	Me	Me
CN	Me	H	CN	Me	Me
NH ₂	Me	H	NH ₂	Me	Me
NHCOMe	Me	H	NHCOMe	Me	Me
NHCOCF ₃	Me	H	NHCOCF ₃	Me	Me
SCF ₃	Me	H	SCF ₃	Me	Me
SCHF ₂	Me	H	SCHF ₂	Me	Me
SCH ₂ F	Me	H	SCH ₂ F	Me	Me
Ph	Me	H	Ph	Me	Me
Me ₃ Si	Me	H	Me ₃ Si	Me	Me

Formulation/Utility

Compounds of this invention will generally be used as a formulation or composition with an agriculturally suitable carrier comprising at least one of a liquid diluent, a solid diluent or a surfactant. The formulation or composition ingredients are selected to be consistent with the physical properties of the active ingredient, mode of application and environmental factors such as soil type, moisture and temperature. Useful formulations include liquids such as solutions (including emulsifiable concentrates), suspensions, emulsions (including microemulsions and/or suspoemulsions) and the like which optionally can be thickened into gels. Useful formulations further include solids such as dusts, powders, granules, pellets, tablets, films, and the like which can be water-dispersible ("wettable") or water-soluble. Active ingredient can be (micro)encapsulated and further formed into a suspension or solid formulation; alternatively the entire formulation of active ingredient can be encapsulated (or "overcoated"). Encapsulation can control or delay release of the active ingredient. Sprayable formulations can be extended in suitable media and used

at spray volumes from about one to several hundred liters per hectare. High-strength compositions are primarily used as intermediates for further formulation.

The formulations will typically contain effective amounts (e.g. from 0.01-99.99 weight percent) of active ingredient together with diluent and/or surfactant within the following approximate ranges which add up to 100 percent by weight.

	Weight Percent		
	<u>Active Ingredient</u>	<u>Diluent</u>	<u>Surfactant</u>
Water-Dispersible and Water-soluble Granules, Tablets and Powders.	5-90	0-94	1-15
Suspensions, Emulsions, Solutions (including Emulsifiable Concentrates and Suspension Concentrates)	5-50	40-95	0-25
Dusts	1-25	70-99	0-5
Granules and Pellets	0.01-99	5-99.99	0-15
High Strength Compositions	90-99	0-10	0-2

Typical solid diluents are described in Watkins, et al., *Handbook of Insecticide Dust Diluents and Carriers*, 2nd Ed., Dorland Books, Caldwell, New Jersey. Typical liquid diluents are described in Marsden, *Solvents Guide*, 2nd Ed., Interscience, New York, 1950. *McCutcheon's Detergents and Emulsifiers Annual*, Allured Publ. Corp., Ridgewood, New Jersey, as well as Sisely and Wood, *Encyclopedia of Surface Active Agents*, Chemical Publ. Co., Inc., New York, 1964, list surfactants and recommended uses. All formulations can contain minor amounts of additives to reduce foam, caking, corrosion, microbiological growth and the like, or thickeners to increase viscosity.

Surfactants include, for example, polyethoxylated alcohols, polyethoxylated alkylphenols, polyethoxylated sorbitan fatty acid esters, dialkyl sulfosuccinates, alkyl sulfates, alkylbenzene sulfonates, organosilicones, *N,N*-dialkyltaurates, lignin sulfonates, naphthalene sulfonate formaldehyde condensates, polycarboxylates, and polyoxyethylene/polyoxypropylene block copolymers. Solid diluents include, for example, clays such as bentonite, montmorillonite, attapulgite and kaolin, starch, sugar, silica, talc, diatomaceous earth, urea, calcium carbonate, sodium carbonate and bicarbonate, and sodium sulfate. Liquid diluents include, for example, water, *N,N*-dimethylformamide, dimethyl sulfoxide, *N*-alkylpyrrolidone, ethylene glycol, polypropylene glycol, paraffins, alkylbenzenes, alkyl naphthalenes, oils of olive, castor, linseed, tung, sesame, corn, peanut, cotton-seed, soybean, rape-seed and coconut, fatty acid esters, ketones such as cyclohexanone, 2-heptanone, isophorone and 4-hydroxy-4-methyl-2-pentanone, and alcohols such as methanol, cyclohexanol, decanol and tetrahydrofurfuryl alcohol.

Solutions, including emulsifiable concentrates, can be prepared by simply mixing the ingredients. Dusts and powders can be prepared by blending and, usually, grinding as in a

hammer mill or fluid-energy mill. Suspensions are usually prepared by wet-milling; see, for example, U.S. 3,060,084. Preferred suspension concentrates include those containing, in addition to the active ingredient, from 5 to 20% nonionic surfactant (for example, polyethoxylated fatty alcohols) optionally combined with 50-65% liquid diluents and up to 5% anionic surfactants. Granules and pellets can be prepared by spraying the active material upon preformed granular carriers or by agglomeration techniques. See Browning, "Agglomeration", *Chemical Engineering*, December 4, 1967, pp 147-48, *Perry's Chemical Engineer's Handbook*, 4th Ed., McGraw-Hill, New York, 1963, pages 8-57 and following, and WO 91/13546. Pellets can be prepared as described in U.S. 4,172,714.

Water-dispersible and water-soluble granules can be prepared as taught in U.S. 4,144,050, U.S. 3,920,442 and DE 3,246,493. Tablets can be prepared as taught in U.S. 5,180,587, U.S. 5,232,701 and U.S. 5,208,030. Films can be prepared as taught in GB 2,095,558 and U.S. 3,299,566.

For further information regarding the art of formulation, see U.S. 3,235,361, Col. 6, line 16 through Col. 7, line 19 and Examples 10-41; U.S. 3,309,192, Col. 5, line 43 through Col. 7, line 62 and Examples 8, 12, 15, 39, 41, 52, 53, 58, 132, 138-140, 162-164, 166, 167 and 169-182; U.S. 2,891,855, Col. 3, line 66 through Col. 5, line 17 and Examples 1-4; Klingman, *Weed Control as a Science*, John Wiley and Sons, Inc., New York, 1961, pp 81-96; and Hance et al., *Weed Control Handbook*, 8th Ed., Blackwell Scientific Publications, Oxford, 1989.

In the following Examples, all percentages are by weight and all formulations are prepared in conventional ways. Compound numbers refer to compounds in Index Tables A-D.

Example A

Wettable Powder

Compound 8	65.0%
dodecylphenol polyethylene glycol ether	2.0%
sodium ligninsulfonate	4.0%
sodium silicoaluminate	6.0%
montmorillonite (calcined)	23.0%.

Example B

Granule

Compound 8	10.0%
attapulgate granules (low volatile matter, 0.71/0.30 mm; U.S.S. No. 25-50 sieves)	90.0%.

Example CExtruded Pellet

	Compound 8	25.0%
	anhydrous sodium sulfate	10.0%
5	crude calcium ligninsulfonate	5.0%
	sodium alkyl naphthalenesulfonate	1.0%
	calcium/magnesium bentonite	59.0%.

Example DEmulsifiable Concentrate

10	Compound 8	20.0%
	blend of oil soluble sulfonates and polyoxyethylene ethers	10.0%
	isophorone	70.0%.
15	Of note are suspension concentrates comprising 15-25% active ingredient, 10-20% nonionic surfactants, 0-5% anionic surfactants, 0-10% organic diluents, and 45-60% water.	

Example E

	Compound 2	20.0%
	polyethoxylated fatty alcohol nonionic surfactant	15.0%
	ester derivative of montan wax	3.0%
20	calcium lignosulfonate anionic surfactant	2.0%
	polyethoxylated/polypropoxylated	
	polyglycol block copolymer surfactant	1.0%
	propylene glycol diluent	6.4%
	poly(dimethylsiloxane) antifoam agent	0.6%
25	antimicrobial agent	0.1%
	water diluent	51.9%

The formulation ingredients are mixed together as a syrup, Compound 2 is added and the mixture is homogenized in a blender. The resulting slurry is then wet-milled to form a suspension concentrate.

Example F

30	Compound 5	20.0%
	polyethoxylated fatty alcohol nonionic surfactant	15.0%
	ester derivative of montan wax	3.0%
	calcium lignosulfonate anionic surfactant	2.0%
35	polyethoxylated/polypropoxylated	
	polyglycol block copolymer surfactant	1.0%
	propylene glycol diluent	6.4%

87

poly(dimethylsiloxane)	antifoam agent	0.6%
antimicrobial agent		0.1%
water	diluent	51.9%

5 The formulation ingredients are mixed together as a syrup, Compound 5 is added and the mixture is homogenized in a blender. The resulting slurry is then wet-milled to form a suspension concentrate.

Example G

Compound 8		20.0%
polyethoxylated fatty alcohol	nonionic surfactant	15.0%
10 ester derivative of montan wax		3.0%
calcium lignosulfonate	anionic surfactant	2.0%
polyethoxylated/polypropoxylated		
polyglycol block copolymer	surfactant	1.0%
propylene glycol	diluent	6.4%
15 poly(dimethylsiloxane)	antifoam agent	0.6%
antimicrobial agent		0.1%
water	diluent	51.9%

20 The formulation ingredients are mixed together as a syrup, Compound 8 is added and the mixture is homogenized in a blender. The resulting slurry is then wet-milled to form a suspension concentrate.

Example H

Compound 28		20.0%
polyethoxylated fatty alcohol	nonionic surfactant	15.0%
ester derivative of montan wax		3.0%
25 calcium lignosulfonate	anionic surfactant	2.0%
polyethoxylated/polypropoxylated		
polyglycol block copolymer	surfactant	1.0%
propylene glycol	diluent	6.4%
poly(dimethylsiloxane)	antifoam agent	0.6%
30 antimicrobial agent		0.1%
water	diluent	51.9%

The formulation ingredients are mixed together as a syrup, Compound 28 is added and the mixture is homogenized in a blender. The resulting slurry is then wet-milled to form a suspension concentrate.

35 Example I

Compound 29		20.0%
polyethoxylated fatty alcohol	nonionic surfactant	15.0%

	ester derivative of montan wax		3.0%
	calcium lignosulfonate	anionic surfactant	2.0%
	polyethoxylated/polypropoxylated		
	polyglycol block copolymer	surfactant	1.0%
5	propylene glycol	diluent	6.4%
	poly(dimethylsiloxane)	antifoam agent	0.6%
	antimicrobial agent		0.1%
	water	diluent	51.9%

10 The formulation ingredients are mixed together as a syrup, Compound 29 is added and the mixture is homogenized in a blender. The resulting slurry is then wet-milled to form a suspension concentrate.

Example J

	Compound 30		20.0%
	polyethoxylated fatty alcohol	nonionic surfactant	15.0%
15	ester derivative of montan wax		3.0%
	calcium lignosulfonate	anionic surfactant	2.0%
	polyethoxylated/polypropoxylated		
	polyglycol block copolymer	surfactant	1.0%
	propylene glycol	diluent	6.4%
20	poly(dimethylsiloxane)	antifoam agent	0.6%
	antimicrobial agent		0.1%
	water	diluent	51.9%

25 The formulation ingredients are mixed together as a syrup, Compound 30 is added and the mixture is homogenized in a blender. The resulting slurry is then wet-milled to form a suspension concentrate.

Example K

	Compound 31		20.0%
	polyethoxylated fatty alcohol	nonionic surfactant	15.0%
	ester derivative of montan wax		3.0%
30	calcium lignosulfonate	anionic surfactant	2.0%
	polyethoxylated/polypropoxylated		
	polyglycol block copolymer	surfactant	1.0%
	propylene glycol	diluent	6.4%
	poly(dimethylsiloxane)	antifoam agent	0.6%
35	antimicrobial agent		0.1%
	water	diluent	51.9%

The formulation ingredients are mixed together as a syrup, Compound 31 is added and the mixture is homogenized in a blender. The resulting slurry is then wet-milled to form a suspension concentrate.

Example L

5	Compound 35		20.0%
	polyethoxylated fatty alcohol	nonionic surfactant	15.0%
	ester derivative of montan wax		3.0%
	calcium lignosulfonate	anionic surfactant	2.0%
	polyethoxylated/polypropoxylated		
10	polyglycol block copolymer	surfactant	1.0%
	propylene glycol	diluent	6.4%
	poly(dimethylsiloxane)	antifoam agent	0.6%
	antimicrobial agent		0.1%
	water	diluent	51.9%

15 The formulation ingredients are mixed together as a syrup, Compound 35 is added and the mixture is homogenized in a blender. The resulting slurry is then wet-milled to form a suspension concentrate.

Example M

	Compound 36		20.0%
20	polyethoxylated fatty alcohol	nonionic surfactant	15.0%
	ester derivative of montan wax		3.0%
	calcium lignosulfonate	anionic surfactant	2.0%
	polyethoxylated/polypropoxylated		
	polyglycol block copolymer	surfactant	1.0%
25	propylene glycol	diluent	6.4%
	poly(dimethylsiloxane)	antifoam agent	0.6%
	antimicrobial agent		0.1%
	water	diluent	51.9%

30 The formulation ingredients are mixed together as a syrup, Compound 35 is added and the mixture is homogenized in a blender. The resulting slurry is then wet-milled to form a suspension concentrate.

Example N

	Compound 37		20.0%
	polyethoxylated fatty alcohol	nonionic surfactant	15.0%
35	ester derivative of montan wax		3.0%
	calcium lignosulfonate	anionic surfactant	2.0%
	polyethoxylated/polypropoxylated		

	polyglycol block copolymer	surfactant	1.0%
	propylene glycol	diluent	6.4%
	poly(dimethylsiloxane)	antifoam agent	0.6%
	antimicrobial agent		0.1%
5	water	diluent	51.9%

The formulation ingredients are mixed together as a syrup, Compound 35 is added and the mixture is homogenized in a blender. The resulting slurry is then wet-milled to form a suspension concentrate.

10 The compounds of this invention are useful as plant disease control agents. The present invention therefore further comprises a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof to be protected, or to the plant seed or seedling to be protected, an effective amount of a compound of the invention or a fungicidal composition containing said compound. The
 15 compounds and compositions of this invention provide control of diseases caused by a broad spectrum of fungal plant pathogens in the Basidiomycete, Ascomycete, Oomycete and Deuteromycete classes. They are effective in controlling a broad spectrum of plant diseases, particularly foliar pathogens of ornamental, vegetable, field, cereal, and fruit crops. These pathogens include *Plasmopara viticola*, *Phytophthora infestans*, *Peronospora tabacina*,
 20 *Pseudoperonospora cubensis*, *Pythium aphanidermatum*, *Alternaria brassicae*, *Septoria nodorum*, *Septoria tritici*, *Cercosporidium personatum*, *Cercospora arachidicola*, *Pseudocercospora herpotrichoides*, *Cercospora beticola*, *Botrytis cinerea*, *Monilinia fructicola*, *Pyricularia oryzae*, *Podosphaera leucotricha*, *Venturia inaequalis*, *Erysiphe graminis*, *Uncinula necator*, *Puccinia recondita*, *Puccinia graminis*, *Hemileia vastatrix*,
 25 *Puccinia striiformis*, *Puccinia arachidis*, *Rhizoctonia solani*, *Sphaerotheca fuliginea*, *Fusarium oxysporum*, *Verticillium dahliae*, *Pythium aphanidermatum*, *Phytophthora megasperma*, *Sclerotinia sclerotiorum*, *Sclerotium rolfsii*, *Erysiphe polygoni*, *Pyrenophora teres*, *Gaeumannomyces graminis*, *Rhynchosporium secalis*, *Fusarium roseum*, *Bremia lactucae* and other genera and species closely related to these pathogens.

30 Compounds of this invention can also be mixed with one or more other insecticides, fungicides, nematocides, bactericides, acaricides, growth regulators, chemosterilants, semiochemicals, repellents, attractants, pheromones, feeding stimulants or other biologically active compounds to form a multi-component pesticide giving an even broader spectrum of agricultural protection. Examples of such agricultural protectants with which compounds of
 35 this invention can be formulated are: insecticides such as abamectin, acephate, azinphos-methyl, bifenthrin, buprofezin, carbofuran, chlorfenapyr, chlorpyrifos, chlorpyrifos-methyl, cyfluthrin, beta-cyfluthrin, cyhalothrin, lambda-cyhalothrin, deltamethrin, diafenthiuron, diazinon, diflubenzuron, dimethoate, esfenvalerate, fenoxycarb,

fenpropathrin, fenvalerate, fipronil, flucythrinate, tau-fluvalinate, fonophos, imidacloprid, isofenphos, malathion, metaldehyde, methamidophos, methidathion, methomyl, methoprene, methoxychlor, methyl 7-chloro-2,5-dihydro-2-[[N-(methoxycarbonyl)-N-[4-(trifluoromethoxy)phenyl]amino]carbonyl]indeno[1,2-e][1,3,4]oxadiazine-4a(3H)-carboxylate (indoxacarb), monocrotophos, oxamyl, parathion, parathion-methyl, permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, rotenone, sulprofos, tebufenozide, tefluthrin, terbufos, tetrachlorvinphos, thiodicarb, tralomethrin, trichlorfon and triflumuron; fungicides such as acibenzolar, azoxystrobin, benomyl, blasticidin-S, Bordeaux mixture (tribasic copper sulfate), bromuconazole, carpropamid (KTU 3616), captafol, captan, carbendazim, chloroneb, chlorothalonil, copper oxychloride, copper salts such as copper sulfate and copper hydroxide, cyazofamid, cymoxanil, cyproconazole, cyprodinil (CGA 219417), (S)-3,5-dichloro-N-(3-chloro-1-ethyl-1-methyl-2-oxopropyl)-4-methylbenzamide (RH 7281), diclocymet (S-2900), diclomezine, dicloran, difenoconazole, dimethomorph, diniconazole, diniconazole-M, dodine, edifenphos, epoxiconazole (BAS 480F), famoxadone, fenamidone, fenarimol, fenbuconazole, fencaramid (SZX0722), fenpiclonil, fenpropidin, fenpropimorph, fentin acetate, fentin hydroxide, fluazinam, fludioxonil, flumetover (RPA 403397), fluquinconazole, flusilazole, flutolanil, flutriafol, folpet, fosetyl-aluminum, furalaxyl, furametapyr (S-82658), hexaconazole, ipconazole, iprobenfos, iprodione, isoprothiolane, iprovalicarb, kasugamycin, kresoxim-methyl, mancozeb, maneb, mefenoxam, mepronil, metalaxyl, metconazole, metominostrobin/fenominostrobin (SSF-126), myclobutanil, neo-asozin (ferric methanearsonate), oxadixyl, penconazole, pencycuron, probenazole, prochloraz, propamocarb, propiconazole, propineb, pyrclostrobin, pyrifenox, pyrimethanil, pyroquilon, quinoxifen, spiroxamine, sulfur, tebuconazole, tetraconazole, thiabendazole, thifluzamide, thiophanate-methyl, thiram, triadimefon, triadimenol, tricyclazole, trifloxystrobin, triticonazole, validamycin, vinclozolin, zineb and zoxamid; nematocides such as aldoxycarb and fenamiphos; bactericides such as streptomycin; acaricides such as amitraz, chinomethionat, chlorobenzilate, cyhexatin, dicofol, dienochlor, etoxazole, fenazaquin, fenbutatin oxide, fenpropathrin, fenpyroximate, hexythiazox, propargite, pyridaben and tebufenpyrad; and biological agents such as *Bacillus thuringiensis*, *Bacillus thuringiensis* delta endotoxin, baculovirus, and entomopathogenic bacteria, virus and fungi. The weight ratios of these various mixing partners to compounds of this invention typically are between 100:1 and 1:100, preferably between 30:1 and 1:30, more preferably between 10:1 and 1:10 and most preferably between 4:1 and 1:4.

Of note are combinations with other fungicides giving an even broader spectrum of agricultural protection including azoxystrobin, kresoxim-methyl, pyrclostrobin, trifloxystrobin, benomyl, carbendazim, chlorothalonil, dimethomorph, folpet, mancozeb, maneb, quinoxifen, validamycin, vinclozolin, fenpropidine, fenpropimorph, bromuconazole,

cyproconazole, difenoconazole, epoxyconazole, flusilazole, ipconazole, metconazole, propiconazole, tebuconazole and triticonazole.

Of note are combinations with other fungicides of a different mode of action (e.g. mitochondrial respiration inhibition, inhibition of protein synthesis by interference of the synthesis of ribosomal RNA or inhibition of beta-tubulin synthesis) that can be particularly advantageous for resistance management. Examples include combinations of compounds of Formula I and/or Formula II (e.g. Compound 8) with azoxystrobin, kresoxim-methyl, pyrclostrobin, trifloxystrobin, carbendazim, famoxadone, fenamidone, benomyl, cymoxanil, dimethomorph, folpet, fosetyl-aluminum, metalaxyl, mancozeb, maneb. These combinations can be particularly advantageous for resistance management, especially where the fungicides of the combination control the same or similar diseases.

Of note are combinations with other fungicides for controlling grape diseases including dithiocarbamates such as mancozeb, maneb, propineb and zineb, phthalimids such as folpet, copper salts such as copper sulfate and copper hydroxide, strobilurins such as azoxystrobin, pyrclostrobin and trifloxystrobin, phenylamides such as metalaxyl, phosphonates such as fosetyl-Al, morpholines such as dimethomorph, and other fungicides such as cymoxanil, famoxadone and fenamidone.

Of note are combinations with other fungicides for controlling potato diseases including dithiocarbamates such as mancozeb, maneb, propineb and zineb, copper salts such as copper sulfate and copper hydroxide, strobilurins such as pyrclostrobin and trifloxystrobin, phenylamides such as metalaxyl, carbamates such as propamocarb, phenylpyriylamines such as fluazinam, morpholines such as dimethomorph, and other fungicides such as chlorothalonil, cyazofamid, cymoxanil, famoxadone, fenamidone, zoxamid and iprovalicarb.

Of particular note are combinations of Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with azoxystrobin, combinations of Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with kresoxim-methyl, combinations of Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with pyrclostrobin, combinations of Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with trifloxystrobin, combinations of Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with carbendazim, combinations of Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with chlorothalonil, combinations of Compound 2, Compound 5, Compound 8, Compound 28,

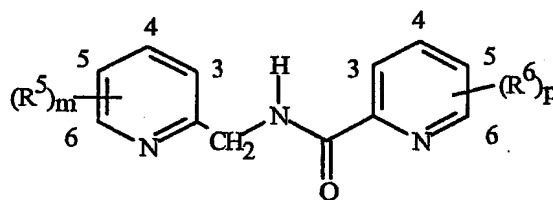
Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with dimethomorph, combinations of Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with folpet, combinations of Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with mancozeb, combinations of Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with maneb, combinations of Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with quinoxifen, combinations of Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with validamycin, combinations of Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with vinclozolin, Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with fenpropidine, Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with fenpropimorph, Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with bromuconazole, Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with cyproconazole, Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with difenoconazole, Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with epoxyconazole, Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with flusilazole, Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with ipconazole, Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with metconazole, Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with propiconazole, Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with tebuconazole, Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with triticonazole, Compound 2, Compound 5, Compound 8, Compound 28, Compound 29,

Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with famoxadone, Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with fenamidone, Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, 5 Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with benomyl, Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with cymoxanil, Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, 10 Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with dimethomorph, Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with folpet, Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with fosetyl-aluminum, Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, 15 Compound 31, Compound 35, Compound 36 or Compound 37 with metalaxyl, Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with propineb, Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or 20 Compound 37 with zineb, Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with copper sulfate, Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with 25 copper hydroxide, Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with propamocarb, Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with cyazofamid, Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, 30 Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with zoxamid and Compound 2, Compound 5, Compound 8, Compound 28, Compound 29, Compound 30, Compound 31, Compound 35, Compound 36 or Compound 37 with iprovalicarb.

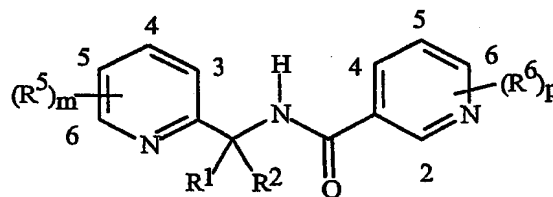
Plant disease control is ordinarily accomplished by applying an effective amount of a 35 compound of this invention either pre- or post-infection, to the portion of the plant to be protected such as the roots, stems, foliage, fruit, seeds, tubers or bulbs, or to the media (soil or sand) in which the plants to be protected are growing. The compounds can also be applied to the seed to protect the seed and seedling.

Rates of application for these compounds can be influenced by many factors of the environment and should be determined under actual use conditions. Foliage can normally be protected when treated at a rate of from less than 1 g/ha to 5,000 g/ha of active ingredient. Seed and seedlings can normally be protected when seed is treated at a rate of from 0.1 to 10 g per kilogram of seed.

The following TESTS demonstrate the control efficacy of compounds of this invention on specific pathogens. The pathogen control protection afforded by the compounds is not limited, however, to these species. See Index Tables A-E for compound descriptions. The following abbreviations are used in the Index Tables that follow: Me is methyl, Et is ethyl, Ph is phenyl, OMe is methoxy, OEt is ethoxy. The abbreviation "dec" indicates that the compound appeared to decompose on melting. The abbreviation "Ex." stands for "Example" and is followed by a number indicating in which example the compound is prepared.

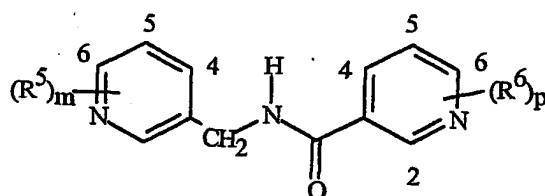
INDEX TABLE A

Compound Number	(R ⁵) _m	(R ⁶) _p	m.p. (°C.)
1	3-Cl-5-CF ₃	3-Cl	108-109
2	3-Cl-5-CF ₃	3-Cl-5-Me	
3	3-Cl-5-CF ₃	3-OH	

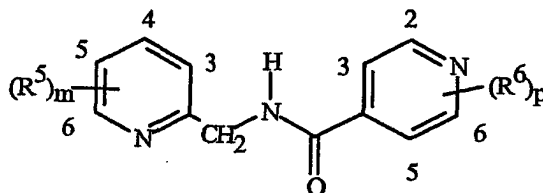
INDEX TABLE B

Compound Number	R ¹	R ²	(R ⁵) _m	(R ⁶) _p	m.p. (°C.)
4	H	H	3-Cl-5-CF ₃	2,6-Cl ₂	110-111
5	H	H	3-Cl-5-CF ₃	2-Cl	*
6	H	H	3-Cl-5-CF ₃	6-Cl	
7	H	H	3-Cl-5-CF ₃	5,6-Cl ₂	*
8 (Ex. 1)	H	H	3-Cl-5-CF ₃	2,4-Cl ₂ -6-Me	*
9	H	H	3-Cl-5-CF ₃	2-NH ₂	
10	H	H	3-Cl-5-CF ₃	5-Br	
11	H	H	3-Cl-5-CF ₃	2-OH	
12	H	H	3-Cl-5-CF ₃	2-OMe	
13	H	H	3-Cl-5-CF ₃	2-OEt	
14	H	H	3-Cl-5-CF ₃	2-Cl-6-Me	
15	H	H	3-Cl-5-CF ₃	2-OPh	
16	H	H	3-Cl-5-CF ₃	2-SPh	
17	H	H	3-Cl-5-CF ₃	5-C≡C-Ph	
18	H	H	3-Cl-5-CF ₃	2-Br-6-CF ₃	*
19	H	H	3-Cl-5-CF ₃	2-OH-6-Me	*
20	H	H	3-Cl-5-CF ₃	2-Me-6-CF ₃	*
21	H	H	3-Cl-5-CF ₃	2-Me-6-CF ₂ CF ₃	*
22	H	H	3-Cl-5-CF ₃	2-OMe-6-CF ₃	*
23	H	H	3-Cl-5-CF ₃	2-CH ₂ OMe-6-CF ₃	*
24	H	H	3-Cl-5-CF ₃	2-Ph-6-CF ₃	*
25	H	H	3-Cl-5-CF ₃	2-Me-6-Cl	*
26	H	H	3-Cl-5-CF ₃	6-CF ₃	*
27	H	H	3-Cl-5-CF ₃	2-NH-C ₆ H ₄ (3-CF ₃)	*
28 (Ex. 2)	H	H	3-Cl-5-CF ₃	2,4-Cl ₂	122-124
29	H	H	3-Cl-5-CF ₃	2,4-Cl ₂ -5-Me	*
30 (Ex. 3)	H	CH ₃	3-Cl-5-CF ₃	2,4-Cl ₂	*
racemic					
31 (Ex. 4)	H	CH ₃	3-Cl-5-CF ₃	2,4-Cl ₂	110-111
(+)-enantiomer					
36 (Ex. 6)	H	CH ₃	3,5-Cl ₂	2,4-Cl ₂	*
racemic					
37 (Ex. 5)	H	CH ₃	3-Cl-5-Br	2,4-Cl ₂	*
racemic					
38	H	CH ₃	3-Cl-5-CF ₃	2,4-Cl ₂	*
(-)-enantiomer					

*See Index Table E for ¹H NMR data.

INDEX TABLE C

Compound Number	(R ⁵) _m	(R ⁶) _p	m.p. (°C.)
32	6-Cl	2-Me	105-106
33	6-OC ₆ H ₄ (3-CF ₃)	2-Me	90-91

INDEX TABLE D

Compound Number	(R ⁵) _m	(R ⁶) _p	m.p. (°C.)
34	3-Cl-5-CF ₃	2-Cl-6-OMe	*
35	3-Cl-5-CF ₃	3,5-Cl ₂	*

5 *See Index Table E for ¹H NMR data.

INDEX TABLE E

Cmpd No.	¹ H NMR Data (300mHz; CDCl ₃ solution unless indicated otherwise) ^a
5	δ 4.95 (m,2H), 7.44 (m,1H), 8.0 (s,1H), 8.2-8.3 (m,2H), 8.5 (m,1H), 8.8 (m,1H)
7	(DMSO- <i>d</i> ₆) δ 4.8 (m,2H), 8.54 (s,1H), 8.55 (s, 1H), 8.84 (s,1H), 8.9 (s,1H), 9.5 (bs,1H)
8	δ 2.57 (s,3H), 4.96 (m,2H), 7.22 (s,1H), 7.48 (bs, 1H), 8.00 (s,1H), 8.71 (s,1H)
18	δ 4.95 (m,2H), 7.76 (m,1H), 7.94 (bs,1H), 8.00 (s,1H), 8.16 (m,1H), 8.74 (s,1H)
19	(DMSO- <i>d</i> ₆) δ 2.30 (s, 3H), 4.8 (m,2H), 6.3 (m,1H), 8.2 (m,1H), 8.47 (s,1H), 8.93 (s,1H), 10.4 (m,1H), 12.4 (bs,1H)
20	δ 2.80 (s, 3H), 4.94 (m,2H), 7.4 (bs,1H), 7.6 (m, 1H), 8.0 (m,2H), 8.73 (s,1H)
21	δ 2.80 (s, 3H), 4.95 (m,2H), 7.4 (bs,1H), 7.6 (m, 1H), 8.0 (m,2H), 8.72 (s,1H)
22	δ 4.97 (m,2H), 7.44 (m,1H), 7.99 (s,1H), 8.71 (m,1H), 8.80 (s,1H), 9.42 (bs,1H)
23	δ 3.50 (s, 3H), 4.87, (s,2H), 4.98 (m,2H), 7.79 (m,1H), 7.98 (s,1H), 8.38 (m,1H), 8.74 (s,1H), 8.88 (bs,1H)
24	δ 4.70 (m,2H), 7.0 (bs,1H), 7.3-4 (m,3H), 7.7-7.8 (m,3H), 7.9 (s,1H), 8.25 (m,1H), 8.4 (s,1H)
25	δ 2.73 (s, 3H), 4.91 (m,2H), 7.25 (m,1H), 7.4 (bs, 1H), 7.8 (m,1H), 8.00 (s,1H), 8.73 (s,1H)

- 26 δ 4.94 (m,2H), 7.80 (m,1H), 7.9 (bs, 1H), 8.0 (s,1H), 8.40 (m,1H), 8.77 (s,1H), 9.22 (s,1H)
- 27 (DMSO- d_6) δ 4.8 (m,2H), 7.0 (m,1H), 7.3(m,1H), 7.3 (m,1H), 7.5 (m,1H), 7.8 (m,1H), 8.3 (m,2H), 8.4 (m,1H), 8.5 (s,1H), 8.9 (s,1H), 9.5 (m,1H)
- 30 δ 1.62 (d,3H, J is 6.7 Hz), 5.84 (m,1H), 7.35 (d,1H,J is 5.2 Hz), 7.40 (d,1H,J is 6.9 Hz), 7.99 (d,1H,J is 1.8 Hz), 8.34 (d,1H,J is 5.2 Hz), 8.70 (s,1H)
- 34 δ 4.00 (s, 3H), 4.88, (m,2H), 7.09 (s,1H), 7.33 (m, 1H), 7.80 (bs,1H), 8.00 (s,1H), 8.78 (s,1H)
- 35 δ 4.98 (d,2H,J is 3.8), 7.5 (bs,1H), 8.00 (s,1H), 8.58 (s,2H), 8.71(s,1H).
- 36 δ 1.58(d,3H, J is 6.6Hz), 5.7-5.8(m, 1H), 7.4(m,2H), 7.77(m, 1H), 8.35(m, 1H), 8.40(m,1H).
- 37 δ 1.59(d,3H, J is 6.6 Hz), 5.75(m,1H), 7.3(bs,1H), 7.34(d,1H, J is 5.2 Hz), 7.91(d,1H, J is 1.9 Hz), 8.33(d,1H, J is 5.4 Hz), 8.49(d,1H, J is 1.9 Hz).
- 38 δ 1.62 (d, 3H,J is 6.7 Hz), 5.48 (m,1 H), 7.35(d,1 H,J is 5.2 Hz), 7.40(d,1 H,J is 6.9), 7.99(d,1 H,J is 1.8 Hz), 8.34(d,1 H,J is 5.2), 8.70(s,1 H).

^a ¹H NMR data are in ppm downfield from tetramethylsilane. Couplings are designated by (s)-singlet, (d)-doublet, (t)-triplet, (q)-quartet, (m)-multiplet, (dd)-doublet of doublets, (dt)-doublet of triplets, (br s)-broad singlet.

BIOLOGICAL EXAMPLES OF THE INVENTION

- 5 General protocol for preparing test suspensions: Test compounds are first dissolved in acetone in an amount equal to 3% of the final volume and then suspended at the desired concentration (in ppm) in acetone and purified water (50/50 mix) containing 250 ppm of the surfactant Trem[®] 014 (polyhydric alcohol esters). The resulting test suspensions are then used in the following tests. Spraying a 200 ppm test suspension to the point of run-off on the
- 10 test plants is the equivalent of a rate of 500 g/ha.

TEST A

- The test suspension was sprayed to the point of run-off on wheat seedlings. The following day the seedlings were inoculated with a spore dust of *Erysiphe graminis* f. sp. *tritici*, (the causal agent of wheat powdery mildew) and incubated in a growth chamber
- 15 at 20°C for 7 days, after which disease ratings were made.

TEST B

- The test suspension was sprayed to the point of run-off on wheat seedlings. The following day the seedlings were inoculated with a spore suspension of *Puccinia recondita* (the causal agent of wheat leaf rust) and incubated in a saturated atmosphere at 20°C for
- 20 24 h, and then moved to a growth chamber at 20°C for 6 days, after which disease ratings were made.

TEST C

The test suspension was sprayed to the point of run-off on rice seedlings. The following day the seedlings were inoculated with a spore suspension of *Pyricularia oryzae*

(the causal agent of rice blast) and incubated in a saturated atmosphere at 27°C for 24 h, and then moved to a growth chamber at 30°C for 5 days, after which disease ratings were made.

TEST D

The test suspension was sprayed to the point of run-off on tomato seedlings. The following day the seedlings were inoculated with a spore suspension of *Phytophthora infestans* (the causal agent of potato and tomato late blight) and incubated in a saturated atmosphere at 20°C for 24 h, and then moved to a growth chamber at 20°C for 5 days, after which disease ratings were made.

TEST E

The test suspension was sprayed to the point of run-off on grape seedlings. The following day the seedlings were inoculated with a spore suspension of *Plasmopara viticola* (the causal agent of grape downy mildew) and incubated in a saturated atmosphere at 20°C for 24 h, moved to a growth chamber at 20°C for 6 days, and then incubated in a saturated atmosphere at 20°C for 24 h, after which disease ratings were made.

TEST F

Tomato (or potato) seedlings are inoculated with a spore suspension of *Phytophthora infestans* (the causal agent of potato and tomato late blight) and incubated in a saturated atmosphere at 20°C for 24 h. The next day, test suspension is sprayed to the point of run-off and the treated plants are moved to a growth chamber at 20°C for 5 days, after which disease ratings are made.

TEST G

Grape seedlings are inoculated with a spore suspension of *Plasmopara viticola* (the causal agent of grape downy mildew) and incubated in a saturated atmosphere at 20°C for 24 h. The next day, test suspension is sprayed to the point of run-off and the treated plants are moved to a growth chamber at 20°C for 6 days, and then incubated in a saturated atmosphere at 20°C for 24 h, after which disease ratings are made.

Results for Tests A-E are given in Table A. In the table, a rating of 100 indicates 100% disease control and a rating of 0 indicates no disease control (relative to the controls). A dash (-) indicates no test results. ND indicates disease control not determined due to phytotoxicity. In addition to the Tests shown below, compounds of this invention (e.g. compounds 2, 5, 8, 28, 29, 30, 31, 35, 36 and 37) are considered to have significant curative utility, especially for grape downy mildew.

Table A

<u>Cmpd No.</u>	<u>Test A</u>	<u>Test B</u>	<u>Test C</u>	<u>Test D</u>	<u>Test E</u>	<u>Test F</u>	<u>Test G</u>
1	0	0	0	90	29		
2	0	ND	-	100	-		99

100

3	21	28	0	7	8		
4	-	-	-	99	-		
5	-	19	-	-	98		99
6	0	0	-	19	-		
7	0	0	-	-	-		
8	0	8	-	100	100		96
9	0	28	0	7	0		
10	0	9	74	16	0		
11	0	9	0	7	8		
12	0	19	0	7	24		
13	0	9	0	3	23		
14	0	19	90	100	98		
15	0	38	30	7	8		
16	0	9	100	34	8		
17	13	0	0	25	0		
18	0	9	80	32	0		
19	0	9	0	7	8		
20	0	28	87	25	8		
21	69	68	88	16	8		
22	0	0	0	7	0		
23	72	9	7	32	8		
24	0	0	7	25	8		
25	0	9	13	79	16		
26	0	32	0	25	0		
27	0	0	0	32	16		
28	-	-	0	100	100	97#	37*
29	-	-	0	100	100		100*
30	-	-	0	100	100		100*
31	-	-	0	100	100		100**
32	0	0	0	32	-		
33	91	-	-	71	-		
34	0	44	-	31	-		
35	0	30	-	100	100		100*
36	0	38	0	100	100		100
37	0	19	0	100	100		100
38	-	-	-	-	69*		0**

100 ppm on potato seedlings

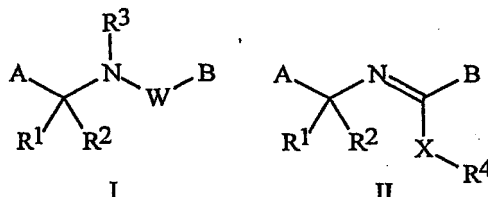
* 100 ppm.

** 20 ppm.

CLAIMS

What is claimed is:

1. A compound selected from Formula I and Formula II, *N*-oxides and agriculturally suitable salts thereof,



wherein:

A is a substituted pyridinyl ring;

B is a substituted pyridinyl ring;

W is C=L or SO_n;

L is O or S;

R¹ and R² are each independently H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl, each optionally substituted;

R³ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl or C₃-C₈ dialkylaminocarbonyl;

R⁴ is C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl, each optionally substituted;

X is O or S; and

n is 1 or 2; provided that when W is C=O and R¹, R² and R³ are H; then B is other than 4-trifluoromethyl-3-pyridinyl, 2-chloro-4-pyridinyl and 2,6-dihalo-4-pyridinyl.

2. A compound of Claim 1 wherein

A is a pyridinyl ring substituted with from 1 to 4 R⁵;

B is a pyridinyl ring substituted with from 1 to 4 R⁶;

R¹ and R² are each independently H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl, each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₄ alkoxy carbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino and C₃-C₆ cycloalkylamino;

R⁴ is C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl, each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl,

C₁-C₄ alkylsulfonyl, C₂-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino and C₃-C₆ cycloalkylamino;

R⁵ and R⁶ are each independently C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

R⁵ and R⁶ are each independently phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

3. A compound of Claim 2 of Formula I wherein W is C=O.

4. A compound of Claim 3 wherein A is a substituted 3-pyridinyl ring.

5. A compound of Claim 3 wherein A is a 2-pyridinyl ring substituted with from 1 to 4 R⁵; and B is substituted with from 1 to 4 R⁶, with at least one R⁶ located in a position *ortho* to the link with W.

6. A compound of Claim 5 wherein B is either a 3-pyridinyl ring or 4-pyridinyl ring having an R⁶ at each position *ortho* to the link with W and optionally 1 to 2 additional R⁶.

7. A compound of Claim 6 wherein each R⁶ is either halogen or methyl.

8. A compound of Claim 7 wherein B is a 3-pyridinyl ring wherein one R⁶ is Cl and is located at the 2-position *ortho* to the link with W, another R⁶ is selected from Cl or methyl and is located at the 4-position *ortho* to the link with W and a third optional R⁶ is methyl at the 6-position.

9. The compound of Claim 8 wherein A is 3-chloro-5-CF₃-2-pyridinyl.

10. The compound of Claim 5 or Claim 7 wherein R¹ is H and R² is CH₃.

11. The compound of Claim 2 selected from the group consisting of
2,4-Dichloro-N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-3-pyridinecarboxamide,
2,4-Dichloro-N-[1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-3-pyridinecarboxamide,

2,4-Dichloro-*N*-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-6-methyl-3-pyridinecarboxamide, and

2,4-Dichloro-*N*-[1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-6-methyl-3-pyridinecarboxamide.

12. A compound of Claim 2 of Formula II wherein

A is a 2-pyridinyl ring substituted with from 1 to 4 R⁵; and

B is substituted with from 1 to 4 R⁶, with at least one R⁶ located in a position *ortho* to the link with the carbon that is bonded to both X and B.

13. A compound of Claim 12 wherein X is S.

14. A compound of Claim 2 of Formula I wherein

each R⁵ is independently C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; provided that when A is 2-pyridinyl, then R⁵ is other than C₁ to C₆ haloalkyl; and

each R⁶ is independently C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

R⁵ and R⁶ are each independently phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

15. A compound of Claim 14 wherein

W is C=O;

each R⁵ is independently C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; provided that when A is 2-pyridinyl, then R⁵ is other than C₁ to C₆ haloalkyl.

16. A compound of Claim 15 wherein R⁵ is Cl, Br, CH₃, OCF₃, OCHF₂, OCH₂CF₃, OCF₂CF₃, OCF₂CF₂H, OCHF₂CF₃, SCF₃, SCHF₂, SCH₂CF₃, SCF₂CF₃, SCF₂CF₂H, SCH₂CF₂H, SOCF₃, SOCHF₂, SOCH₂CF₃, SOCF₂CF₃, SOCF₂CF₂H, SOCHF₂CF₃, SO₂CF₃, SO₂CHF₂, SO₂CH₂CF₃, SO₂CF₂CF₃, SO₂CF₂CF₂H or SO₂CHF₂CF₃.

17. The compound of Claim 16 selected from the group consisting of

2,4-Dichloro-*N*-[(3,5-dichloro-2-pyridinyl)methyl]-3-pyridinecarboxamide,

2,4-Dichloro-*N*-[1-(3,5-dichloro-2-pyridinyl)ethyl]-3-pyridinecarboxamide,

2,4-Dichloro-*N*-[(3,5-dichloro-2-pyridinyl)methyl]-6-methyl-3-pyridinecarboxamide,

2,4-Dichloro-*N*-[1-(3,5-dichloro-2-pyridinyl)ethyl]-6-methyl-3-pyridinecarboxamide,

N-[(5-bromo-3-chloro-2-pyridinyl)methyl]-2,4-dichloro-3-pyridinecarboxamide,

N-[1-(5-bromo-3-chloro-2-pyridinyl)ethyl]-2,4-dichloro-3-pyridinecarboxamide,

N-[(5-bromo-3-chloro-2-pyridinyl)methyl]-2,4-dichloro-6-methyl-3-pyridinecarboxamide, and

N-[1-(5-bromo-3-chloro-2-pyridinyl)ethyl]-2,4-dichloro-6-methyl-3-pyridinecarboxamide.

18. A fungicidal composition comprising a fungicidally effective amount of a compound of Claim 1 and at least one additional component selected from the group consisting of surfactants, solid diluents or liquid diluents.

19. A fungicidal composition comprising a mixture of a compound of Claim 1 and at least one other fungicide having a different mode of action.

20. A method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed or seedling, a fungicidally effective amount of a compound of Claim 1.

THIS PAGE BLANK (USPTO)

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
21 March 2002 (21.03.2002)

PCT

(10) International Publication Number
WO 02/022583 A3

(51) International Patent Classification⁷: C07D 213/82, A01N 43/40

(21) International Application Number: PCT/US01/28971

(22) International Filing Date:
17 September 2001 (17.09.2001)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/233,374 18 September 2000 (18.09.2000) US
60/277,199 20 March 2001 (20.03.2001) US

(71) Applicant (for all designated States except US): E. I. DU PONT DE NEMOURS AND COMPANY [US/US]; 1007 Market Street, Wilmington, DE 19898 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): NEUBERT, Timothy, Donald [US/US]; 2304 Stonebridge Road, New Castle, DE 19720 (US). PIOTROWSKI, David, Walter [US/US]; 3248 Lost Pine Way, Portage, MI 49024 (US). WALKER, Michael, Paul [US/US]; 137 Thompson Circle, Landenberg, PA 19350 (US).

(74) Agent: HEISER, David, E.; E. I. DU PONT DE NEMOURS AND COMPANY, Legal Patent Records Center, 1007 Market Street, Wilmington, DE 19898 (US).

(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW). Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

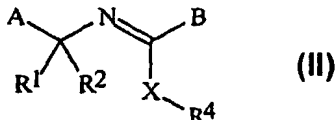
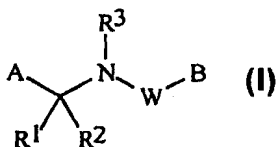
Published:

— with international search report

(88) Date of publication of the international search report:
18 July 2002

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: PYRIDINYL AMIDES AND IMIDES FOR USE AS FUNGICIDES



(57) Abstract: Compounds of Formula (I), their N-oxides and agriculturally suitable salts are disclosed which are useful as fungicides formula (I), (II) wherein A is a substituted pyridinyl ring; B is a substituted pyridinyl ring; W is C=L or SO_n is O or S; R¹ and R² are each independently H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl, each optionally

substituted; R³ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl, C₃-C₆ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl or C₃-C₈ dialkylaminocarbonyl; R⁴ is C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl, each optionally substituted; X is O or S; and n is 1 or 2; provided that when W is C=O and R¹, R² and R³ are H; then B is other than 4-trifluoromethyl-3-pyridinyl, 2-chloro-4-pyridinyl and 2,6-dihalo-4-pyridinyl. Also disclosed are compositions containing the compounds of Formula (I) and a method for controlling plant diseases caused by fungal plant pathogens that involves applying an effective amount of a compound of Formula (I).

WO 02/022583 A3

INTERNATIONAL SEARCH REPORT

Int. Application No

PCT/US 01/28971

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D213/82 A01N43/40

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

WPI Data, CHEM ABS Data, EPO-Internal, PAJ

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 99 42447 A (MOLONEY BRIAN ANTHONY ;SAVILLE STONES ELIZABETH ANNE (GB); AGREVO) 26 August 1999 (1999-08-26) cited in the application the whole document	1-20
P,X	WO 01 57036 A (MARFAT ANTHONY ;PFIZER PROD INC (US); CHAMBER ROBERT JAMES (US)) 9 August 2001 (2001-08-09) see definition of Q, and, inter alia, example 5.5.64	1
A	PATENT ABSTRACTS OF JAPAN vol. 1995, no. 04, 31 May 1995 (1995-05-31) & JP 07 025853 A (ISHIHARA SANGYO KAISHA LTD), 27 January 1995 (1995-01-27) abstract	1-20

-/--

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents:

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *G* document member of the same patent family

Date of the actual completion of the international search

21 March 2002

Date of mailing of the international search report

02/04/2002

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3016

Authorized officer

Scruton-Evans, I

INTERNATIONAL SEARCH REPORT

Int. l. Application No

PCT/US 01/28971

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	PATENT ABSTRACTS OF JAPAN vol. 017, no. 689 (C-1143), 16 December 1993 (1993-12-16) & JP 05 230016 A (TAKEDA CHEM IND LTD), 7 September 1993 (1993-09-07) see for example A-22->A25, page 344 abstract ---	1-20
Y	PATENT ABSTRACTS OF JAPAN vol. 1996, no. 12, 26 December 1996 (1996-12-26) & JP 08 208615 A (DAINIPPON INK & AMP; CHEM INC), 13 August 1996 (1996-08-13) abstract ---	1-20
Y	PATENT ABSTRACTS OF JAPAN vol. 016, no. 383 (C-0974), 17 August 1992 (1992-08-17) & JP 04 124107 A (NIPPON KAYAKU CO LTD), 24 April 1992 (1992-04-24) abstract ---	1-20
P,X	WO 01 11966 A (AVENTIS CROPS SCIENCE GMBH ; EKWURU TENNYSON (FR); PETTINGER ANDREW () 22 February 2001 (2001-02-22) see whole document, especially inter alia examples 5,6,9,10,17 ---	1-20
P,Y	WO 01 05769 A (DOW AGROSCIENCES LLC) 25 January 2001 (2001-01-25) see especially definitions of A in claim 1 -----	1-20

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Claims Nos.: 1-7,12-16,18-20 (partly)

Present claims 1-7,12-16,18-20 (partly) relate to an extremely large number of possible compounds. Support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds claimed. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely those parts relating to the compounds of claim 1 formula I wherein W is CO and A is substituted 2-pyridinyl and B is a substituted 3-pyridinyl, and all of the actually prepared examples.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 01/28971

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 9942447	A	26-08-1999	AU 2527199 A	06-09-1999
			BR 9908007 A	30-01-2001
			CA 2319005 A1	26-08-1999
			CN 1291187 T	11-04-2001
			CZ 20002993 A3	14-11-2001
			EP 1056723 A1	06-12-2000
			WO 9942447 A1	26-08-1999
			HU 0100817 A2	30-07-2001
			NO 20004159 A	17-10-2000
			PL 342376 A1	04-06-2001
			SI 20356 A	30-04-2001
			SK 12392000 A3	12-03-2001
			TR 200002395 T2	21-11-2000
			ZA 9901292 A	13-09-1999
WO 0157036	A	09-08-2001	AU 2700201 A	14-08-2001
			WO 0157036 A1	09-08-2001
JP 07025853	A	27-01-1995	NONE	
JP 05230016	A	07-09-1993	NONE	
JP 08208615	A	13-08-1996	NONE	
JP 04124107	A	24-04-1992	NONE	
WO 0111966	A	22-02-2001	AU 6840600 A	13-03-2001
			WO 0111966 A1	22-02-2001
WO 0105769	A	25-01-2001	AU 6357200 A	05-02-2001
			WO 0105769 A2	25-01-2001

THIS PAGE BLANK (USPTO)